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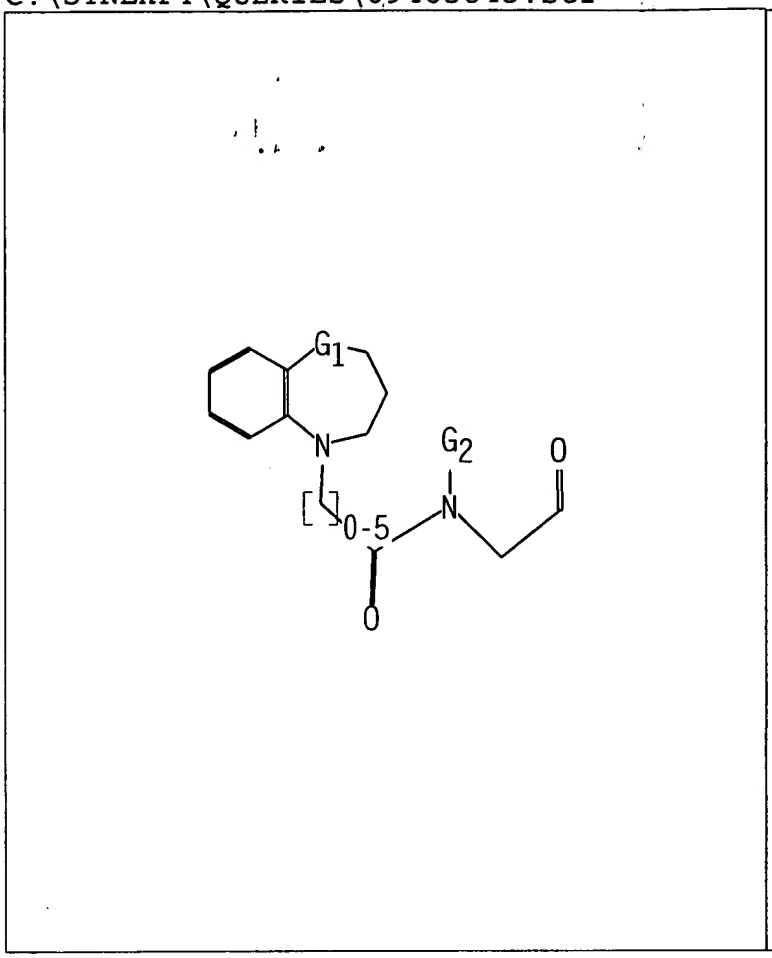
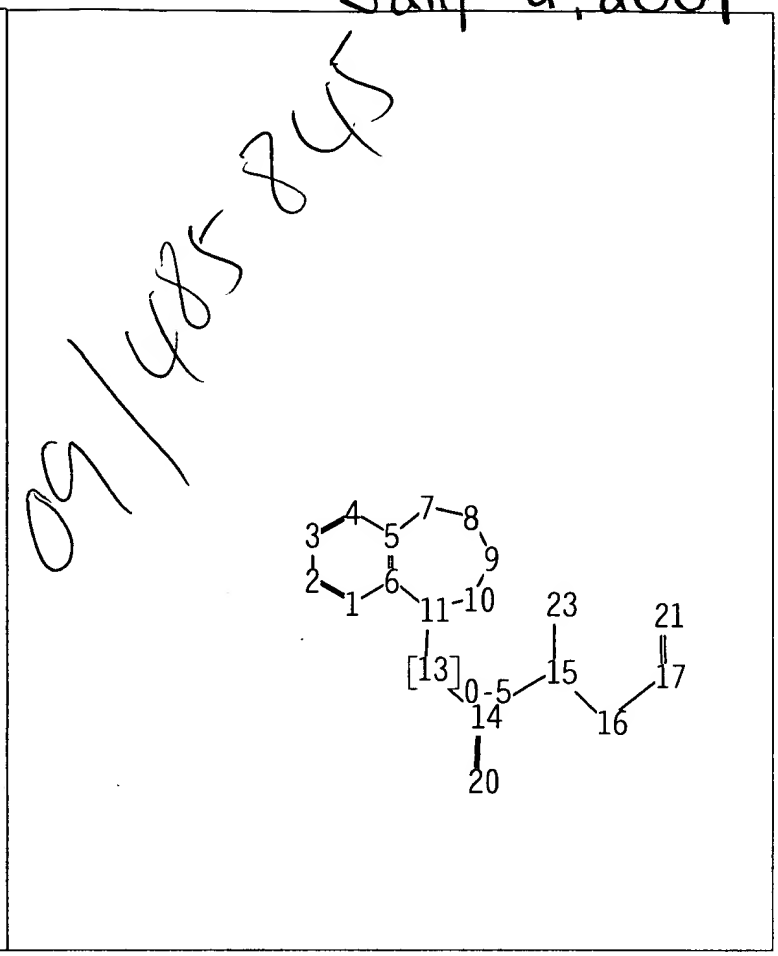
July 2, 2001

09/485,845





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G1:O,S

G2:H,Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
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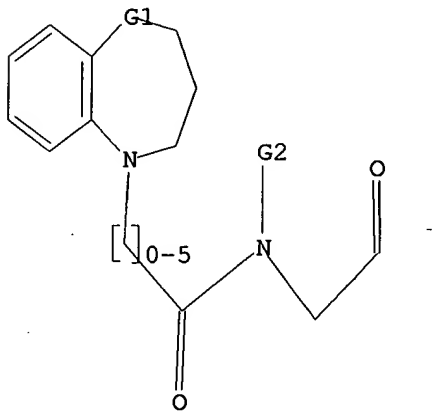


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G1 O,S
G2 H,Cb,Ak


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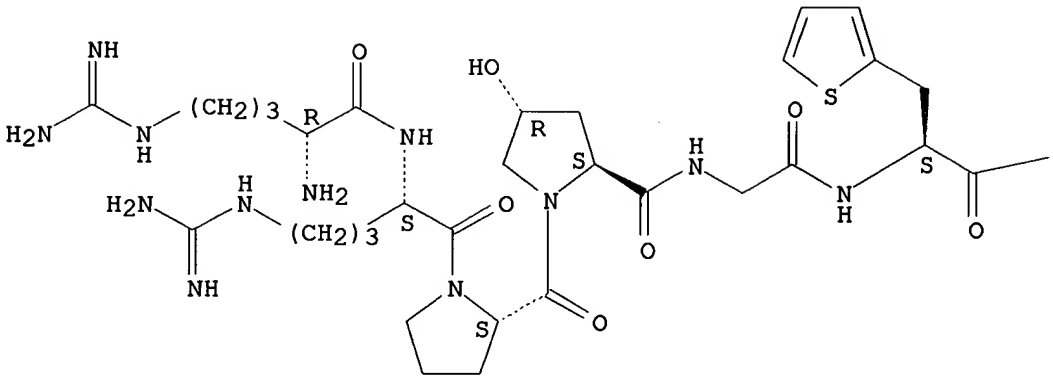
L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 2000:288348 CAPLUS
DN 133:54066
TI Synthesis and pharmacological evaluation of bradykinin analogs containing dipeptide mimics
AU Amblard, Muriel; Daffix, Isabelle; Bedos, Philippe; Berge, Gilbert; Dodey, Pierre; Paquet, Jean-Luc; Luccarini, Jean-Michel; Belichard, Pierre; Pruneau, Didier; Bellamy, Francois; Martinez, Jean
CS LAPP UMR 5810 CNRS, Universites de Montpellier I et II, Faculte de Pharmacie, Montpellier, 34060, Fr.
SO Pept. 1998, Proc. Eur. Pept. Symp., 25th (1999), Meeting Date 1998, 20-23.
Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Publisher: Akademiai Kiado, Budapest, Hung.
CODEN: 68WKAY
DT Conference
LA English
AB The authors reported here new potent bradykinin B2 receptor agonists and potent bradykinin B1 receptor antagonists. The data demonstrated that it was possible to design potent and selective bradykinin B2 and B1 receptor analogs by replacing the Pro-Phe dipeptide by constrained dipeptide mimics contained in ACE inhibitors. It was found that the DBT moiety was a good mimic of -Pro-Phe-dipeptide. The agonist JMV1116 may represent an useful pharmacol. tool to study the structural features of the agonist and antagonist characteristics of the bradykinin B2 receptor analogs and an interesting model to approach the active conformation. Moreover it may serve as a new lead for the design of non-peptidic agonists of the bradykinin B2 receptor that may be of great interest for treatment of severe brain and ocular diseases by increasing the blood-brain and blood-ocular barriers permeability. Compd. such as JMV1639 may be a good candidate for understanding the role of B1 receptors in pathophysiol. and to develop non-peptidic B1 receptor antagonists.
IT **209683-24-9**, JMV1116 **209683-26-1**, JMV 1429
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(biol. activity of bradykinin analogs contg. dipeptide mimics contained in ACE inhibitors)
RN 209683-24-9 CAPLUS
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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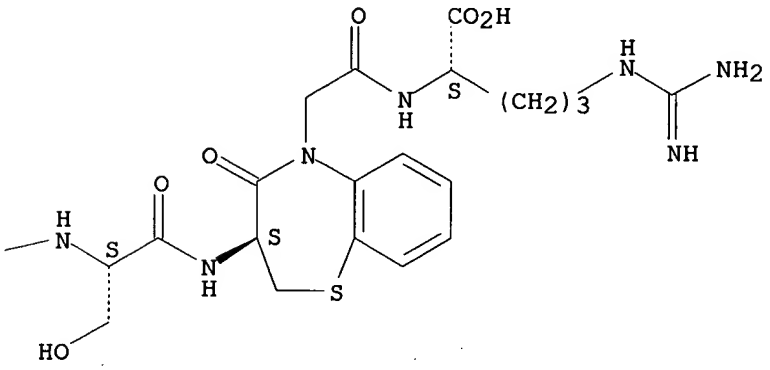

RN 209683-26-1 CAPLUS
CN L-Arginine,
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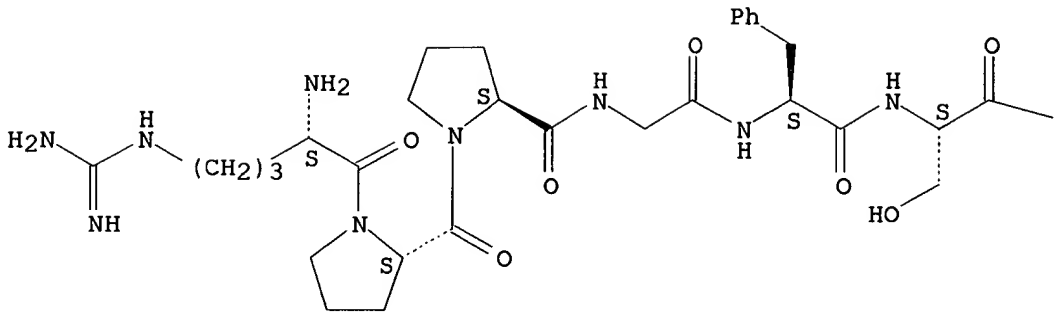
3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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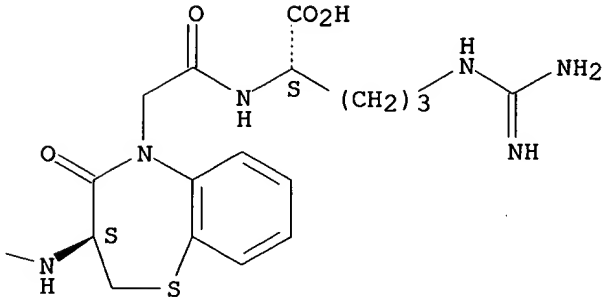

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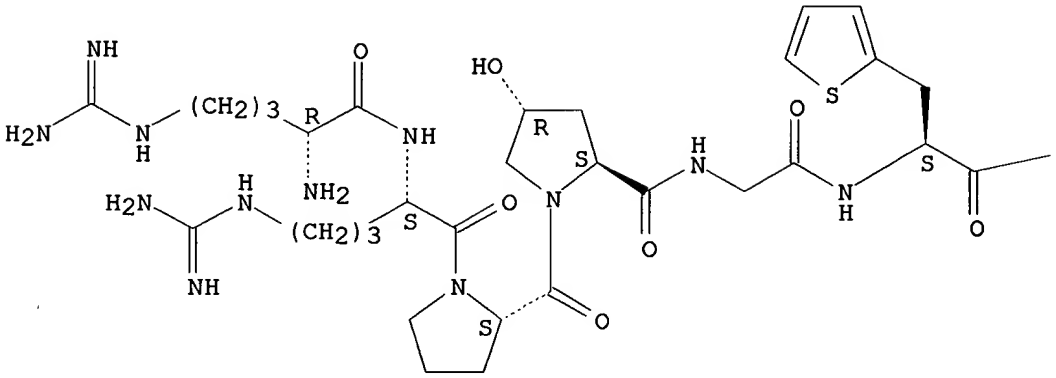
(2) Gera, L; Immunopharmacology 1996, V33, P183 CAPLUS
(3) Hock, F; Br J Pharmacol 1991, V102, P769 CAPLUS
(4) Inamura, T; J Neurosurgery 1994, V81, P752 CAPLUS
(5) Stewart, J; Immunopharmacology 1996, V33, P51 CAPLUS
(6) Wirth, K; Br J Pharmacol 1991, V102, P774 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1999:596173 CAPLUS
DN 132:3544
TI Synthesis and Characterization of Bradykinin B2 Receptor Agonists Containing Constrained Dipeptide Mimics
AU Amblard, Muriel; Daffix, Isabelle; Berge, Gilbert; Calmes, Monique; Dodey, Pierre; Pruneau, Didier; Paquet, Jean-Luc; Luccarini, Jean-Michel; Belichard, Pierre; Martinez, Jean
CS Laboratoire des Aminoacides Peptides et Proteines, Universites Montpellier I et II Faculte de Pharmacie, Montpellier, 34060, Fr.
SO J. Med. Chem. (1999), 42(20), 4193-4201
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB We have previously shown that substitution of the D-Tic-Oic dipeptide by a (3S)-[amino]-5-(carbonylmethyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-one (D-BT) moiety in the bradykinin B2 receptor antagonist HOE 140 resulted in a full potent and selective bradykinin B2 receptor agonist (H-DArg-Arg-Pro-Hyp-Gly-Thi-Ser-D-BT-Arg-OH, JMV 1116) exhibiting a high affinity for the human receptor (Ki 0.7 nM). In the present study, we have investigated the effects of replacement of the D-Tic-Oic moiety by various constrained dipeptide mimetics. The resulting compds. were tested for their binding affinity toward the cloned human B2 receptor and for their functional interaction with the bradykinin-induced contraction of isolated human umbilical vein. Subsequently, we have designed novel bradykinin B2 receptor agonists which are likely to be resistant to enzymic cleavage by endopeptidases and which might represent interesting new pharmacol. tools. In an attempt to increase the potency of compd. JMV 1116, both its N-terminal part and the D-BT moiety were modified. Substitution of the D-arginine residue by a L-lysine residue led to a 10-fold more potent bradykinin B2 ligand [compd. JMV 1465 (K.iota. 0.07 nM)], retaining full agonist activity on human umbilical vein. Substitution of the D-BT moiety by a (3S)-[amino]-5-(carbonylmethyl)-2,3-dihydro-8-methyl-1,5-benzothiazepin-4(5H)-one [D-BT(Me)] moiety led to compd. JMV 1609 which exhibited a higher agonist activity (pD2 = 7.4) than JMV 1116 (pD2 = 6.8).
IT **209683-24-9**, JMV 1116
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(prepn., binding affinity, functional interaction of bradykinin B2 analogs and bradykinin B2 receptor agonists contg. constrained dipeptide mimics)
RN 209683-24-9 CAPLUS
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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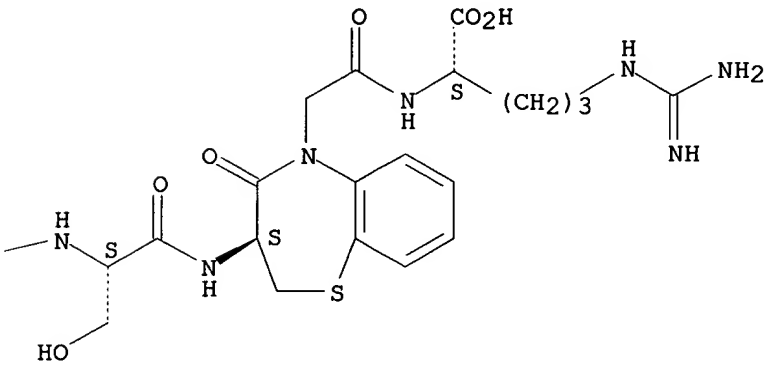

IT **209683-25-0P**, JMV 1465 **209683-30-7P**, JMV 1442
250762-99-3P, JMV 1609
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., binding affinity, functional interaction of bradykinin B2 analogs and bradykinin B2 receptor agonists contg. constrained dipeptide mimics)
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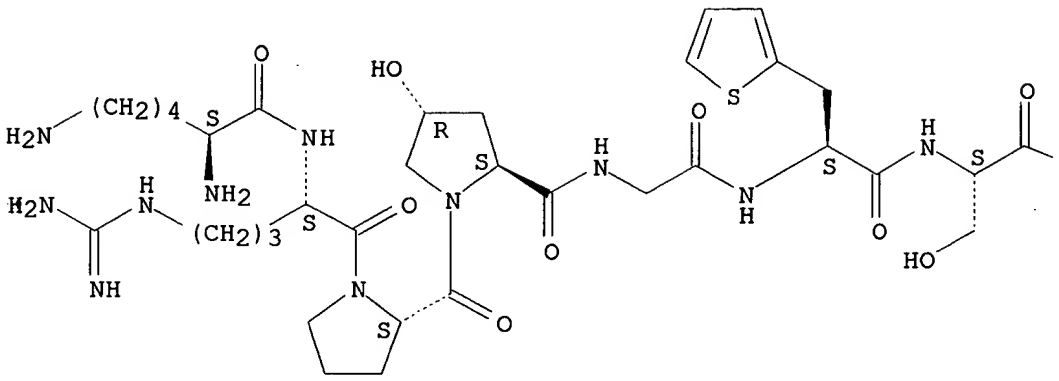
CN L-Arginine,
L-lysyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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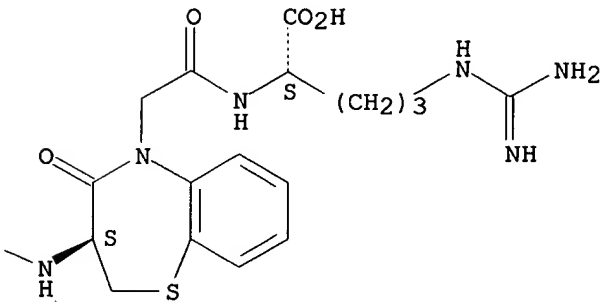

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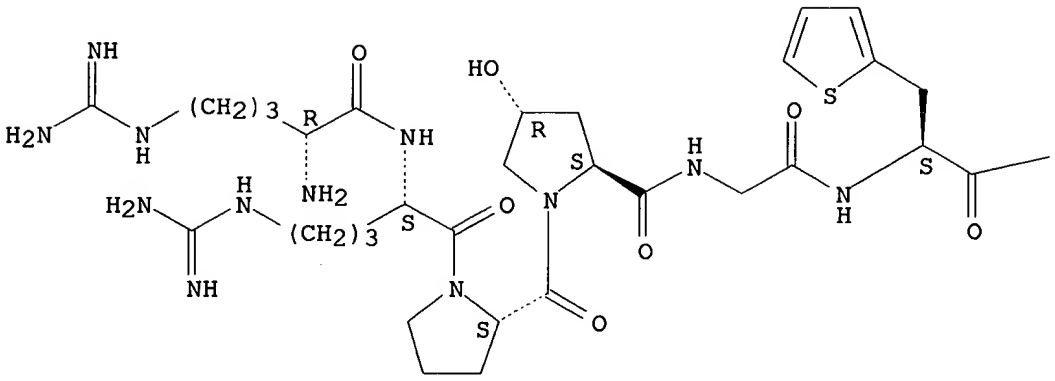
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-3-amino-3,4-dihydro-4-oxo-1,5-benzoxazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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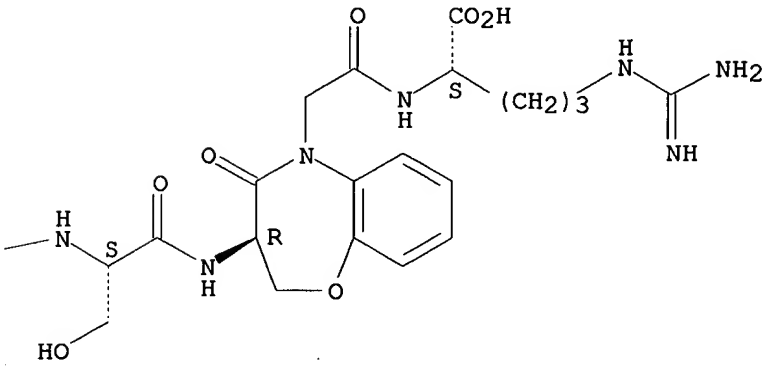
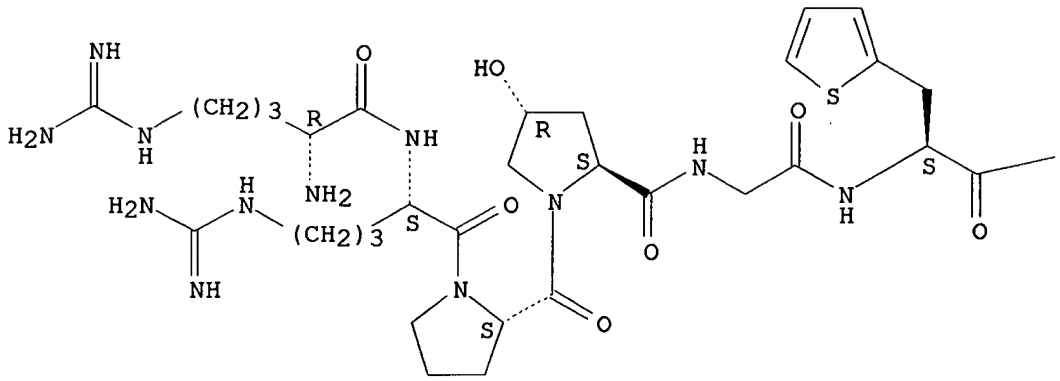


RN 250762-99-3 CAPLUS
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-8-methyl-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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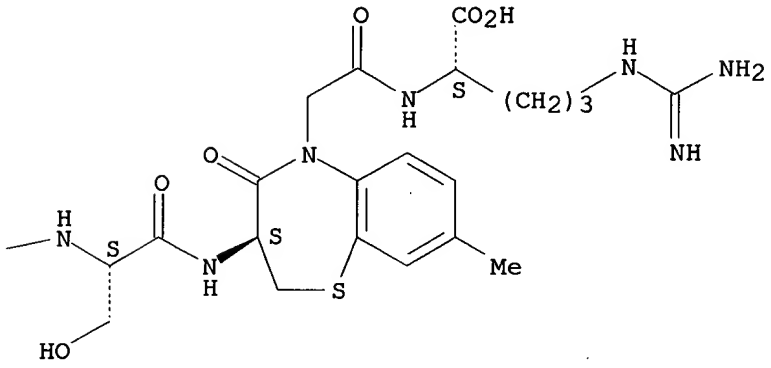

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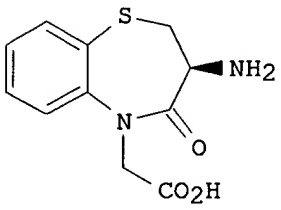
(3) Bastian, S; Br J Pharmacol 1997, V122, P393 CAPLUS
(5) Brady, S; Peptides:Structure and Function; Proceedings of the Eighth American Peptide Symposium 1983, P127 CAPLUS
(6) Castro, B; Tetrahedron Lett 1975, P1219 CAPLUS
(7) De Lombaert, S; Tetrahedron Lett 1994, V35, P7513 CAPLUS
(9) Evans, B; J Med Chem 1988, V31, P2235 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1999:596172 CAPLUS
DN 131:351646
TI Design and Synthesis of Potent Bradykinin Agonists Containing a Benzothiazepine Moiety
AU Amblard, Muriel; Daffix, Isabelle; Bedos, Philippe; Berge, Gilbert; Pruneau, Didier; Paquet, Jean-Luc; Luccarini, Jean-Michel; Belichard, Pierre; Dodey, Pierre; Martinez, Jean
CS Laboratoire des Aminoacides Peptides et Proteines, Universites Montpellier I et II Faculte de Pharmacie, Montpellier, 34060, Fr.
SO J. Med. Chem. (1999), 42(20), 4185-4192
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



I

AB Bradykinin analog H-Arg-Pro-Pro-Gly-Phe-Ser-D-BT-Arg-OH (I), contg. the Pro-Phe dipeptide mimic 3S-amino-5-(carbonylmethyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-one (II) (D-BT) was prepd. The same modification was performed on the potent bradykinin B2 receptor antagonist HOE 140 to yield analog H-D-Arg-Arg-Pro-Hyp-Gly-Thi-Ser-D-BT-Arg-OH [III; Thi = 3-(2-thienyl)-L-alanine] (JMV1116). These compds. were examd. in vitro for their binding affinity toward bradykinin B1 and B2 receptors as well as for their ability to interfere with bradykinin-induced contraction of both human umbilical vein and rat uterus. Compds. I and III competed with [3H]bradykinin binding to the human cloned B2 receptor giving Ki values of 13 .+-. 2 and 0.7 .+-. 0.1 nM, resp. T Unexpectedly, both compds. were full bradykinin B2 receptor agonists on the human umbilical vein (pD2 = 6.60 .+-. 0.07 for I and 6.80 .+-. 0.08 for III) and rat uterus (pD2 = 7.20 .+-. 0.09 for I and 7.50 .+-. 0.09 for III) prepns. with the same efficacy as bradykinin. In addn. III induced a concn.-dependent phosphoinositide prodn. in CHO cells expressing the human cloned B2 receptor. These data provide evidence for a bioactive conformation of bradykinin constrained at the dipeptide Pro-Phe.
IT **209683-24-9P**, JMV 1116 **209683-26-1P 250349-10-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

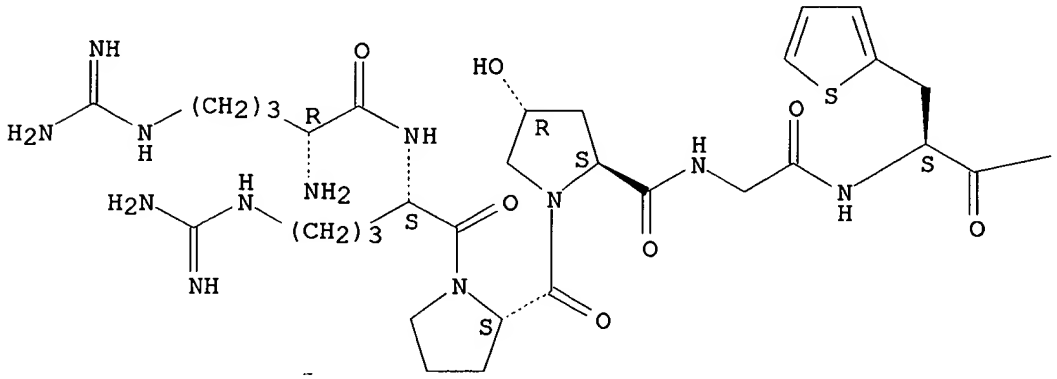
(design and prepn. of potent benzothiazepine-contg. bradykinin agonists)

RN 209683-24-9 CAPLUS

CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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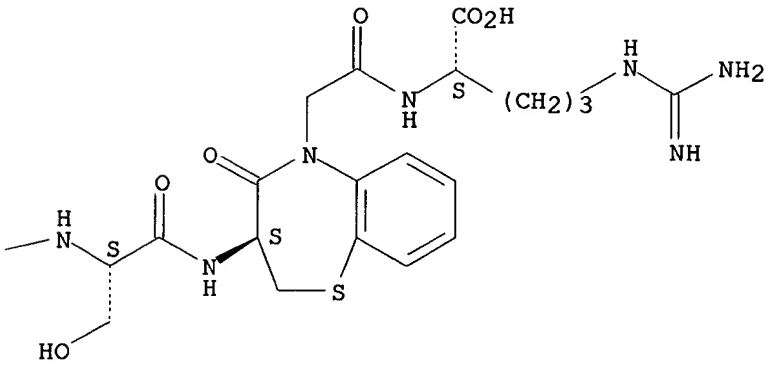
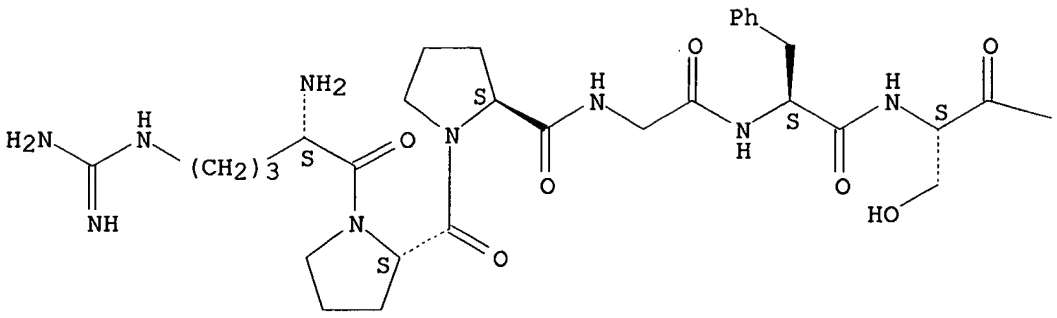


RN 209683-26-1 CAPLUS

CN L-Arginine, L-arginyl-L-prolyl-L-prolylglycyl-L-phenylalanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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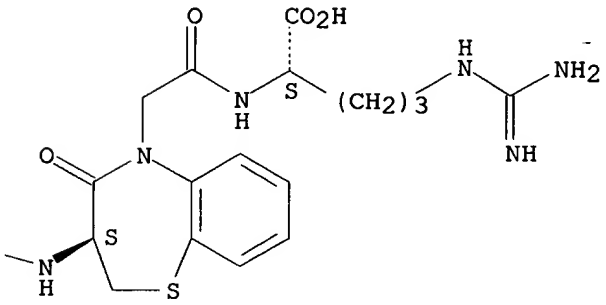

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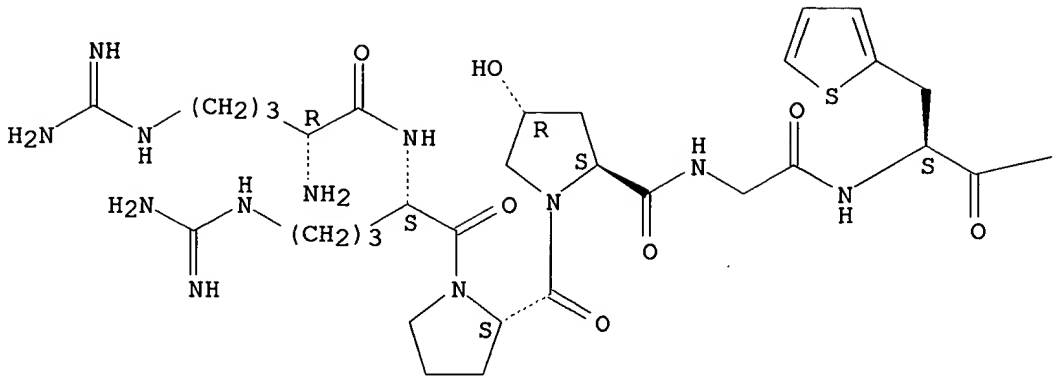
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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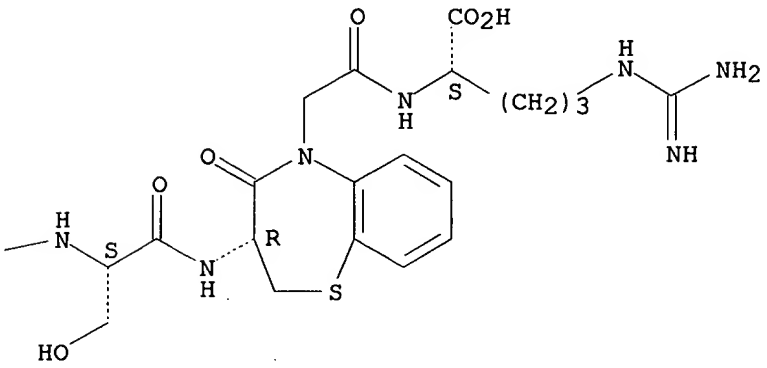

RE.CNT 37
RE
(1) Bao, G; J Cardiovasc Pharmacol 1992, V20, PS96 CAPLUS
(3) Bastian, S; Br J Pharmacol 1997, V122, P393 CAPLUS
(4) Bhoola, K; Pharmacol Rev 1992, V44, P1 CAPLUS
(6) Cann, J; Adv Exp Med Biol 1983, V156A, P495 CAPLUS
(7) Castro, B; Tetrahedron Lett 1975, P1219 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicants

L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1999:172602 CAPLUS
DN 130:209727
TI Preparation of novel amide derivatives having growth hormone releasing activity
IN Funamizu, Hidenori; Ishiyama, Nobuo; Ikegami, Satoru; Okuno, Tadashi; Inoguchi, Kiyoshi; Huang, Ping; Loew, Gilda H.
PA Kaken Pharmaceutical Co., Ltd., Japan; Molecular Research Institute
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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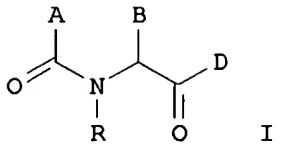
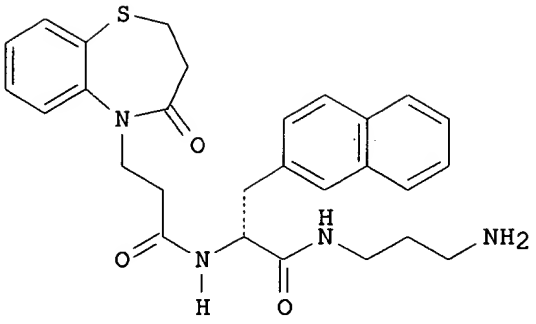
OS MARPAT 130:209727
GI



I



II

AB The title compds. [I; A = a lipophilic group comprising an aliph. bridging group; B = a lipophilic group; D = a group having at least one (un)substituted amino group; R = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts and individual isomers which have growth hormone releasing activity in humans or animals and are useful, e.g., in treating osteoporosis, bone fractures, wounds or burns, were prepd. E.g., a 2-step synthesis of amide (1R)-II.HCl which showed growth hormone (GH) activity < 10-8 M, was given.

IT **220976-50-1P 220976-51-2P 220976-52-3P**
220976-53-4P 220976-54-5P 220976-55-6P
220976-56-7P 220976-57-8P 220976-58-9P
220976-59-0P 220976-60-3P 220976-61-4P
220976-62-5P 220976-63-6P 220976-64-7P
220976-65-8P 220976-66-9P 220976-67-0P
220976-68-1P 220976-69-2P 220976-70-5P
220976-71-6P 220976-72-7P 220976-73-8P
220976-74-9P 220976-75-0P 220976-76-1P
220976-77-2P 220976-78-3P 220976-79-4P
220976-80-7P 220976-81-8P 220976-82-9P
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220976-86-3P 220976-87-4P 220976-88-5P
220976-89-6P 220976-90-9P 220976-91-0P
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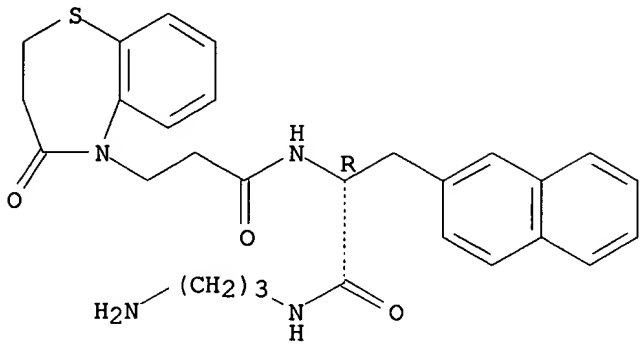
220980-03-0P 220980-04-1P 220980-05-2P
220980-19-8P 220980-20-1P 220980-24-5P
220980-26-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel amide derivs. having growth hormone releasing activity)

RN 220976-50-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

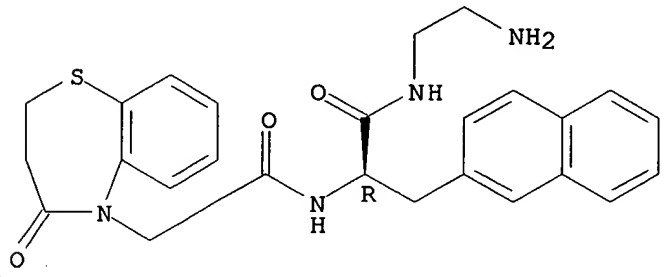
Absolute stereochemistry.



● HCl

RN 220976-51-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide,
N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride
(9CI) (CA INDEX NAME)

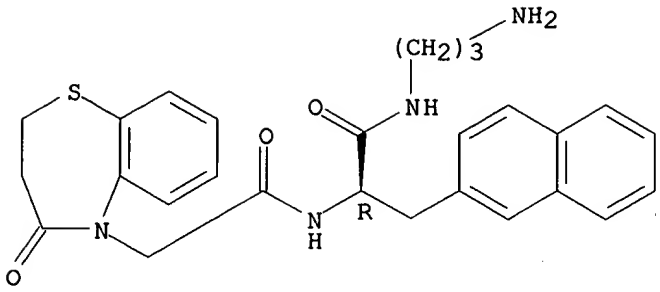
Absolute stereochemistry.



● HCl

RN 220976-52-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride
(9CI) (CA INDEX NAME)

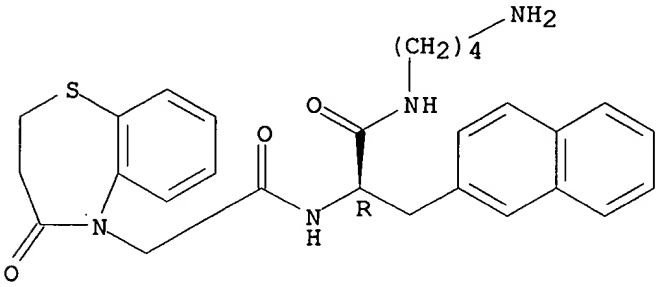
Absolute stereochemistry.



● HCl

RN 220976-53-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(4-aminobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

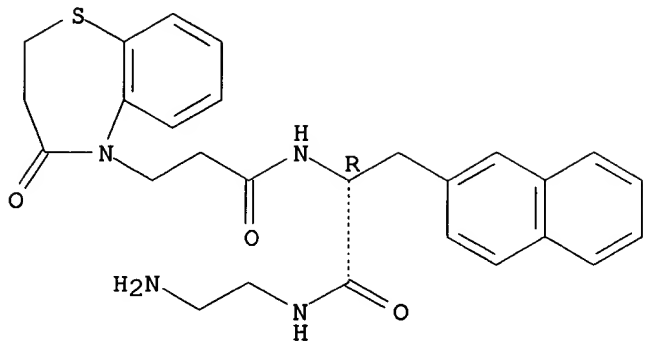
Absolute stereochemistry.



● HCl

RN 220976-54-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

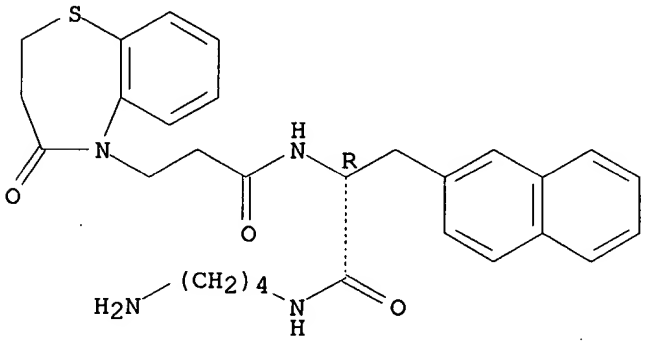
Absolute stereochemistry.



● HCl

RN 220976-55-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(4-aminobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

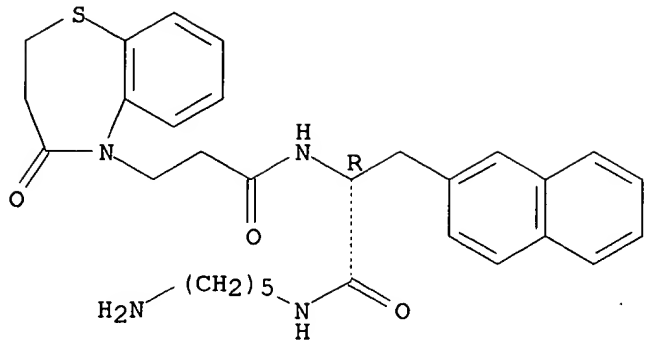
Absolute stereochemistry.



● HCl

RN 220976-56-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(5-aminopentyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

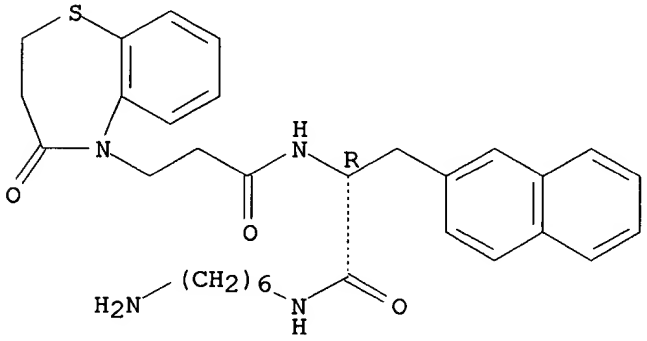
Absolute stereochemistry.



● HCl

RN 220976-57-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(6-aminohexyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

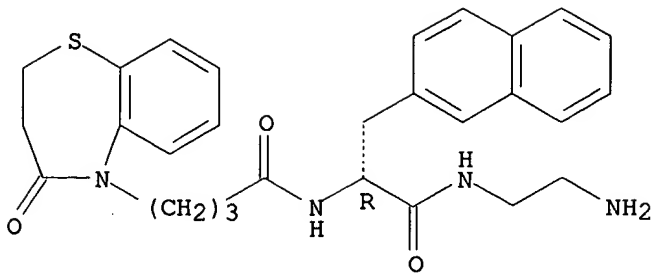
Absolute stereochemistry.



● HCl

RN 220976-58-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

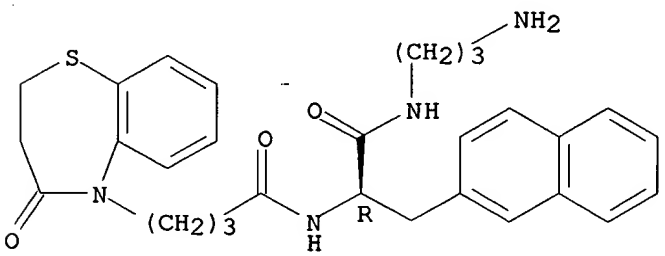
Absolute stereochemistry.



● HCl

RN 220976-59-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

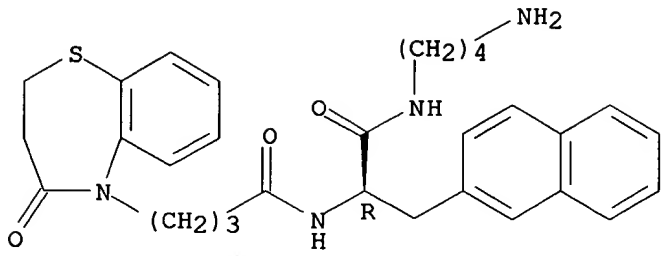
Absolute stereochemistry.



● HCl

RN 220976-60-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(4-aminobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

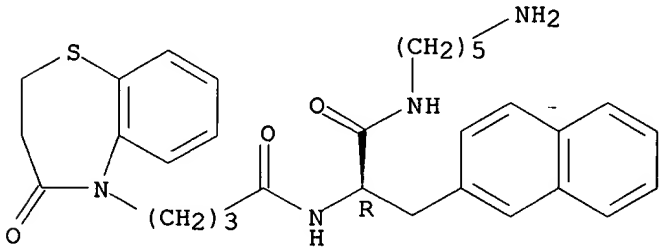
Absolute stereochemistry.



● HCl

RN 220976-61-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(5-aminopentyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

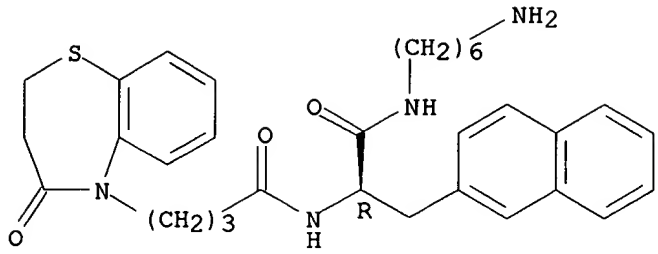
Absolute stereochemistry.



● HCl

RN 220976-62-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(6-aminohexyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

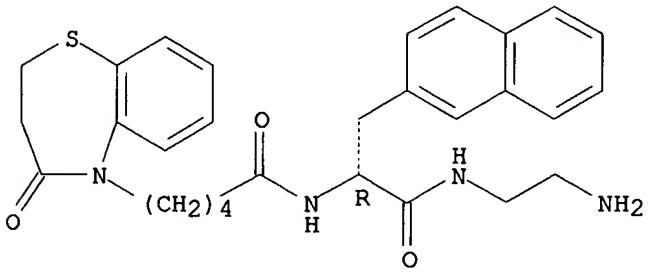
Absolute stereochemistry.



● HCl

RN 220976-63-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-pentanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

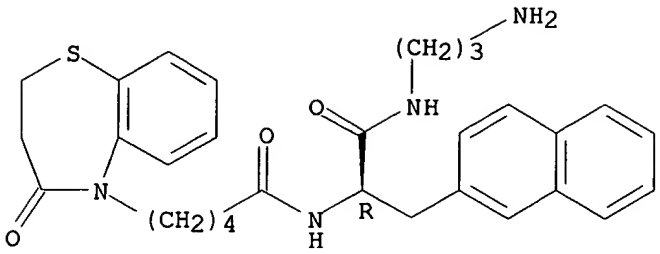
Absolute stereochemistry.



● HCl

RN 220976-64-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-pentanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

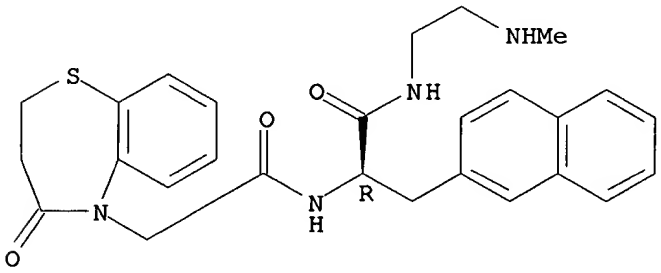
Absolute stereochemistry.



● HCl

RN 220976-65-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, 3,4-dihydro-N-[(1R)-2-[[2-(methylamino)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

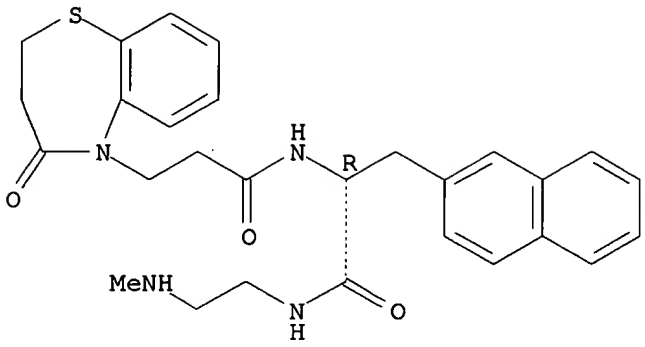
Absolute stereochemistry.



● HCl

RN 220976-66-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-2-[[2-(methylamino)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

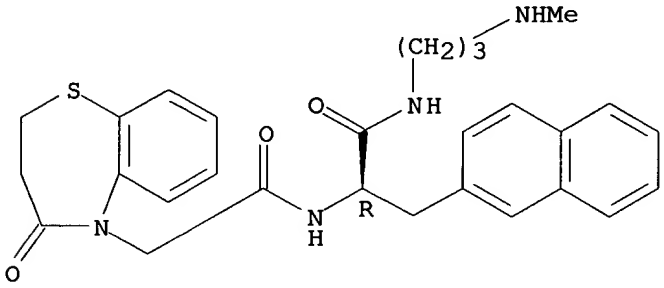
Absolute stereochemistry.



● HCl

RN 220976-67-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, 3,4-dihydro-N-[(1R)-2-[[3-(methylamino)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

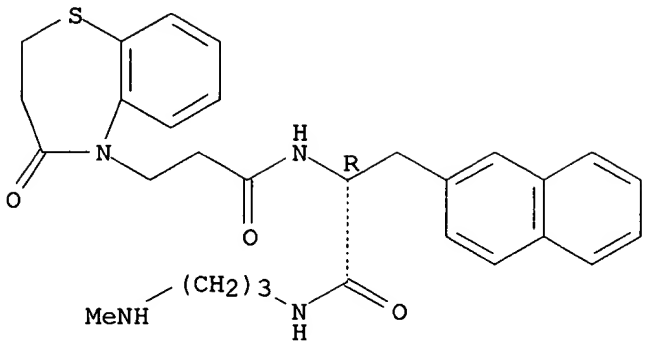
Absolute stereochemistry.



● HCl

RN 220976-68-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-2-[[3-(methylamino)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

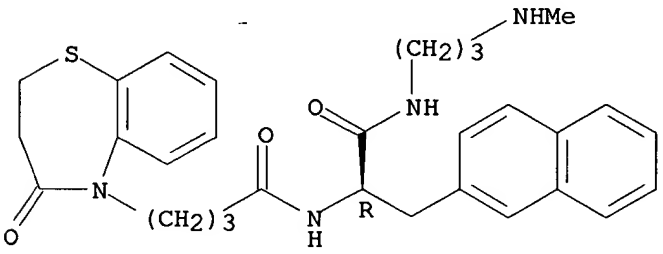
Absolute stereochemistry.



● HCl

RN 220976-69-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, 3,4-dihydro-N-[(1R)-2-[[3-(methylamino)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

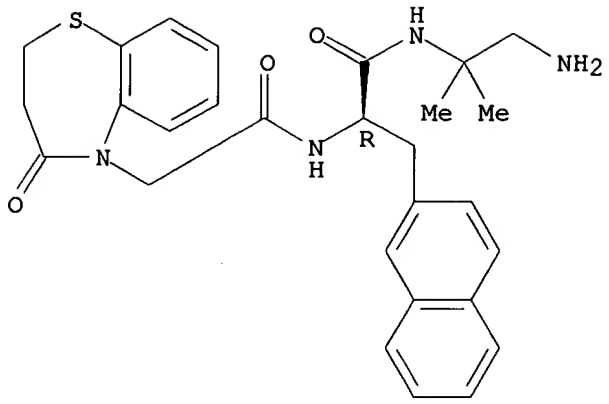
Absolute stereochemistry.



● HCl

RN 220976-70-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-amino-1,1-dimethylethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

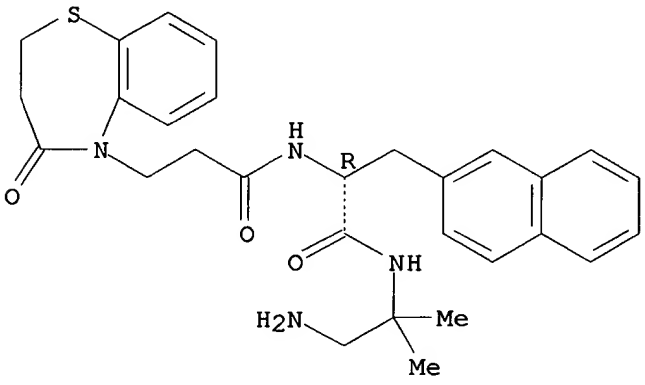
Absolute stereochemistry.



● HCl

RN 220976-71-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-amino-1,1-dimethylethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

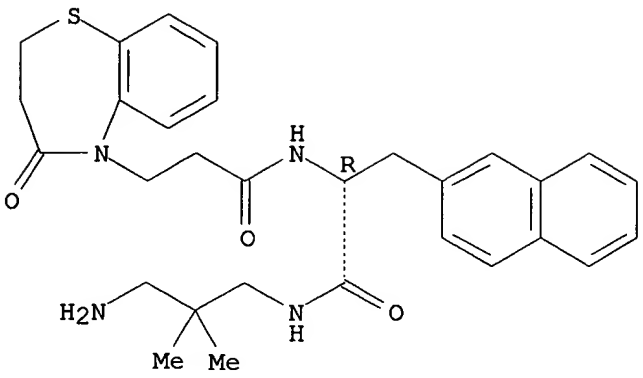
Absolute stereochemistry.



● HCl

RN 220976-72-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2,2-dimethylpropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

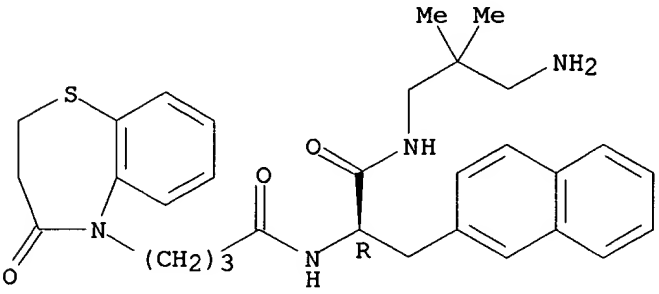
Absolute stereochemistry.



● HCl

RN 220976-73-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2,2-dimethylpropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

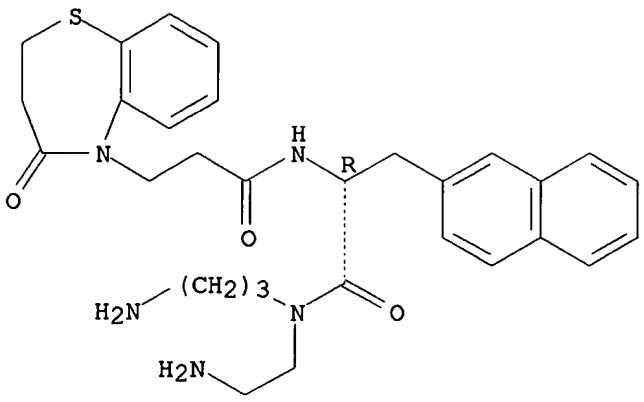
Absolute stereochemistry.



● HCl

RN 220976-74-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

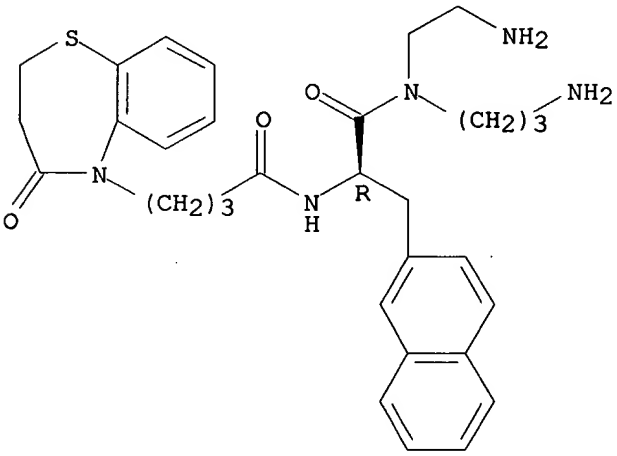
Absolute stereochemistry.



●x HCl

RN 220976-75-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

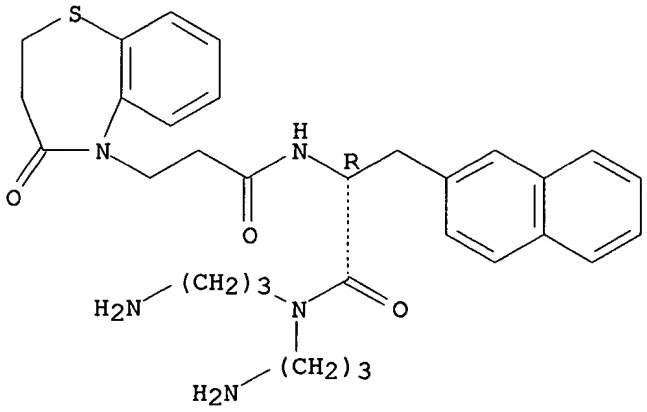


●x HCl

RN 220976-76-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[bis(3-aminopropyl)amino]-

1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

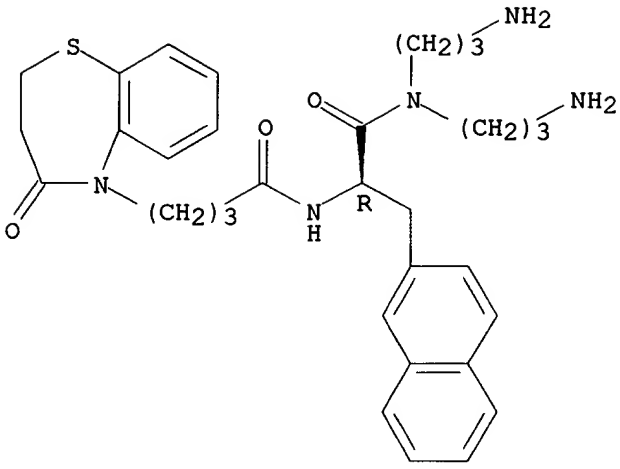
Absolute stereochemistry.



●x HCl

RN 220976-77-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[bis(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

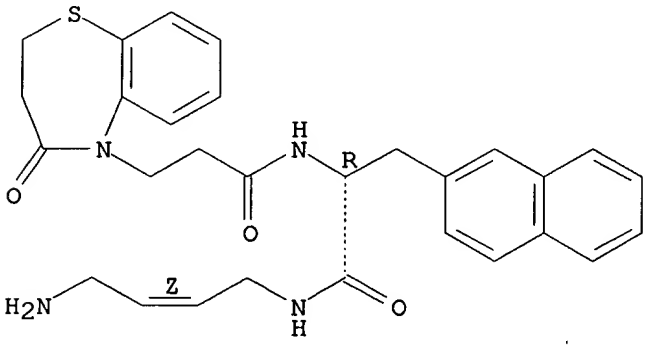
Absolute stereochemistry.



● x HCl

RN 220976-78-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[[(2Z)-4-amino-2-butenyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

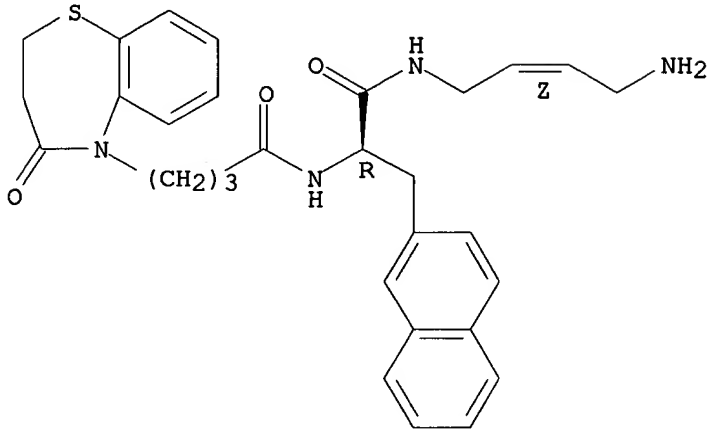
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 220976-79-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[(2Z)-4-amino-2-butenyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

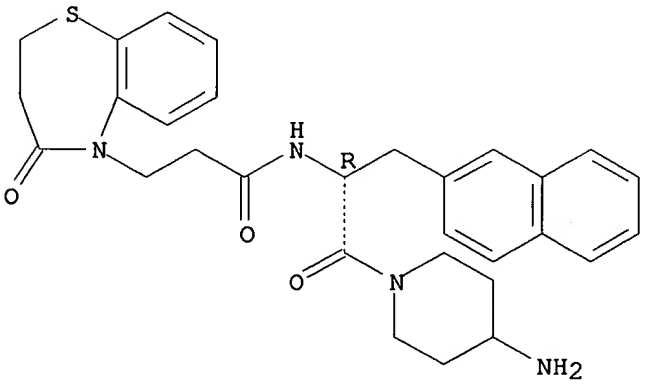
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 220976-80-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-(4-amino-1-piperidinyl)-1-
(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride
(9CI) (CA INDEX NAME)

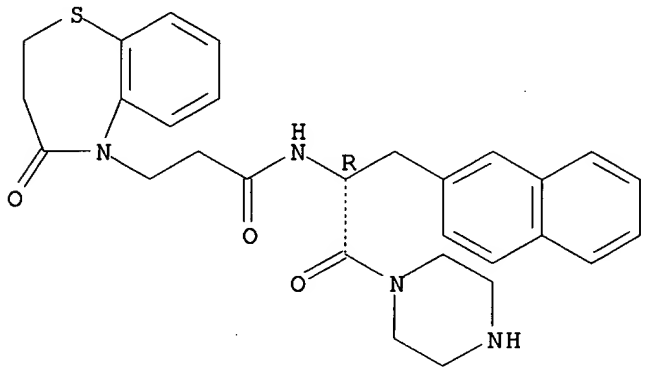
Absolute stereochemistry.



● HCl

RN 220976-81-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-1-(2-naphthalenylmethyl)-2-oxo-2-(1-piperazinyl)ethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

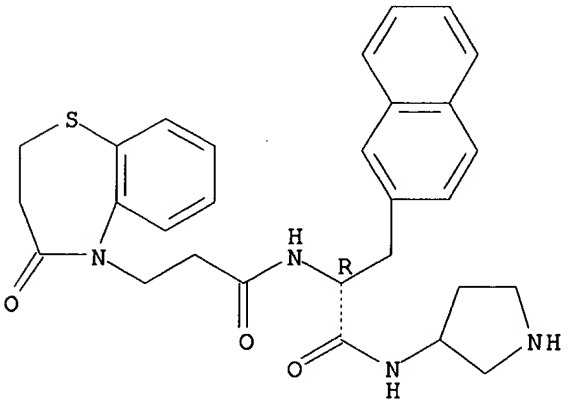
Absolute stereochemistry.



● HCl

RN 220976-82-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-1-(2-naphthalenylmethyl)-2-oxo-2-(3-pyrrolidinylamino)ethyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

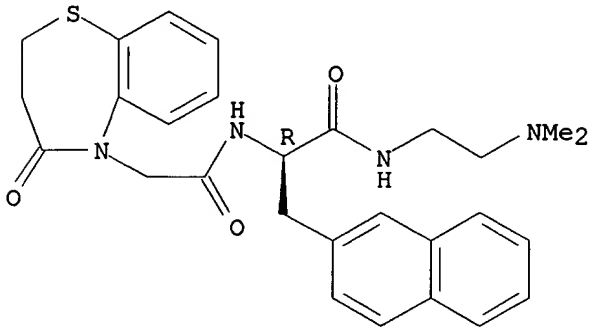


● HCl

RN 220976-83-0 CAPLUS

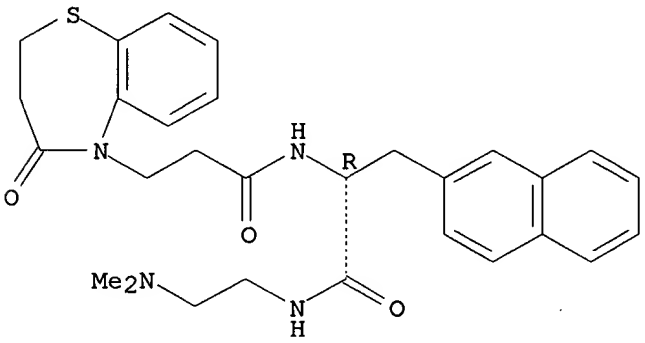
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[[2-(dimethylamino)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



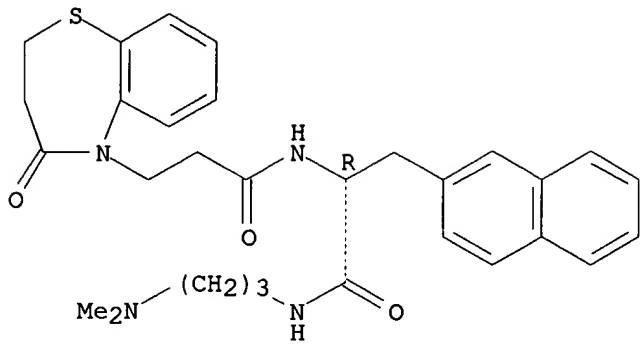
RN 220976-84-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[[2-(dimethylamino)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



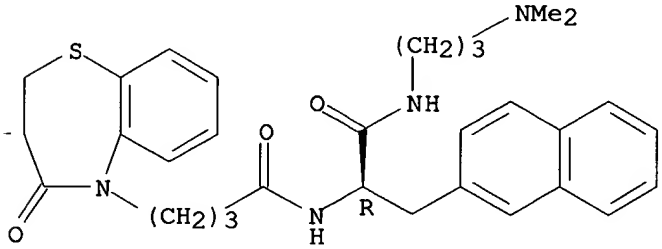
RN 220976-85-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[[3-(dimethylamino)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



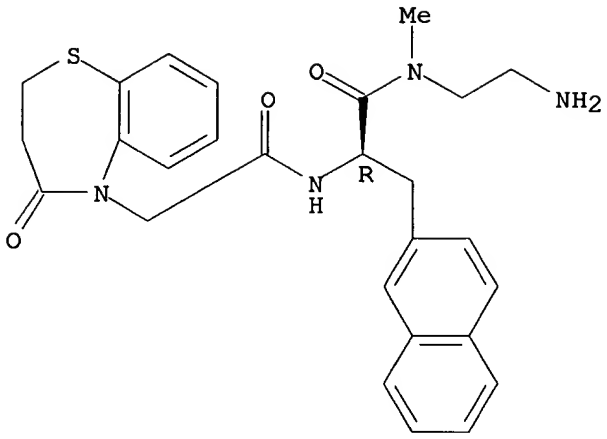
RN 220976-86-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[3-(dimethylamino)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220976-87-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-aminoethyl)methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

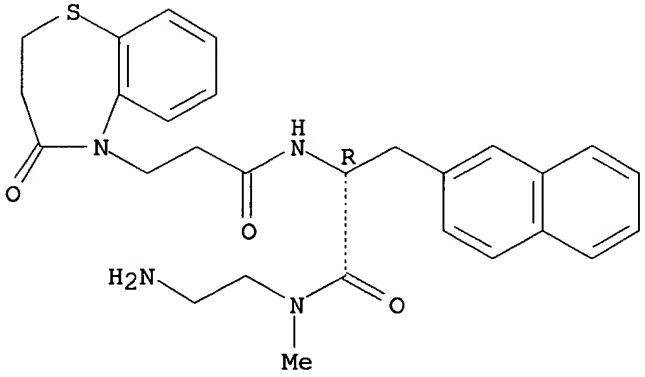
Absolute stereochemistry.



● HCl

RN 220976-88-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

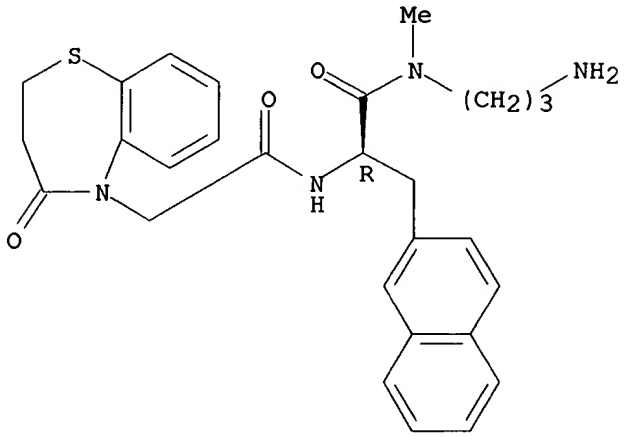


● HCl

RN 220976-89-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(3-aminopropyl)methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-

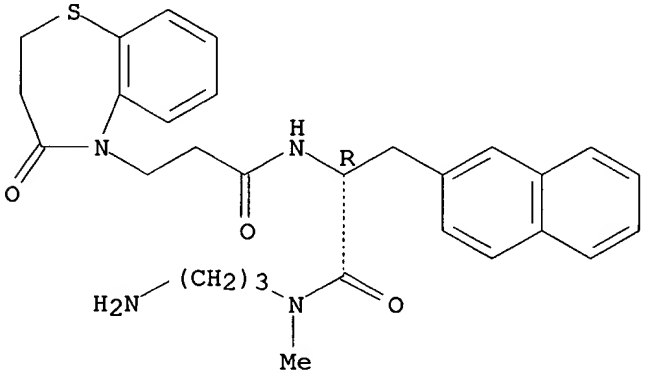
4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



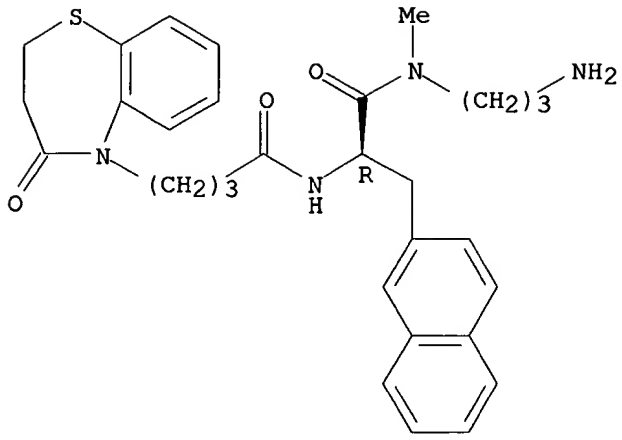
RN 220976-90-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220976-91-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)methylamino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

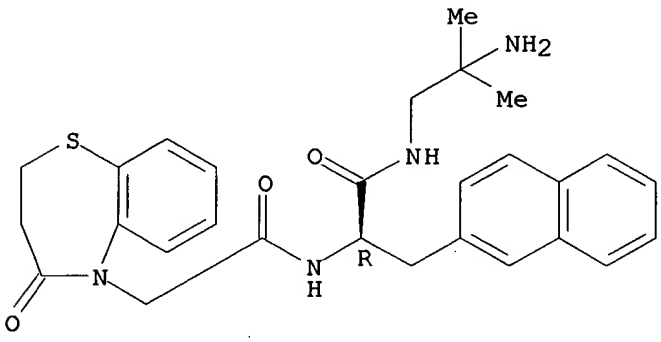
Absolute stereochemistry.



RN 220976-92-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-amino-2-

methylpropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-
(9CI) (CA INDEX NAME)

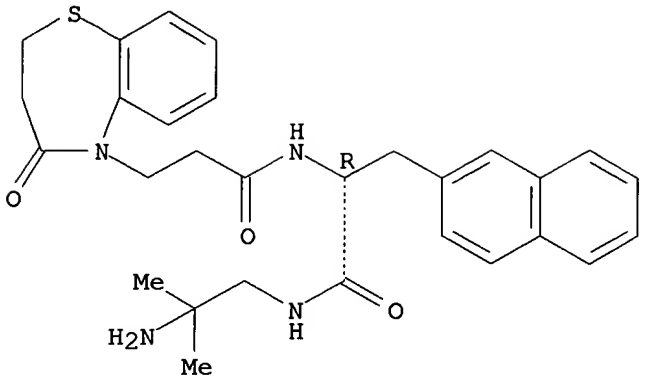
Absolute stereochemistry.



RN 220976-93-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-amino-2-

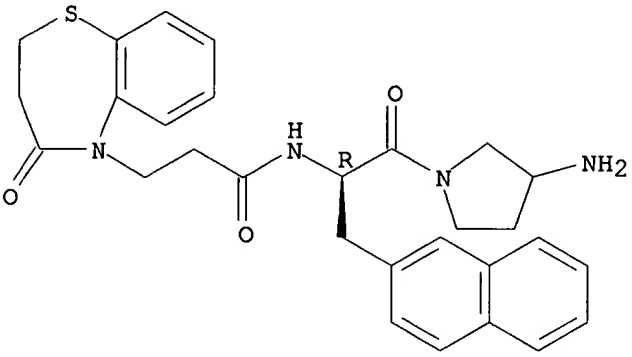
methylpropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



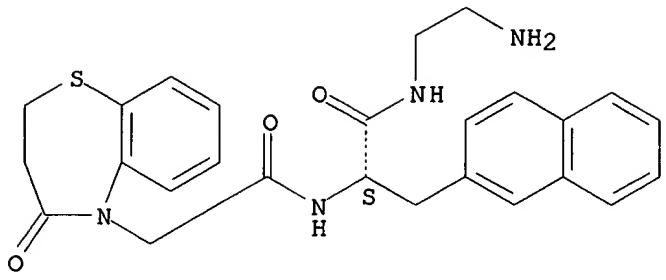
RN 220976-94-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-(3-amino-1-pyrrolidinyl)-
1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220976-95-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide,
N-[(1S)-2-[(2-aminoethyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

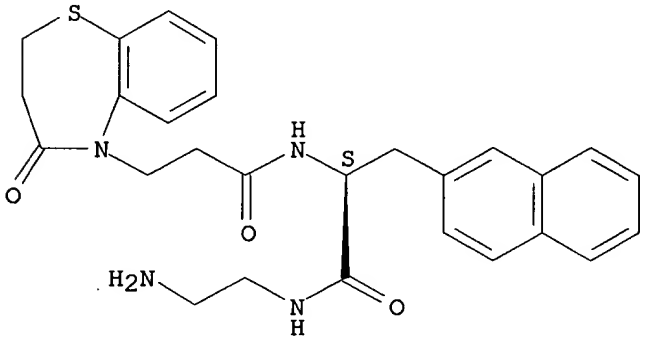
Absolute stereochemistry.



● HCl

RN 220976-96-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1S)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

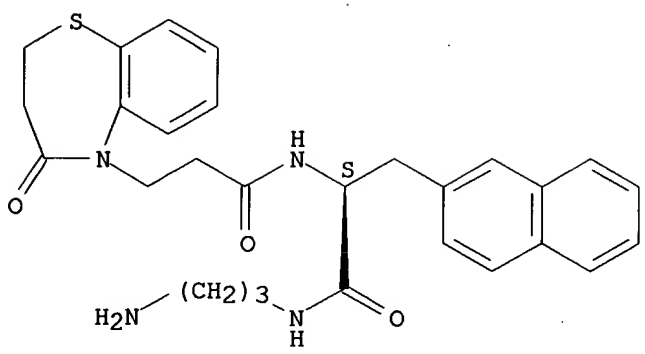
Absolute stereochemistry.



● HCl

RN 220976-97-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1S)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

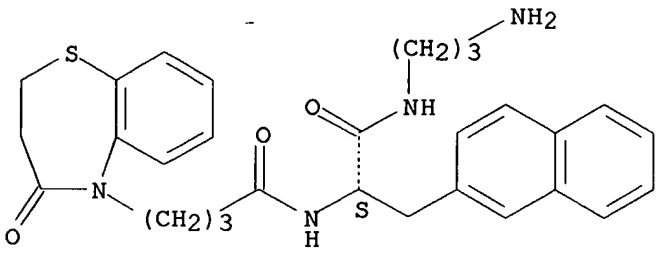
Absolute stereochemistry.



● HCl

RN 220976-98-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1S)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

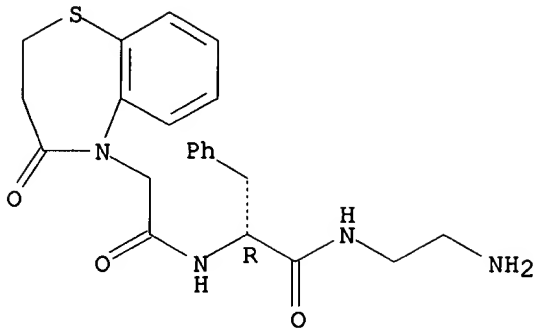
Absolute stereochemistry.



● HCl

RN 220976-99-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

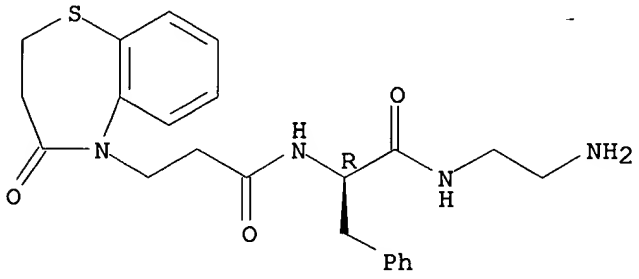
Absolute stereochemistry.



● HCl

RN 220977-00-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

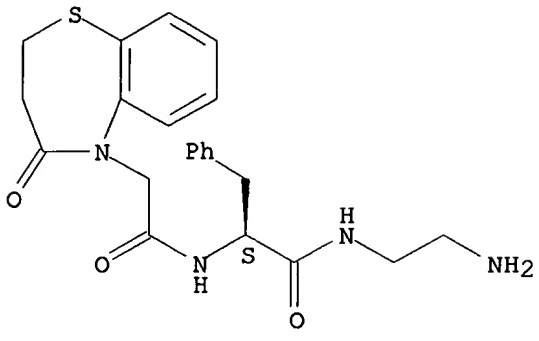
Absolute stereochemistry.



● HCl

RN 220977-01-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

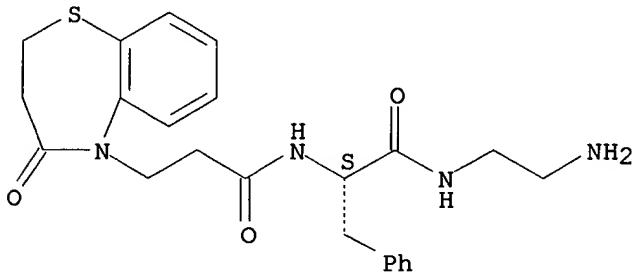
Absolute stereochemistry.



● HCl

RN 220977-02-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

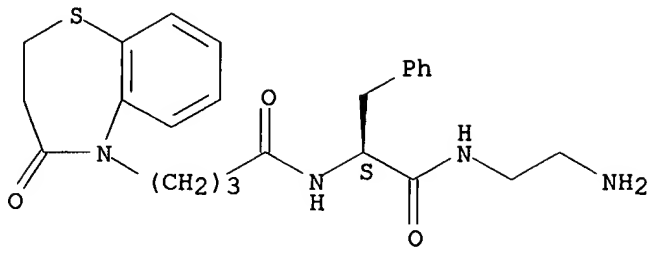
Absolute stereochemistry.



● HCl

RN 220977-03-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

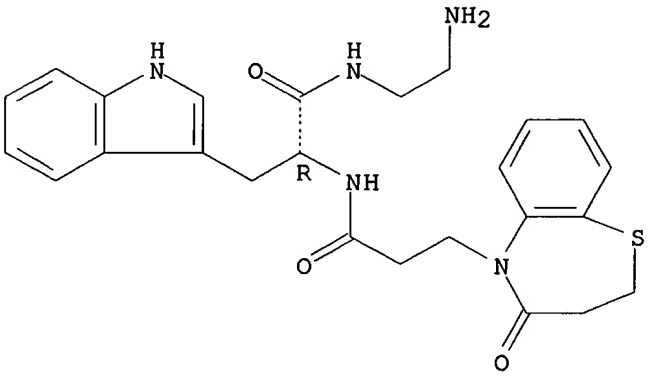
Absolute stereochemistry.



● HCl

RN 220977-04-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

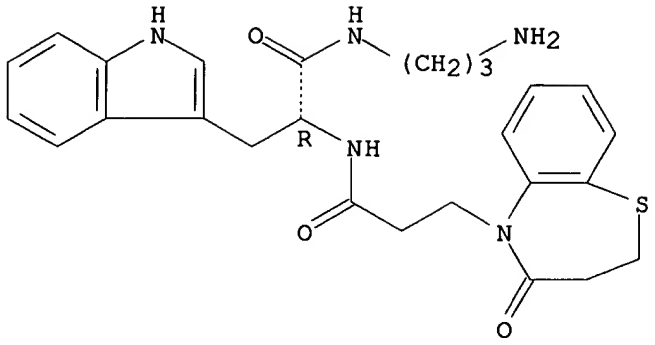
Absolute stereochemistry.



●x HCl

RN 220977-06-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

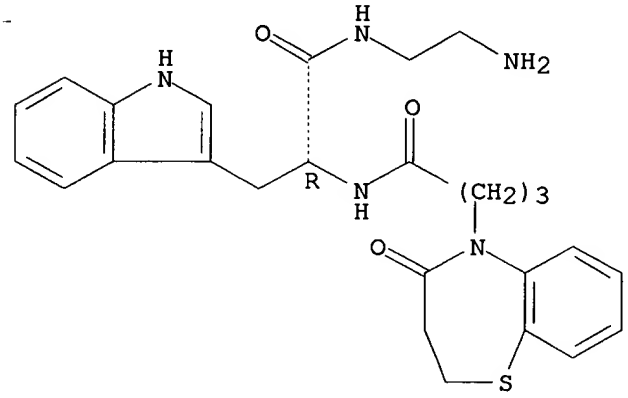
Absolute stereochemistry.



●x HCl

RN 220977-07-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

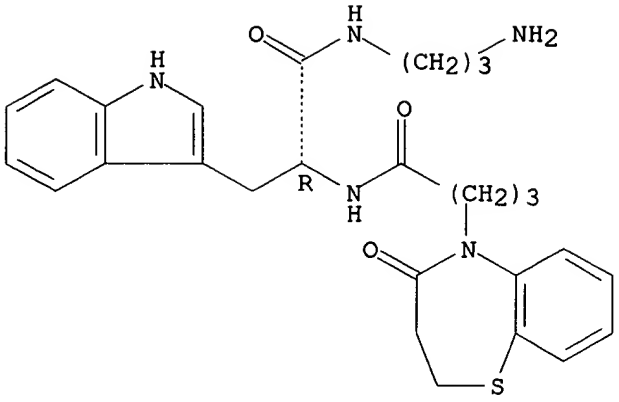
Absolute stereochemistry.



●x HCl

RN 220977-08-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

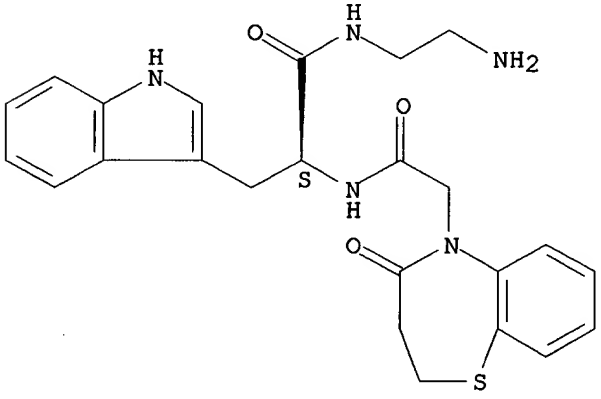
Absolute stereochemistry.



●x HCl

RN 220977-09-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide,
N-[(1S)-2-[(2-aminoethyl)amino]-1-(1H-
indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI)
(CA
INDEX NAME)

Absolute stereochemistry.

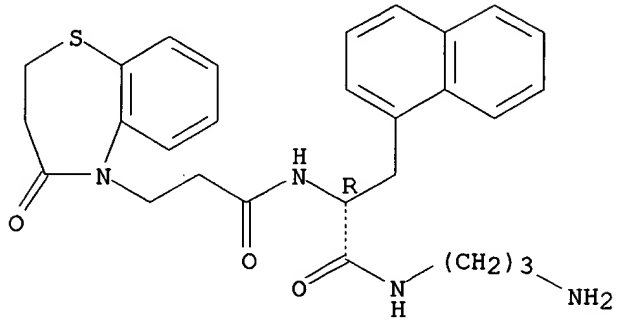


●x HCl

RN 220977-10-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-
(1-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride

(9CI) (CA INDEX NAME)

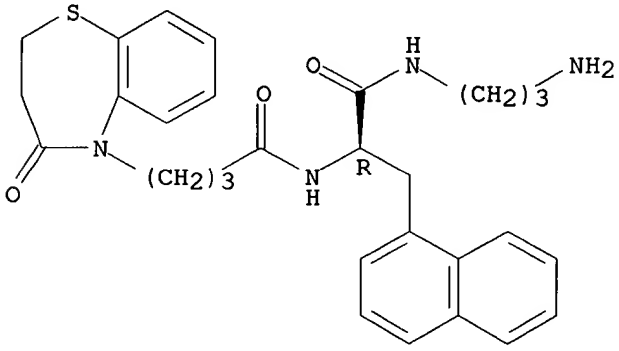
Absolute stereochemistry.



● HCl

RN 220977-11-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(1-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

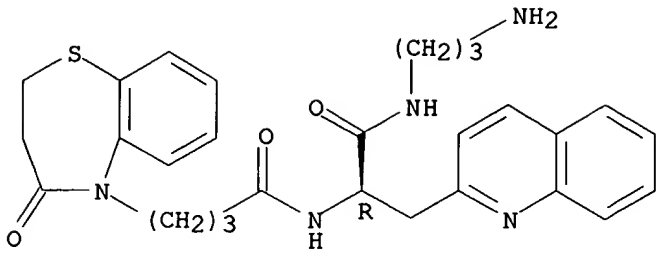
Absolute stereochemistry.



● HCl

RN 220977-12-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-(2-quinolinylmethyl)ethyl]-3,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

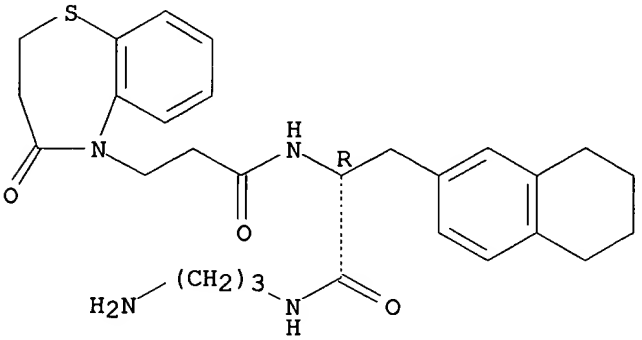
Absolute stereochemistry.



● x HCl

RN 220977-13-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

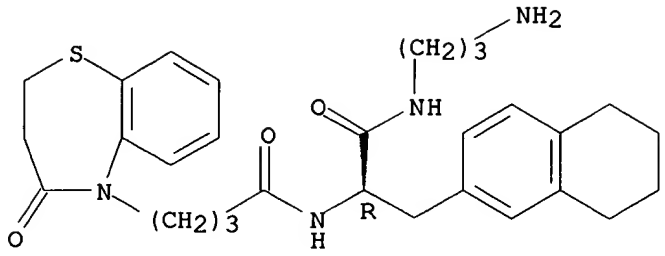
Absolute stereochemistry.



● HCl

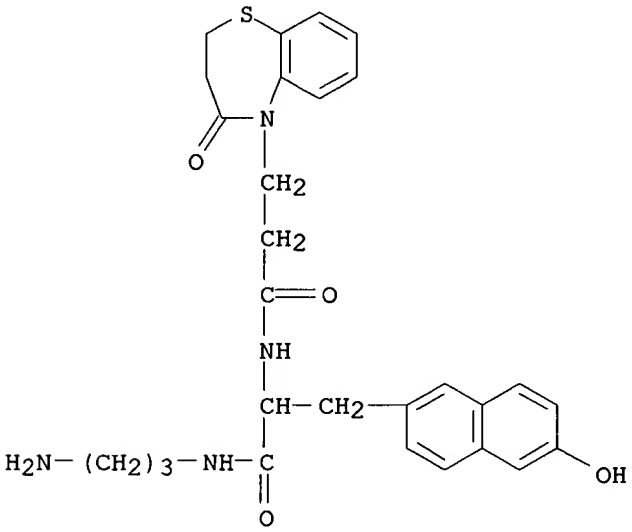
RN 220977-14-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



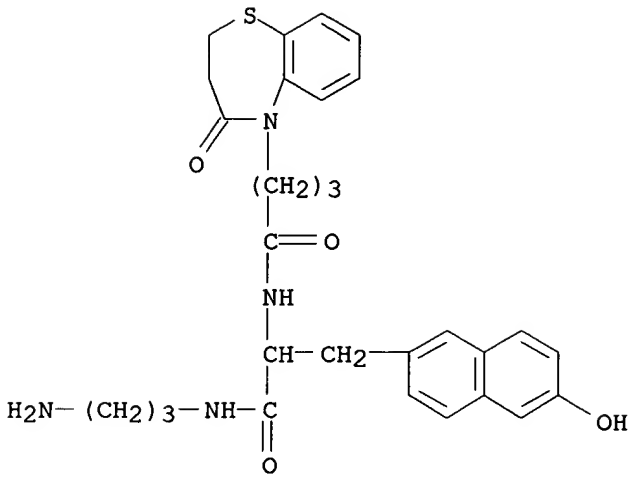
● HCl

RN 220977-15-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[2-[(3-aminopropyl)amino]-1-[(6-hydroxy-2-naphthalenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

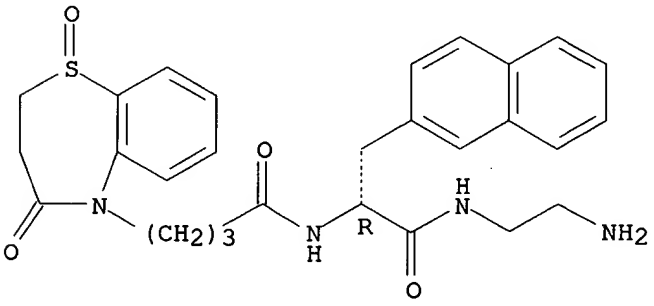
RN 220977-16-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[2-[(3-aminopropyl)amino]-1-[(6-hydroxy-2-naphthalenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 220977-17-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1-oxide, monohydrochloride (9CI) (CA INDEX NAME)

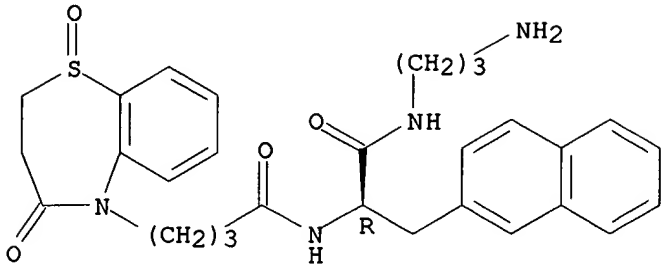
Absolute stereochemistry.



● HCl

RN 220977-18-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1-oxide, monohydrochloride (9CI) (CA INDEX NAME)

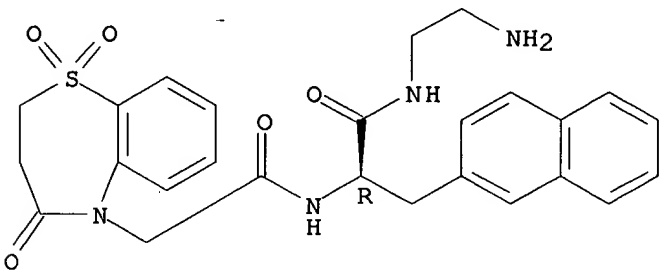
Absolute stereochemistry.



● HCl

RN 220977-19-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

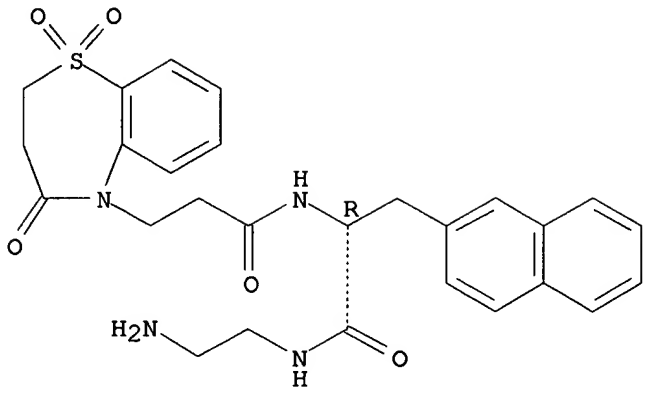
Absolute stereochemistry.



● HCl

RN 220977-20-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

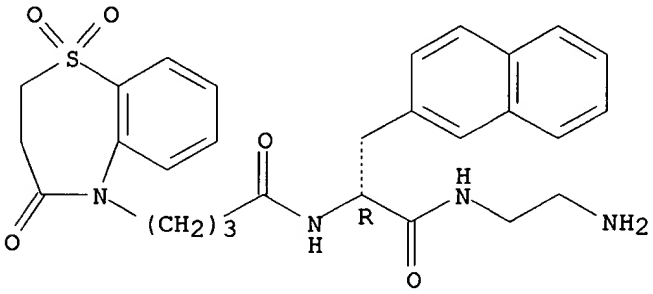
Absolute stereochemistry.



● HCl

RN 220977-21-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

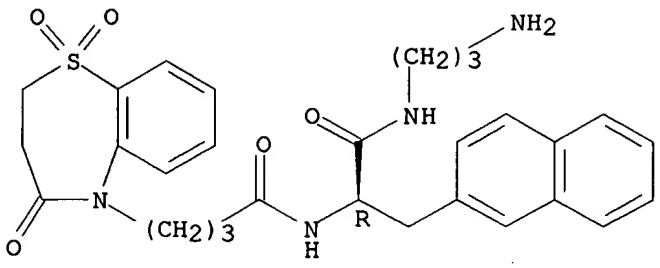
Absolute stereochemistry.



● HCl

RN 220977-22-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

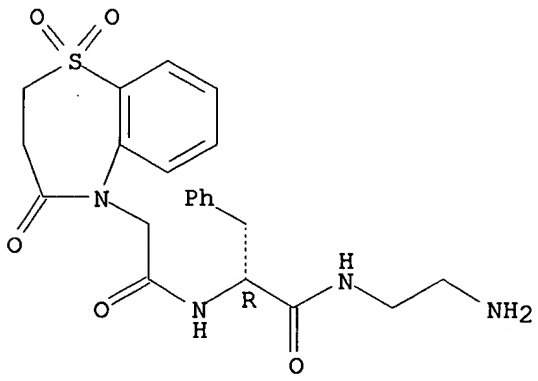
Absolute stereochemistry.



● HCl

RN 220977-23-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

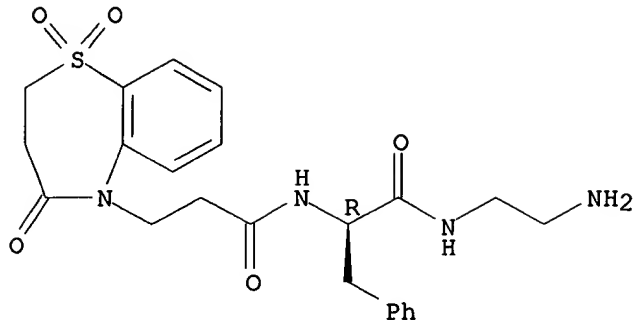
Absolute stereochemistry.



● HCl

RN 220977-24-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

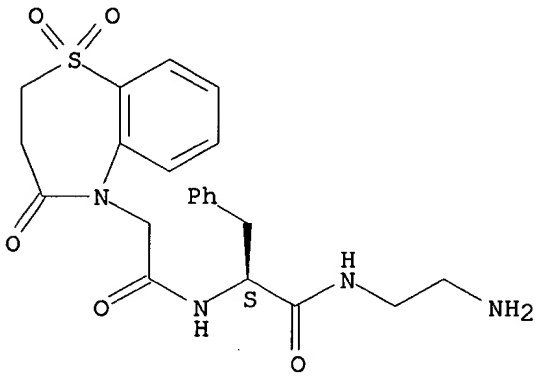
Absolute stereochemistry.



● HCl

RN 220977-25-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

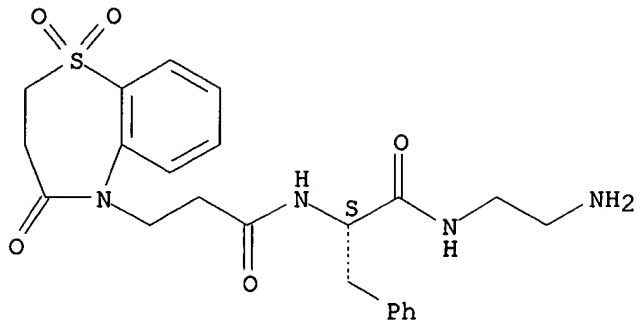
Absolute stereochemistry.



● HCl

RN 220977-26-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

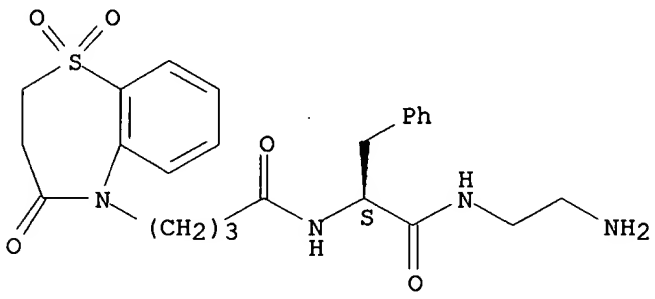
Absolute stereochemistry.



● HCl

RN 220977-27-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1S)-2-[(2-aminoethyl)amino]-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

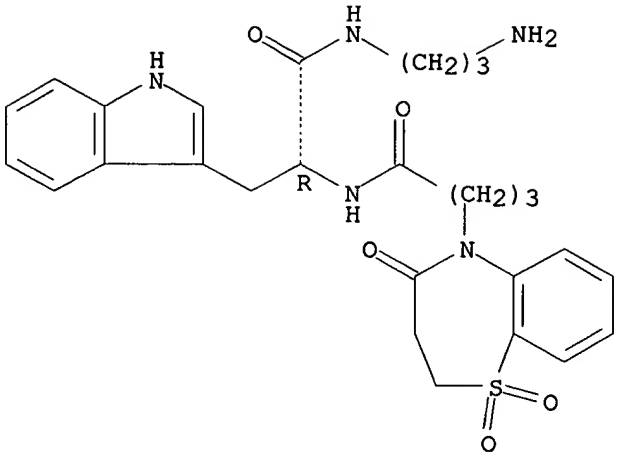
Absolute stereochemistry.



● HCl

RN 220977-28-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, 1,1-dioxide, hydrochloride (9CI) (CA INDEX NAME)

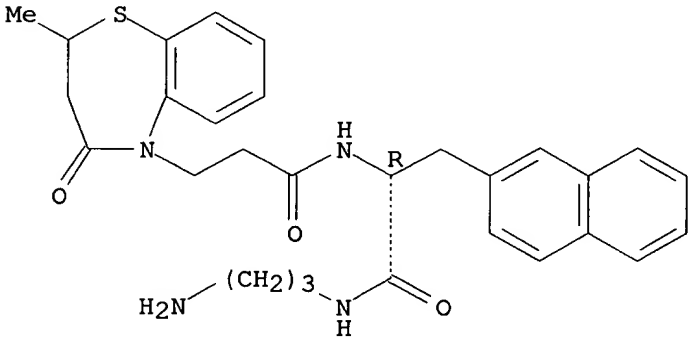
Absolute stereochemistry.



● x HCl

RN 220977-29-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-
(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2-methyl-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

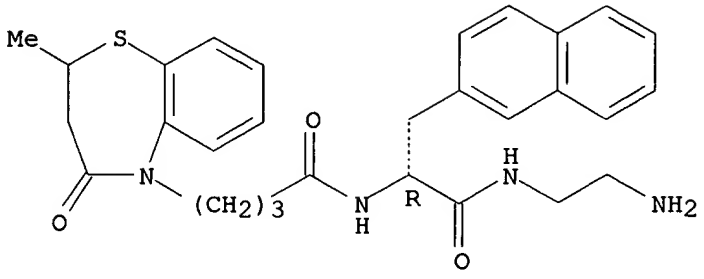
Absolute stereochemistry.



● HCl

RN 220977-30-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide,
N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2-methyl-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

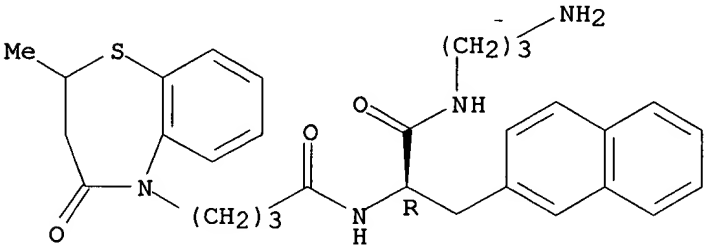
Absolute stereochemistry.



● HCl

RN 220977-31-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

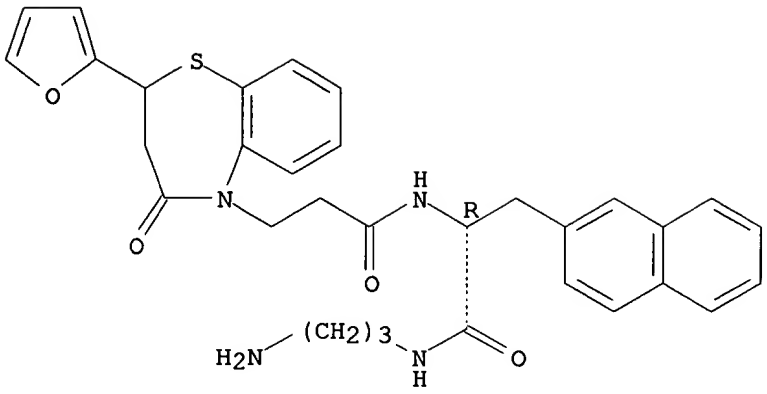
Absolute stereochemistry.



● HCl

RN 220977-32-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-2-(2-furanyl)-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

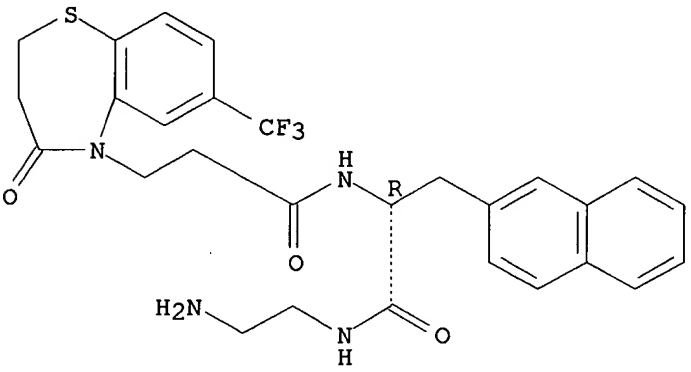
Absolute stereochemistry.



● HCl

RN 220977-33-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

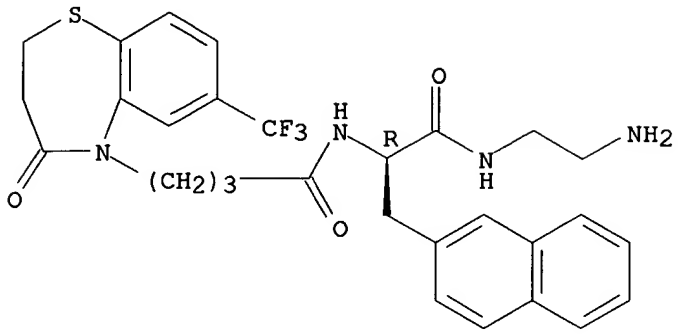
Absolute stereochemistry.



● HCl

RN 220977-34-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

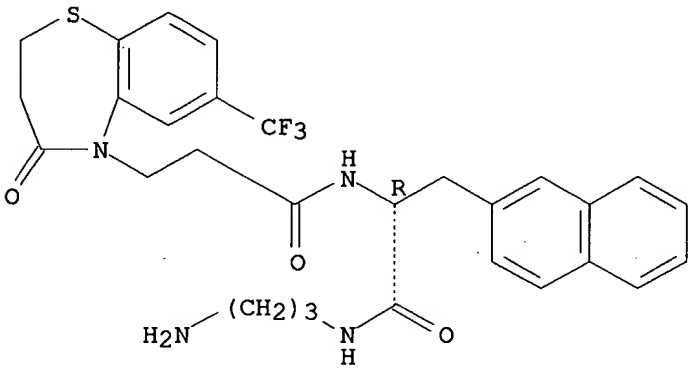


● HCl

RN 220977-35-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-

(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

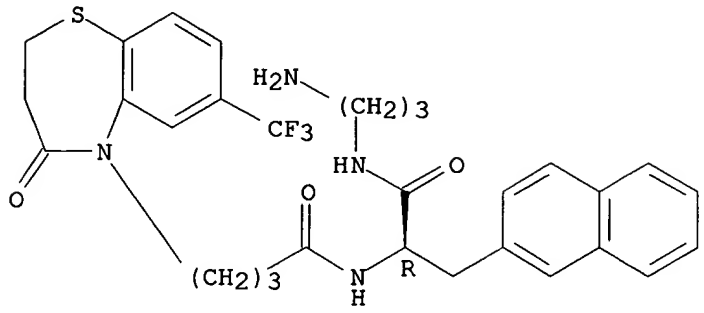


● HCl

RN 220977-36-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-

(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

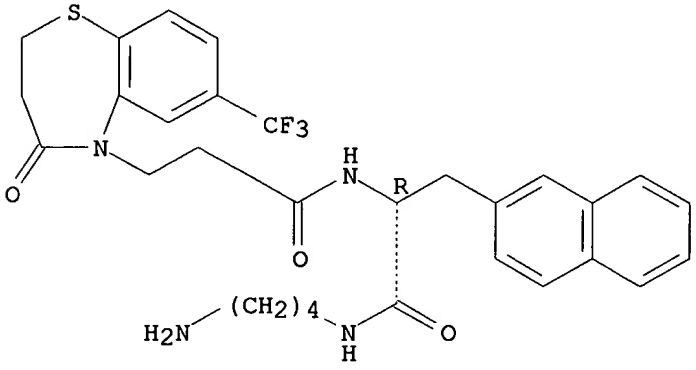
Absolute stereochemistry.



● HCl

RN 220977-37-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(4-aminobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

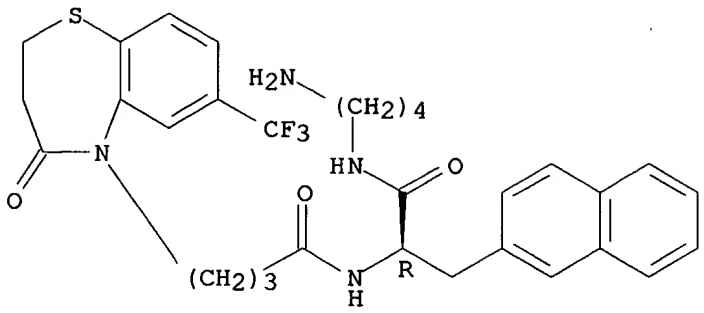
Absolute stereochemistry.



● HCl

RN 220977-38-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(4-aminobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

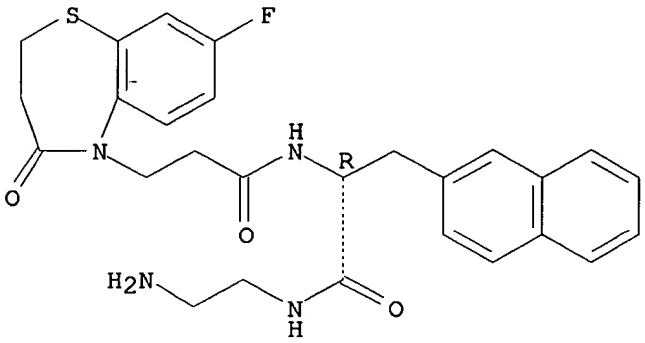
Absolute stereochemistry.



● HCl

RN 220977-39-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

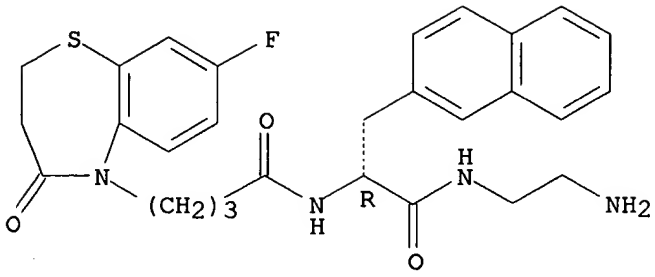
Absolute stereochemistry.



● HCl

RN 220977-40-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

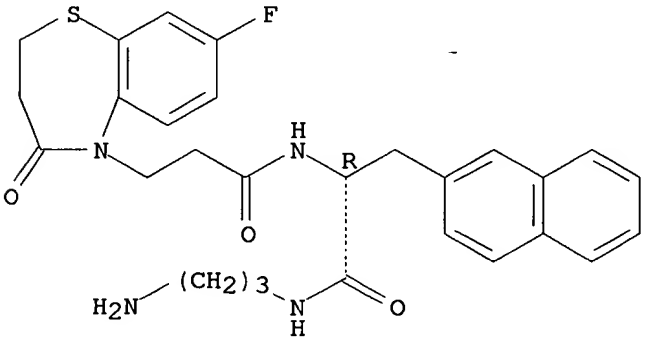
Absolute stereochemistry.



● HCl

RN 220977-41-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-
(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

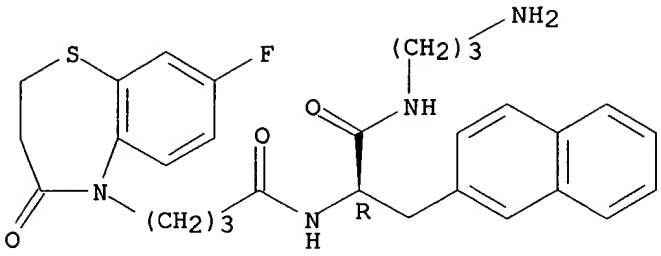
Absolute stereochemistry.



● HCl

RN 220977-42-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-
(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

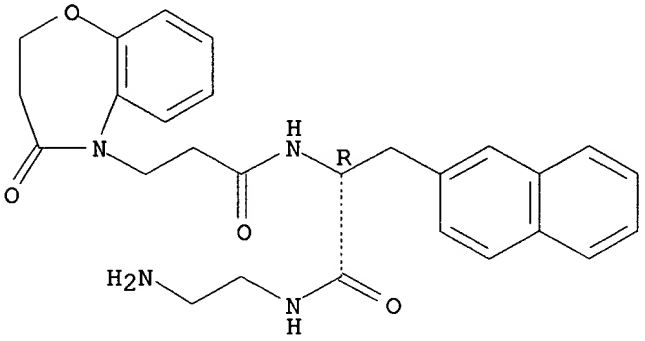
Absolute stereochemistry.



● HCl

RN 220977-54-8 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-propanamide,
N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride
(9CI) (CA INDEX NAME)

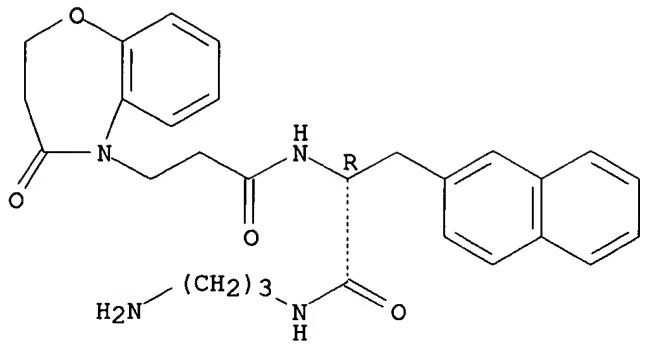
Absolute stereochemistry.



● HCl

RN 220977-55-9 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-propanamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-
naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride
(9CI) (CA INDEX NAME)

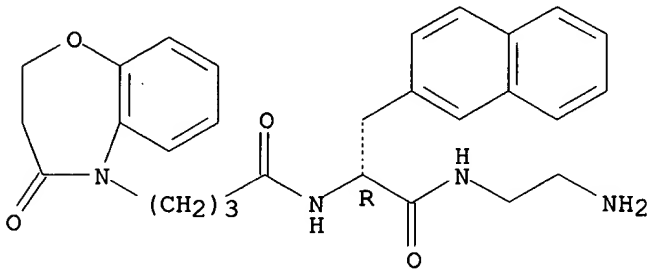
Absolute stereochemistry.



● HCl

RN 220977-56-0 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

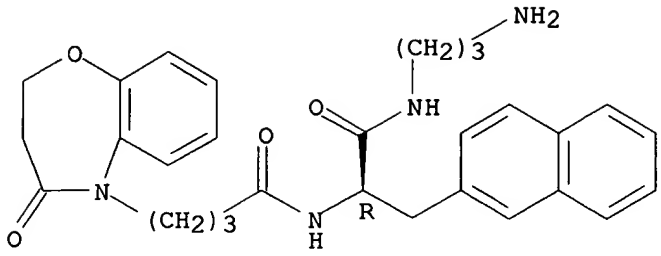
Absolute stereochemistry.



● HCl

RN 220977-57-1 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

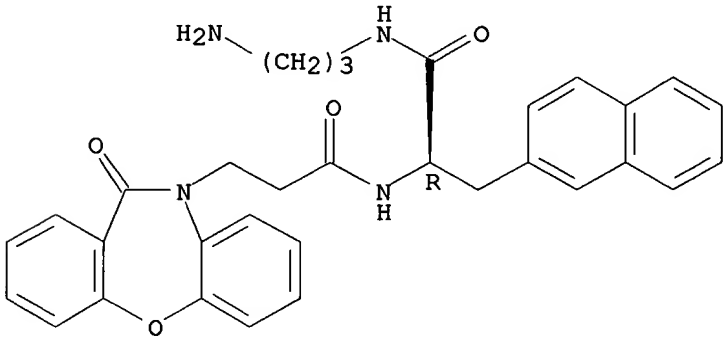
Absolute stereochemistry.



● HCl

RN 220977-77-5 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

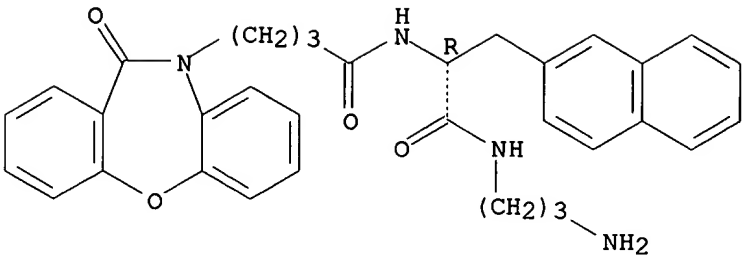
Absolute stereochemistry.



● HCl

RN 220977-78-6 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

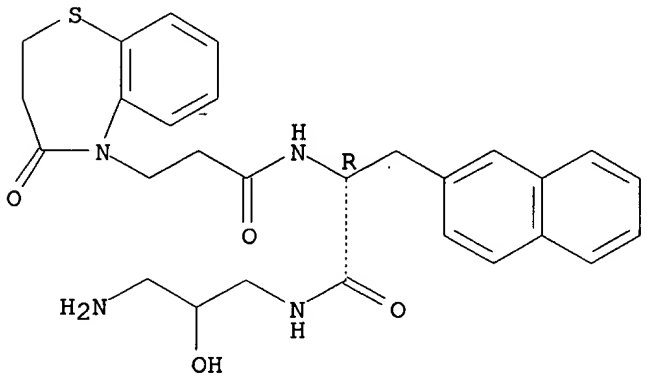
Absolute stereochemistry.



● HCl

RN 220977-89-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

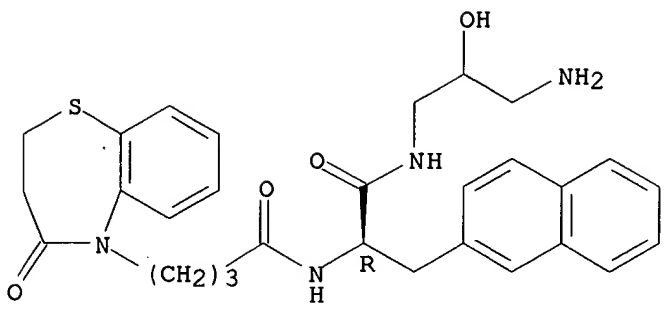
Absolute stereochemistry.



● HCl

RN 220977-91-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

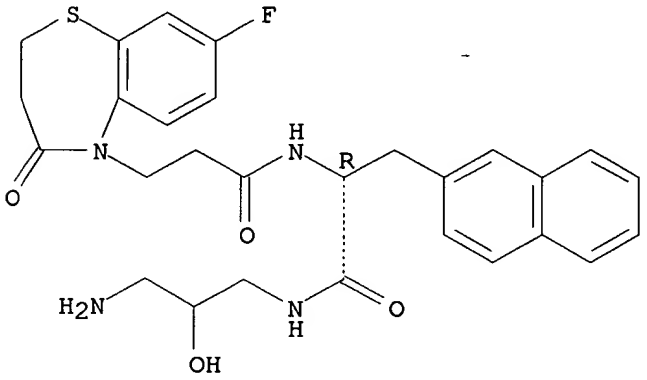
Absolute stereochemistry.



● HCl

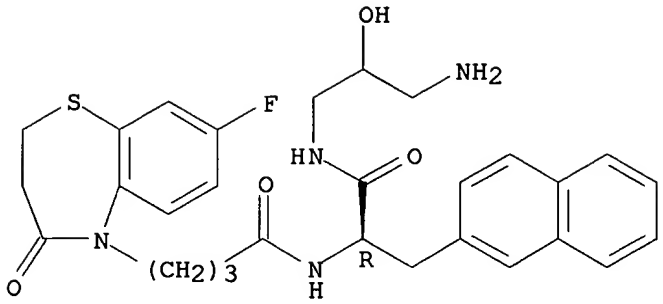
RN 220977-92-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



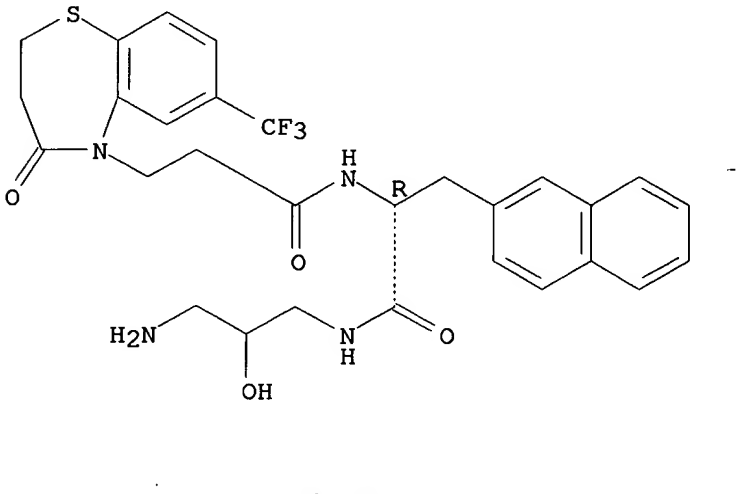
RN 220977-93-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-8-fluoro-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220977-95-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

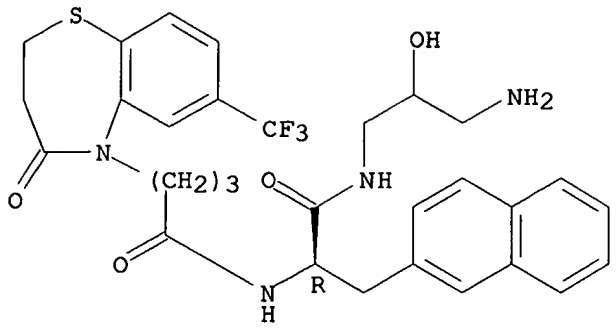
Absolute stereochemistry.



● HCl

RN 220977-96-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-7-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

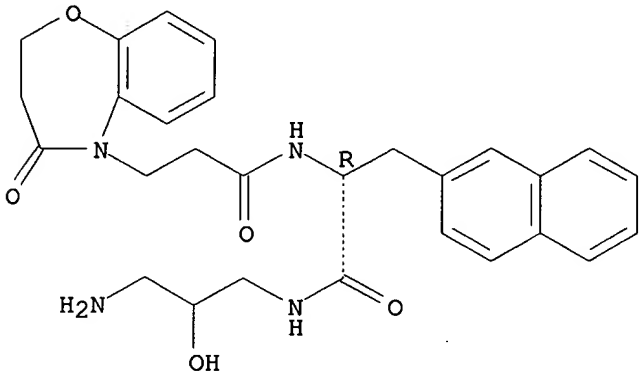
Absolute stereochemistry.



● HCl

RN 220978-01-8 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

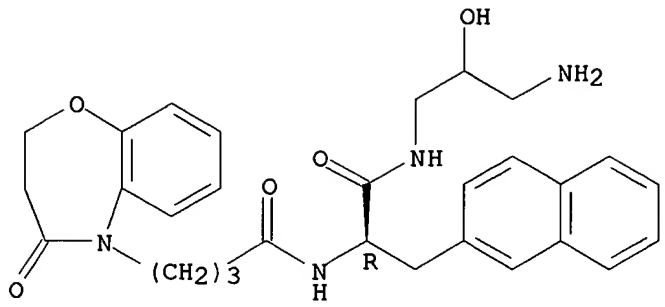
Absolute stereochemistry.



● HCl

RN 220978-04-1 CAPLUS
CN 1,5-Benzoxazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

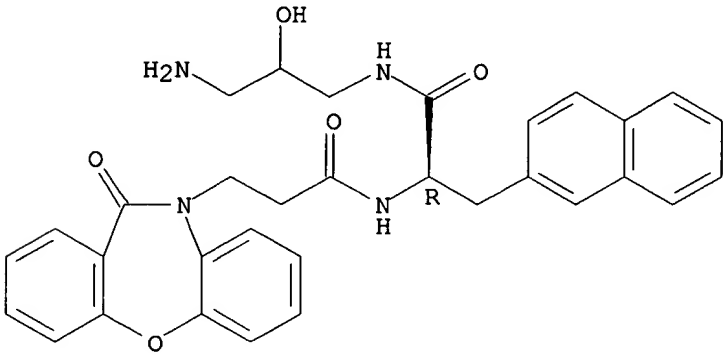
Absolute stereochemistry.



● HCl

RN 220978-12-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

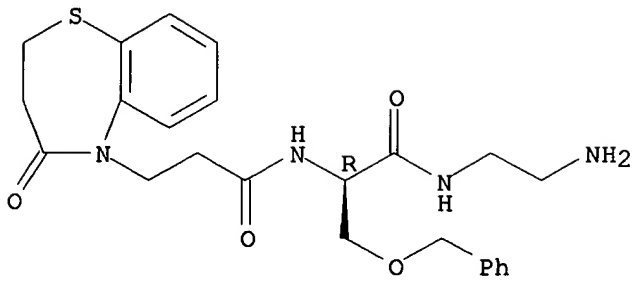
Absolute stereochemistry.



● HCl

RN 220978-28-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

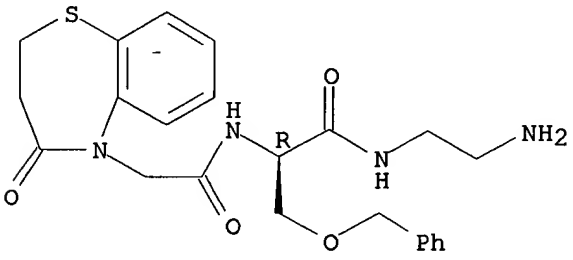
Absolute stereochemistry.



● HCl

RN 220978-33-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

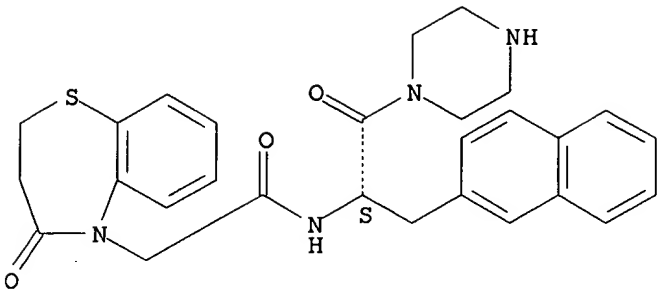
Absolute stereochemistry.



● HCl

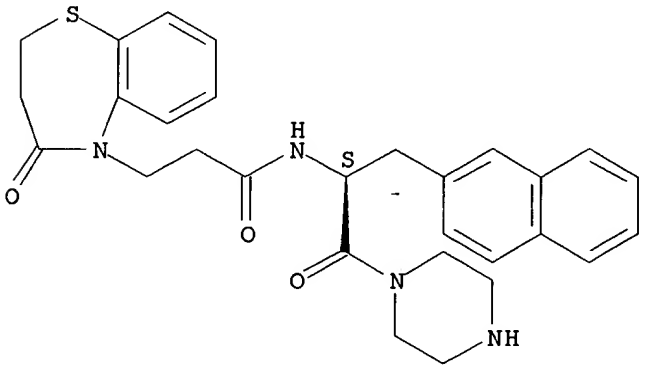
RN 220978-39-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetamide, 3,4-dihydro-N-[(1S)-1-(2-naphthalenylmethyl)-2-oxo-2-(1-piperazinyl)ethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



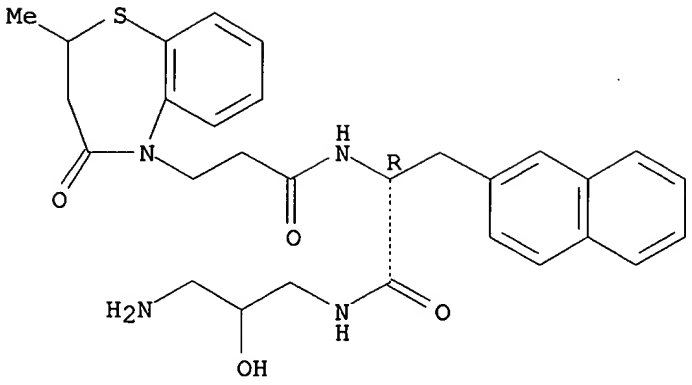
RN 220978-44-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1S)-1-(2-naphthalenylmethyl)-2-oxo-2-(1-piperazinyl)ethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



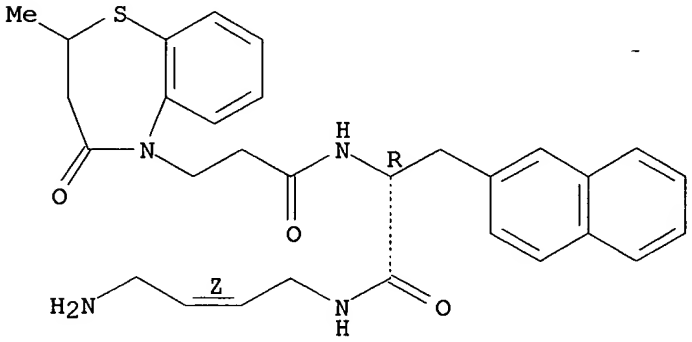
RN 220978-48-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



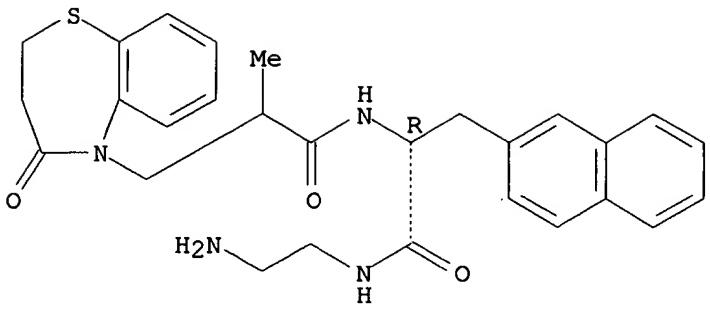
RN 220978-51-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[[(2Z)-4-amino-2-butenyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 220978-91-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-.alpha.-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

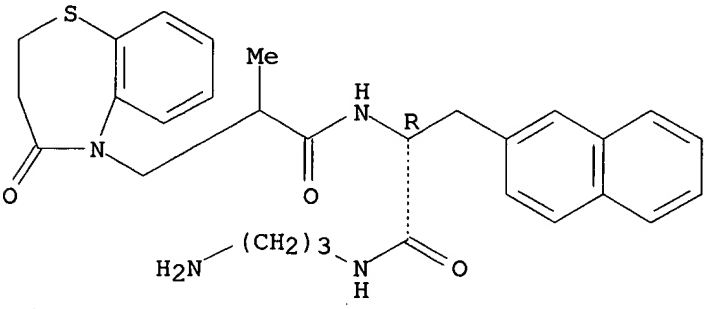
Absolute stereochemistry.



● HCl

RN 220978-93-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-[(3-aminopropyl)amino]-1-
(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-.alpha.-methyl-4-oxo-
(9CI)
(CA INDEX NAME)

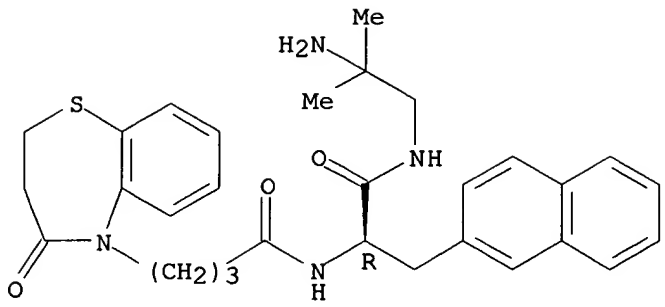
Absolute stereochemistry.



RN 220978-96-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(2-amino-2-

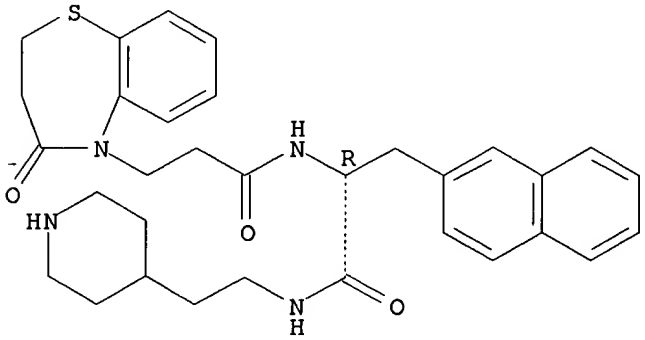
methylpropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



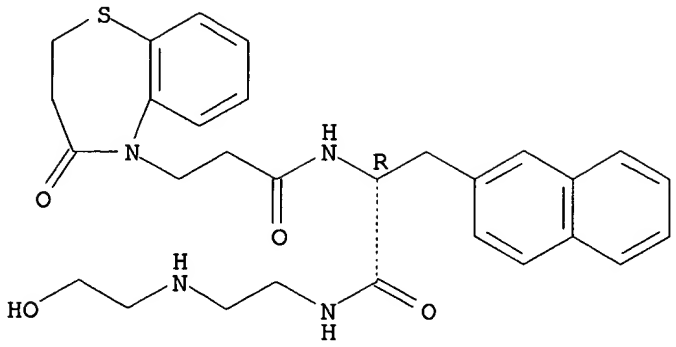
RN 220978-99-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-1-(2-naphthalenylmethyl)-2-oxo-2-[[2-(4-piperidinyl)ethyl]amino]ethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



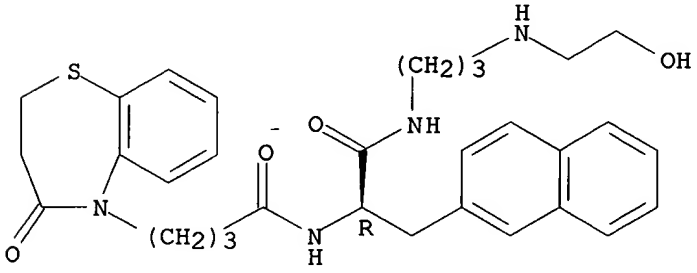
RN 220979-01-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-2-[[2-[(2-hydroxyethyl)amino]ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



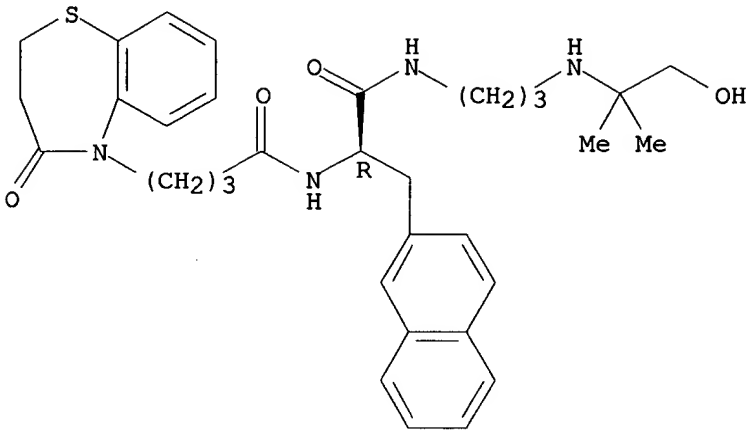
RN 220979-04-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, 3,4-dihydro-N-[(1R)-2-[[3-[(2-hydroxyethyl)amino]propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



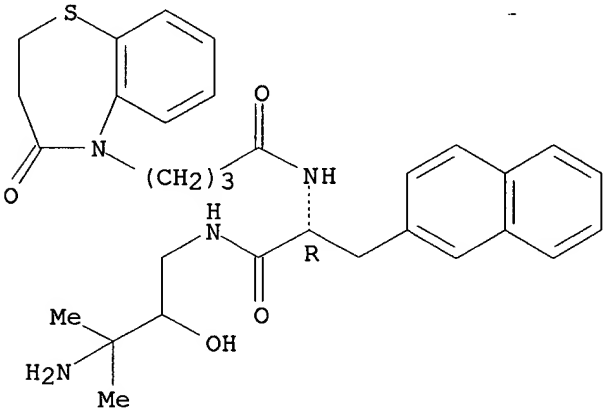
RN 220979-07-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, 3,4-dihydro-N-[(1R)-2-[[3-[(2-hydroxy-1,1-dimethylethyl)amino]propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



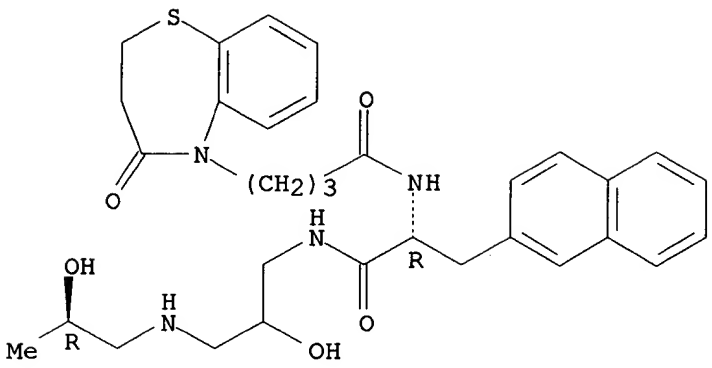
RN 220979-08-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxy-3-methylbutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



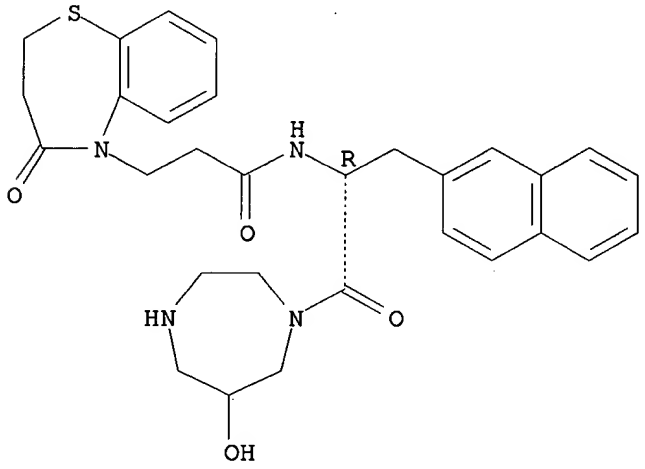
RN 220979-09-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, 3,4-dihydro-N-[(1R)-2-[[2-hydroxy-3-[[(2R)-2-hydroxypropyl]amino]propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



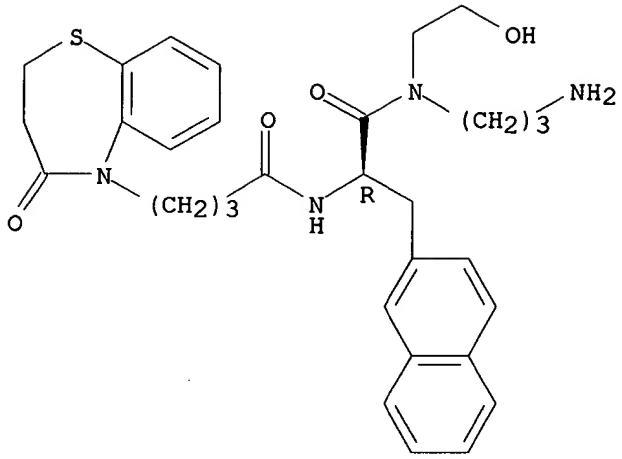
RN 220979-10-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-(hexahydro-6-hydroxy-1H-1,4-diazepin-1-yl)-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



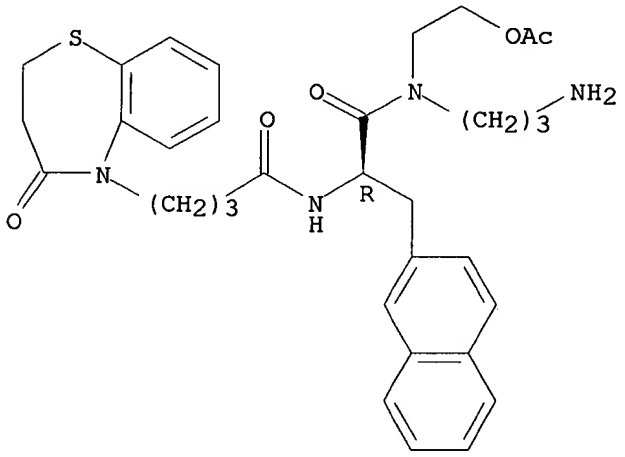
RN 220979-11-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)(2-hydroxyethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



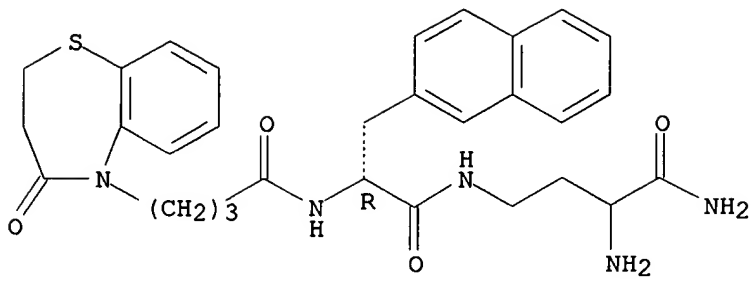
RN 220979-12-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[2-(acetyloxy)ethyl](3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220979-14-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3,4-diamino-4-oxobutyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

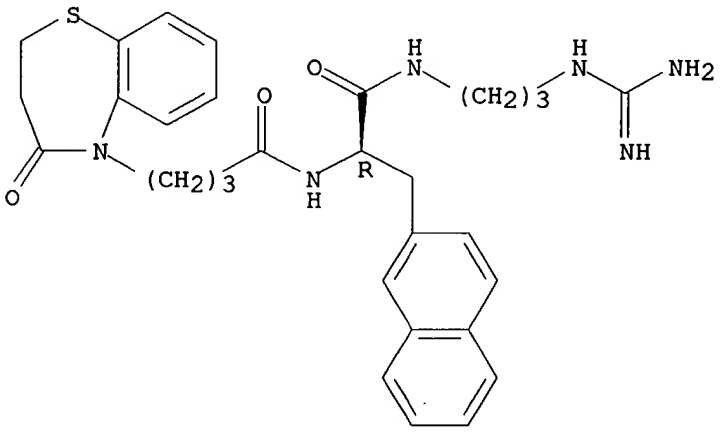
Absolute stereochemistry.



● HCl

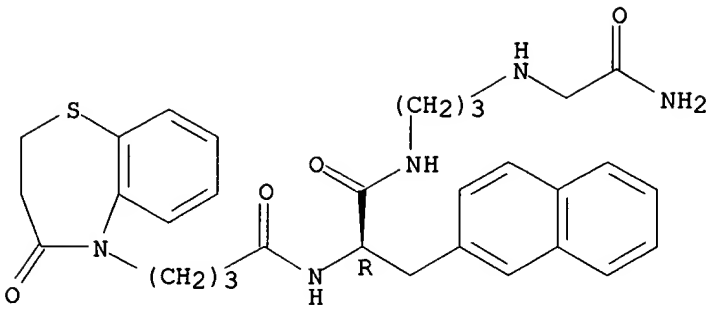
RN 220979-15-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[3-[(aminoiminomethyl)amino]propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



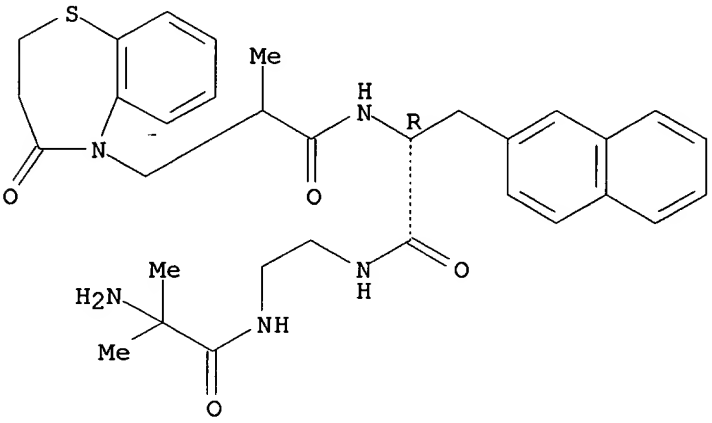
RN 220979-16-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[3-[(2-amino-2-oxoethyl)amino]propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220979-18-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide,
N-[(1R)-2-[[2-[(2-amino-2-methyl-1-
oxopropyl)amino]ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-
dihydro-.alpha.-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

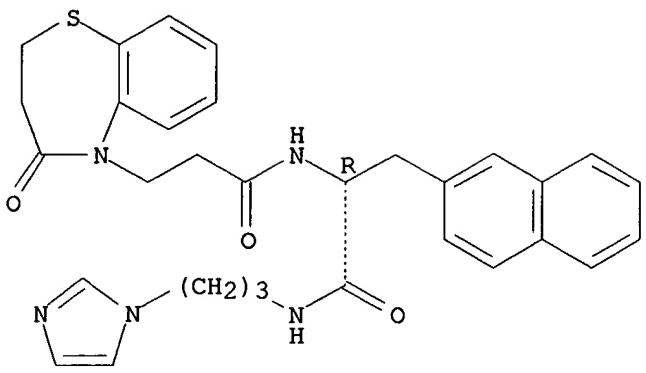
Absolute stereochemistry.



● HCl

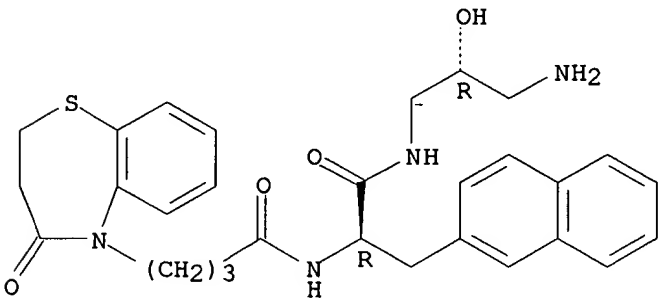
RN 220979-19-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, 3,4-dihydro-N-[(1R)-2-[[3-(1H-
imidazol-1-yl)propyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-4-oxo-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



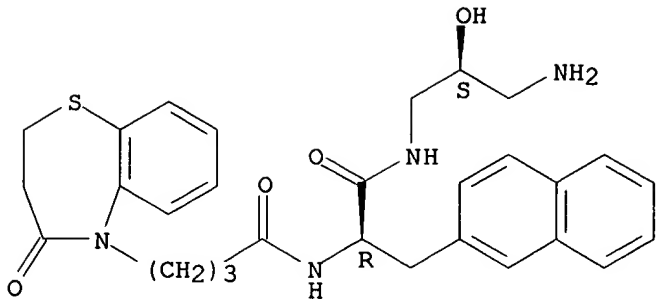
RN 220979-20-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[(2R)-3-amino-2-hydroxypropyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



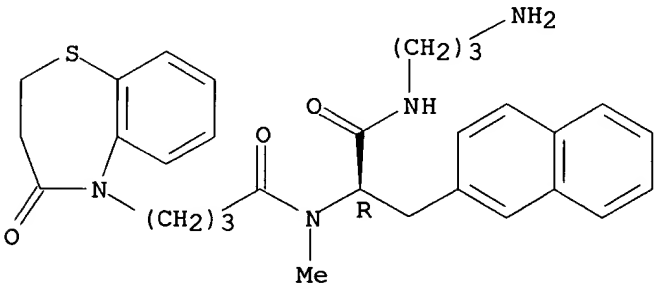
RN 220979-21-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[[(2S)-3-amino-2-hydroxypropyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



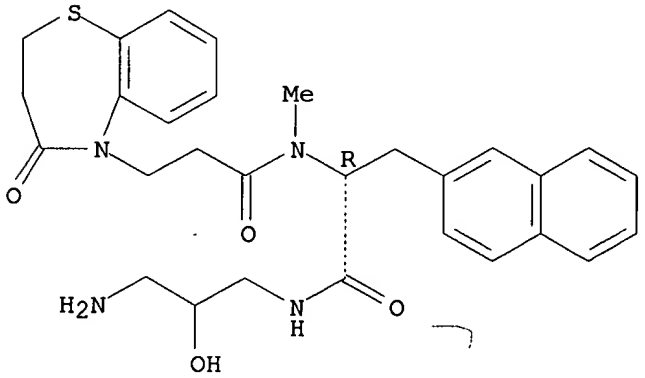
RN 220979-23-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



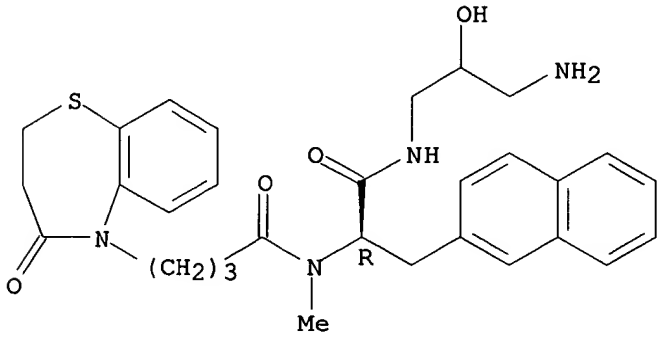
RN 220979-24-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



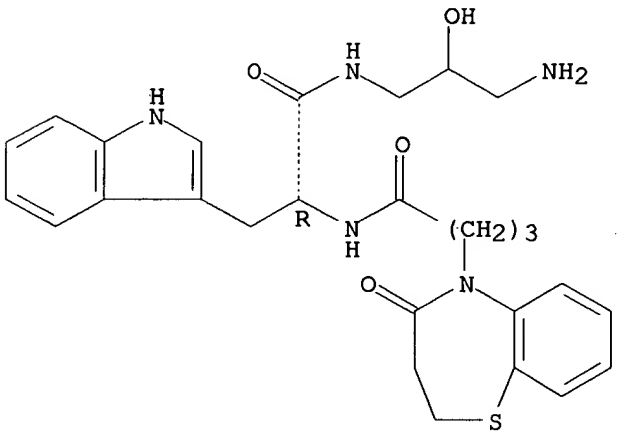
RN 220979-25-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-N-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



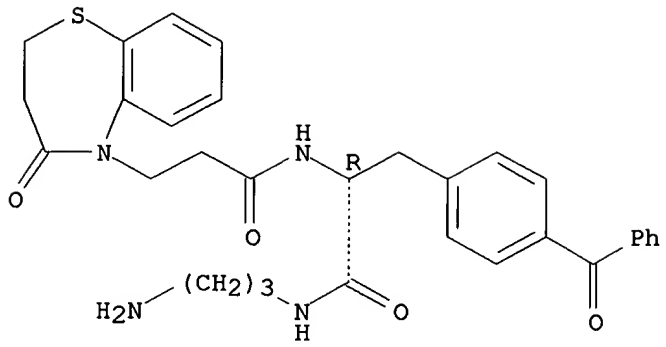
RN 220979-26-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220979-27-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

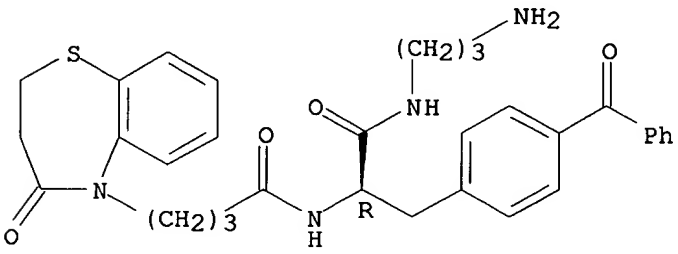
Absolute stereochemistry.



● HCl

RN 220979-28-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

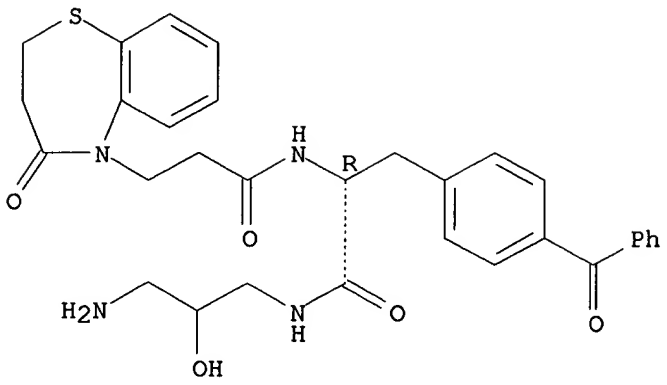
Absolute stereochemistry.



● HCl

RN 220979-29-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

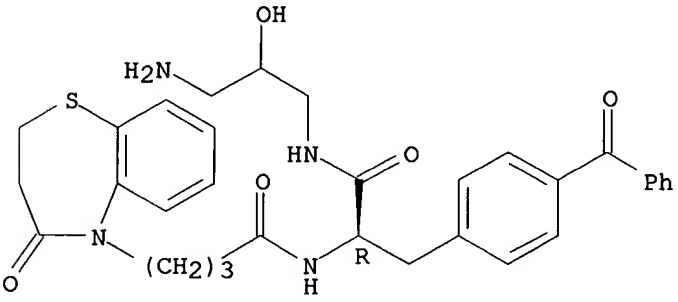
Absolute stereochemistry.



● HCl

RN 220979-31-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-[(4-benzoylphenyl)methyl]-2-oxoethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

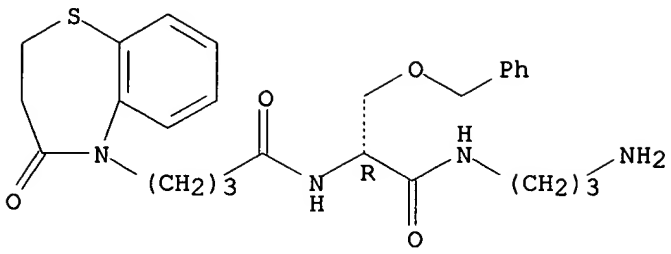
Absolute stereochemistry.



● HCl

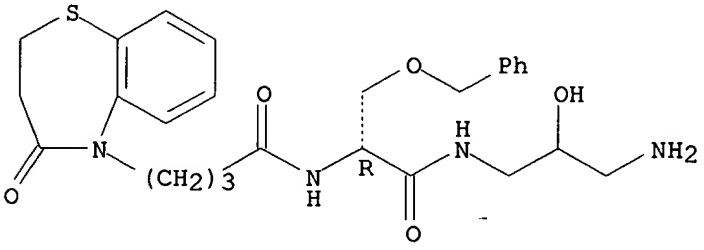
RN 220979-32-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



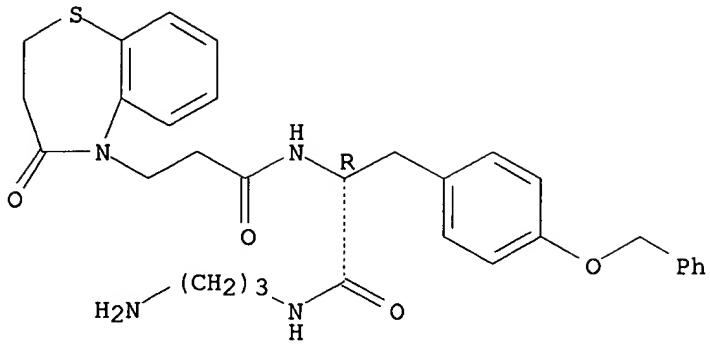
RN 220979-33-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220979-34-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

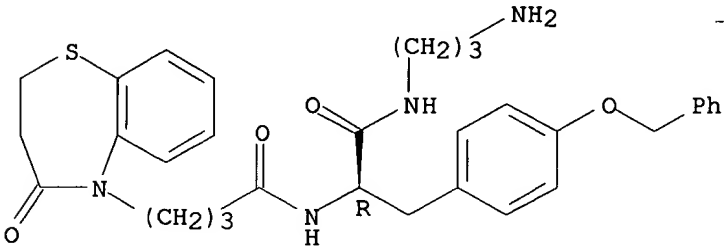
Absolute stereochemistry.



● HCl

RN 220979-35-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

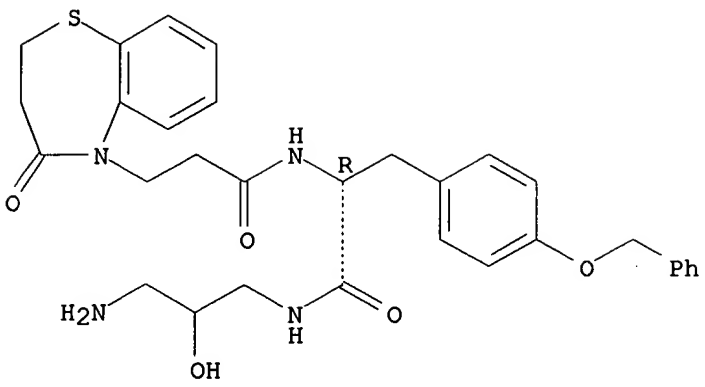
Absolute stereochemistry.



● HCl

RN 220979-36-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

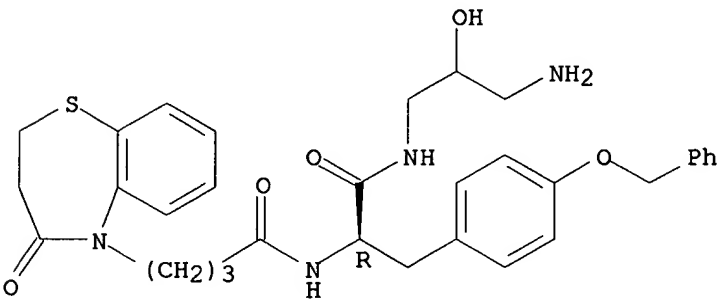
Absolute stereochemistry.



● HCl

RN 220979-37-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-3,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

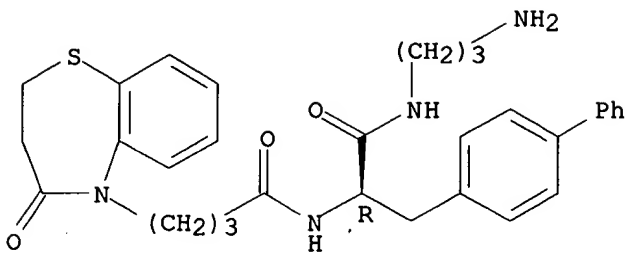
Absolute stereochemistry.



● HCl

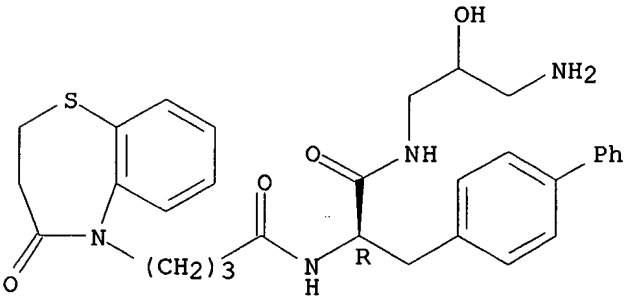
RN 220979-38-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



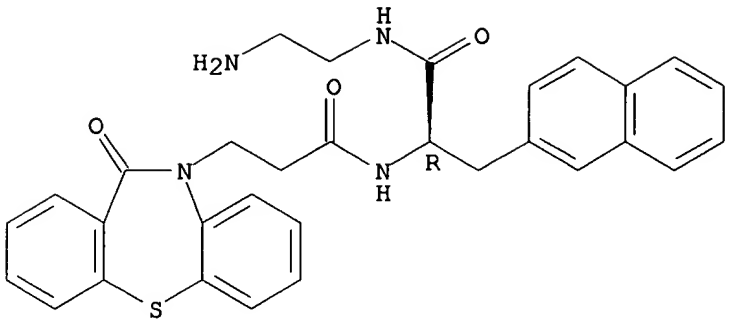
RN 220979-40-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-([1,1'-biphenyl]-4-ylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220979-60-2 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

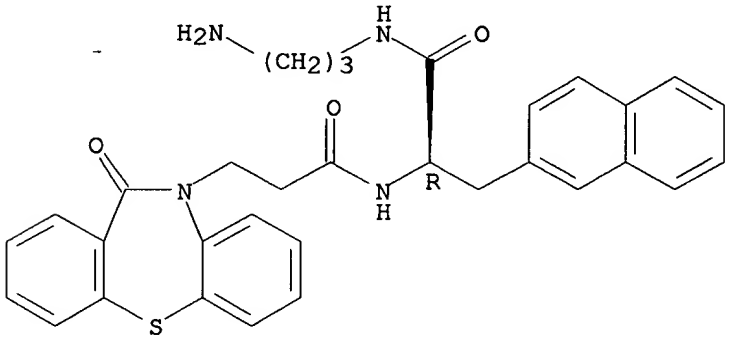
Absolute stereochemistry.



● HCl

RN 220979-61-3 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

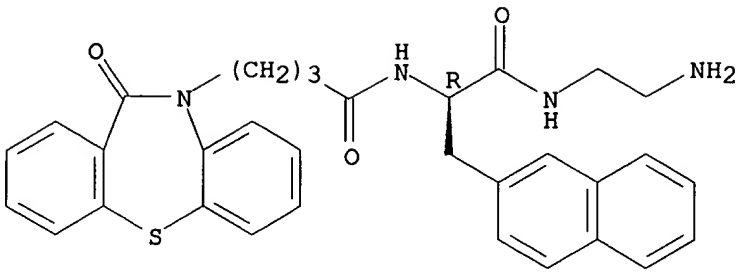
Absolute stereochemistry.



● HCl

RN 220979-62-4 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

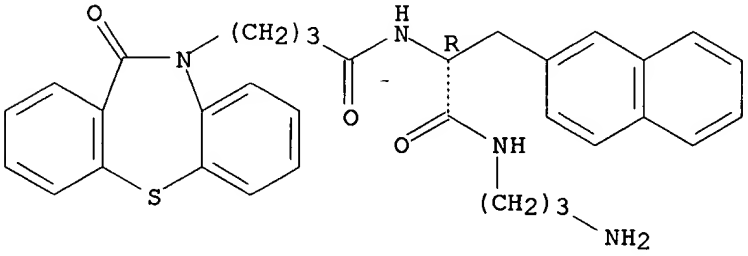
Absolute stereochemistry.



● HCl

RN 220979-63-5 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

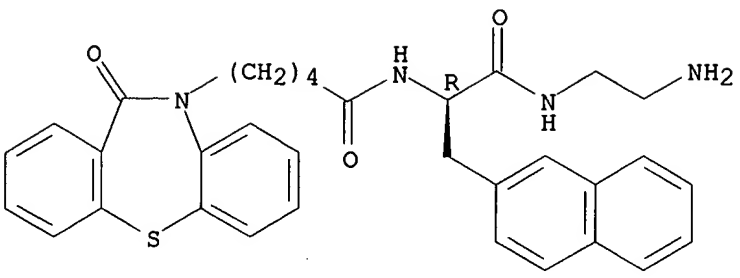
Absolute stereochemistry.



● HCl

RN 220979-64-6 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

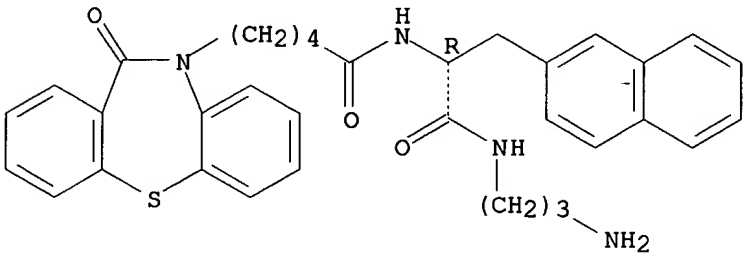
Absolute stereochemistry.



● HCl

RN 220979-65-7 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

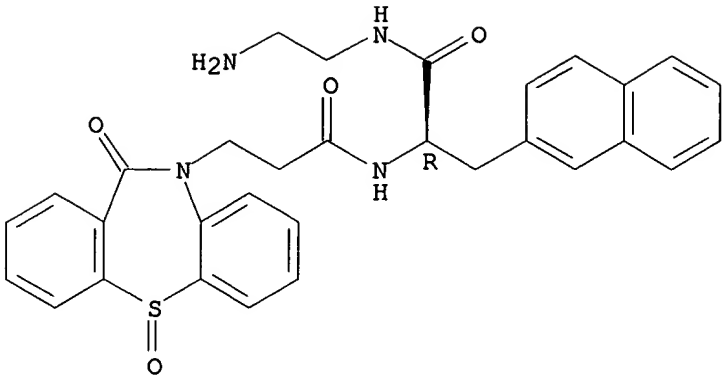
Absolute stereochemistry.



● HCl

RN 220979-66-8 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

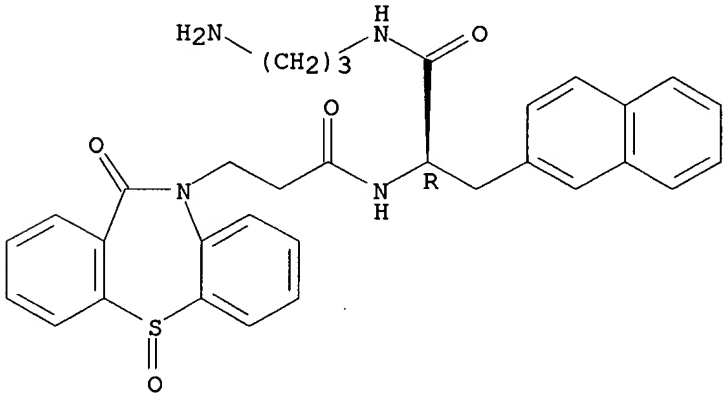
Absolute stereochemistry.



● HCl

RN 220979-67-9 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

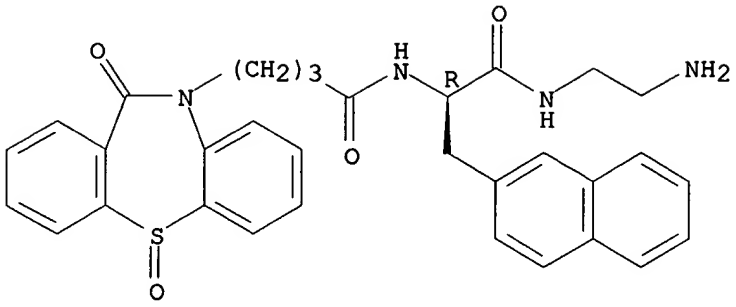
Absolute stereochemistry.



● HCl

RN 220979-69-1 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

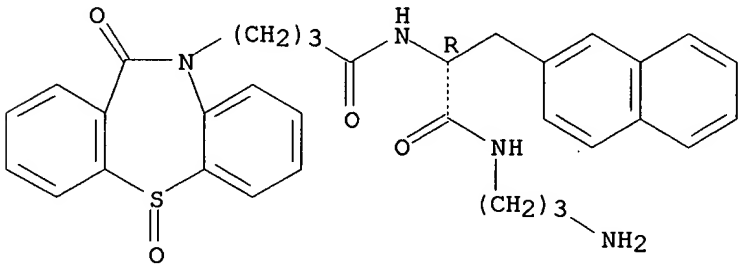
Absolute stereochemistry.



● HCl

RN 220979-70-4 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

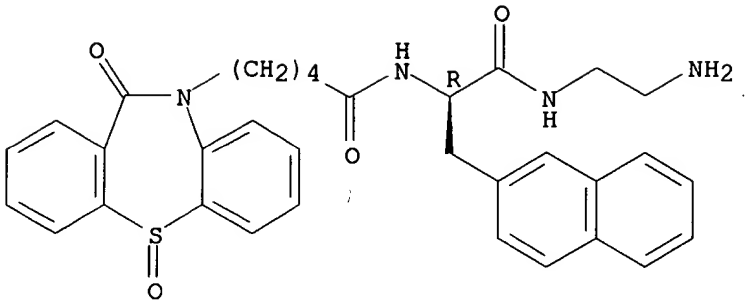
Absolute stereochemistry.



● HCl

RN 220979-71-5 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

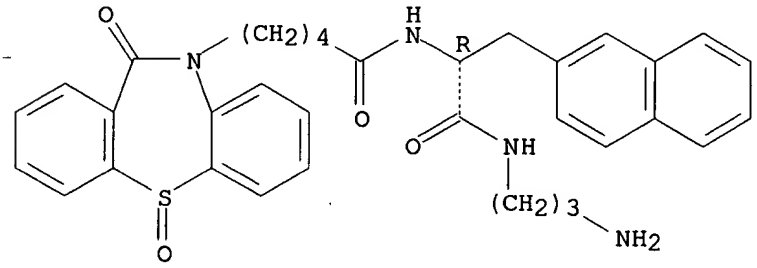
Absolute stereochemistry.



● HCl

RN 220979-72-6 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

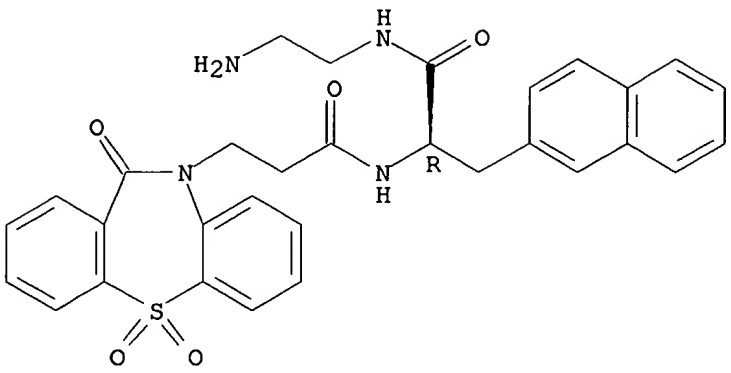
Absolute stereochemistry.



● HCl

RN 220979-73-7 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

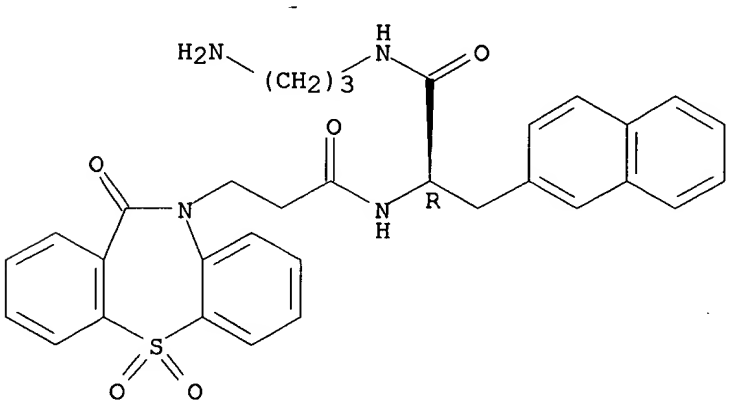
Absolute stereochemistry.



● HCl

RN 220979-74-8 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

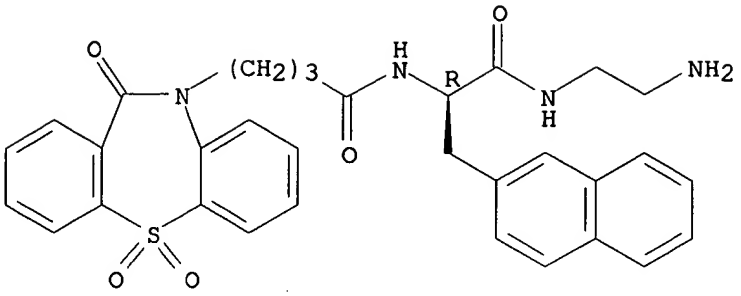
Absolute stereochemistry.



● HCl

RN 220979-75-9 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

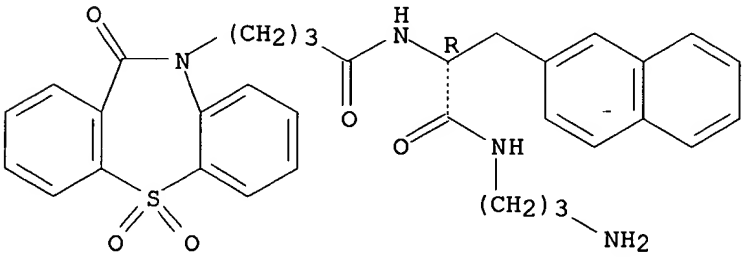
Absolute stereochemistry.



● HCl

RN 220979-76-0 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

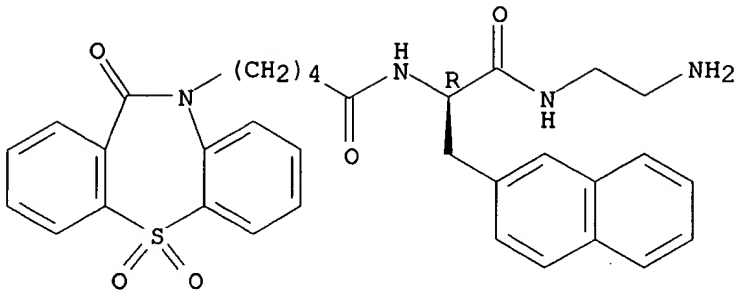
Absolute stereochemistry.



● HCl

RN 220979-77-1 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(2-aminoethyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

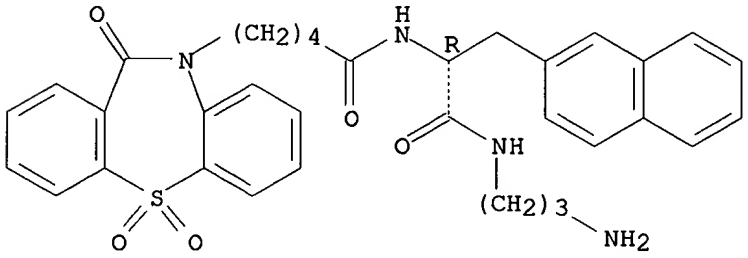
Absolute stereochemistry.



● HCl

RN 220979-78-2 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

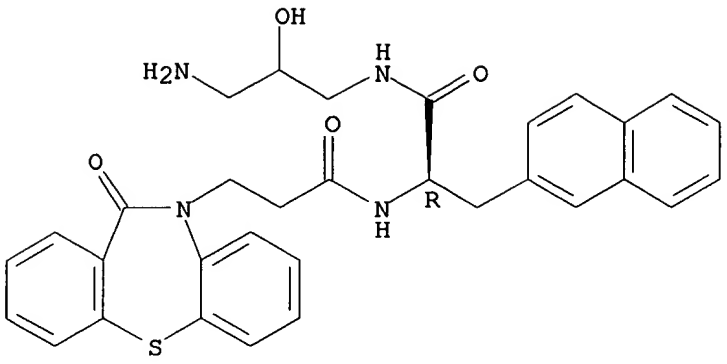
Absolute stereochemistry.



● HCl

RN 220979-91-9 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

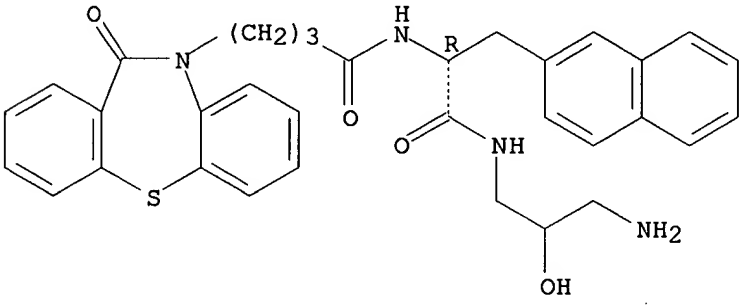
Absolute stereochemistry.



● HCl

RN 220979-93-1 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

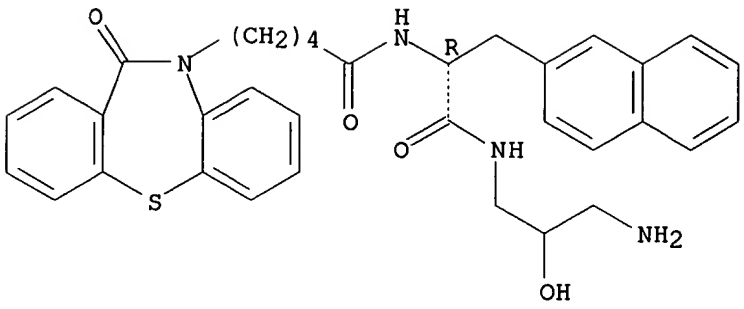
Absolute stereochemistry.



● HCl

RN 220979-94-2 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

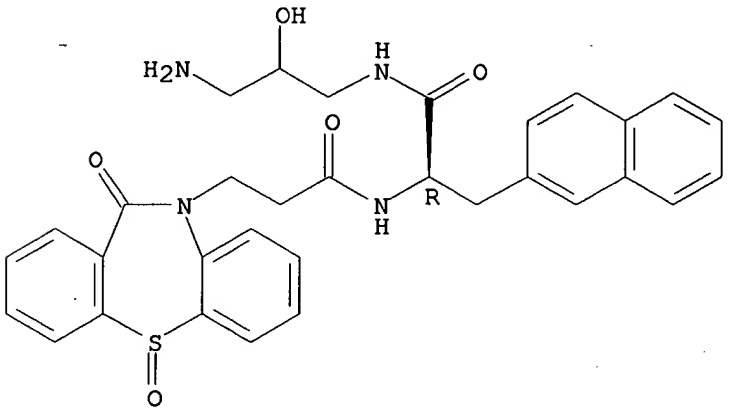
Absolute stereochemistry.



● HCl

RN 220979-95-3 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

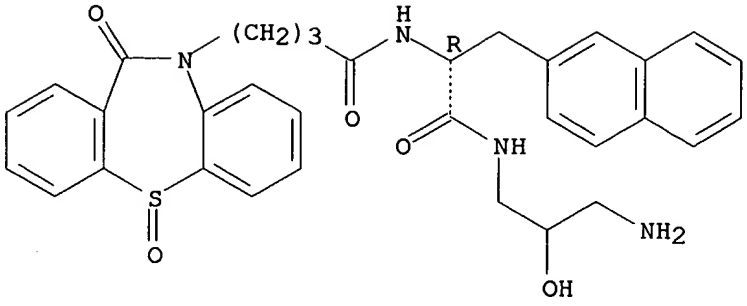
Absolute stereochemistry.



● HCl

RN 220979-96-4 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

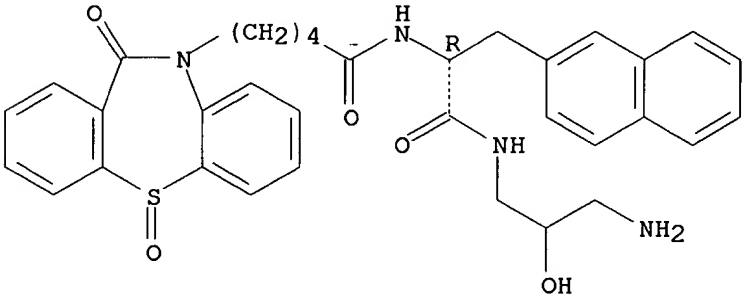
Absolute stereochemistry.



● HCl

RN 220979-97-5 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5-oxide, monohydrochloride (9CI) (CA INDEX NAME)

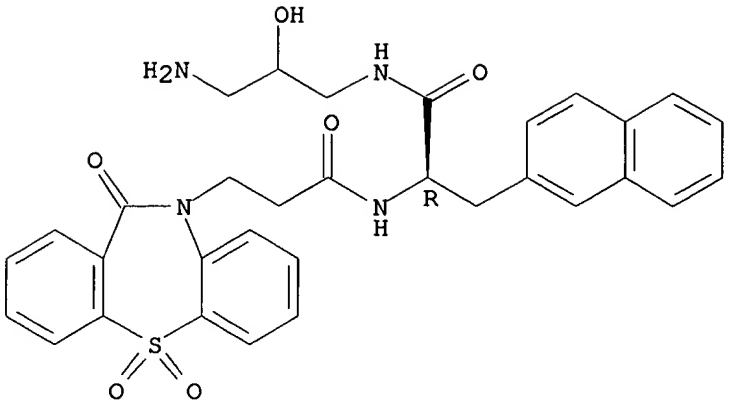
Absolute stereochemistry.



● HCl

RN 220979-98-6 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

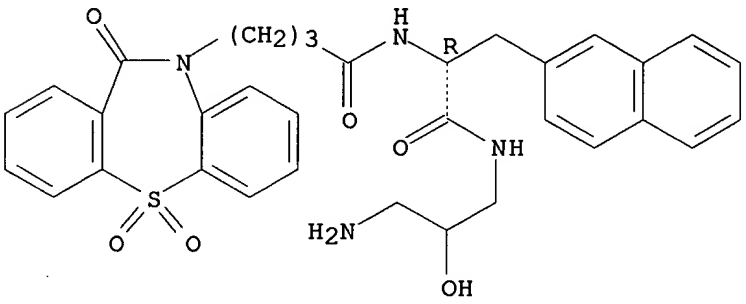
Absolute stereochemistry.



● HCl

RN 220979-99-7 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

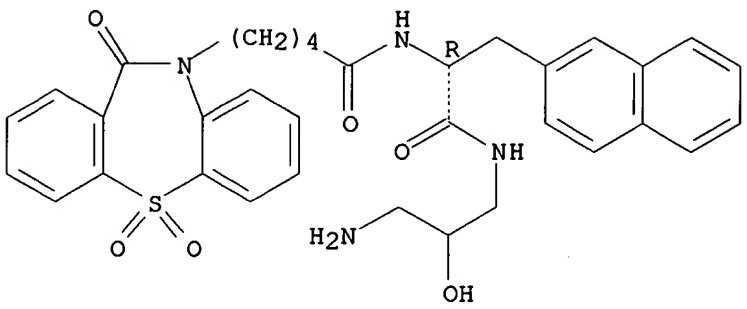
Absolute stereochemistry.



● HCl

RN 220980-00-7 CAPLUS
CN Dibenzo[b,f][1,4]thiazepine-10(11H)-pentanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, 5,5-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

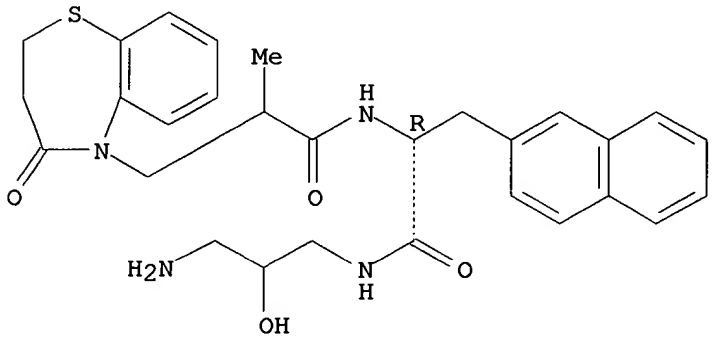
Absolute stereochemistry.



● HCl

RN 220980-01-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-.alpha.-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

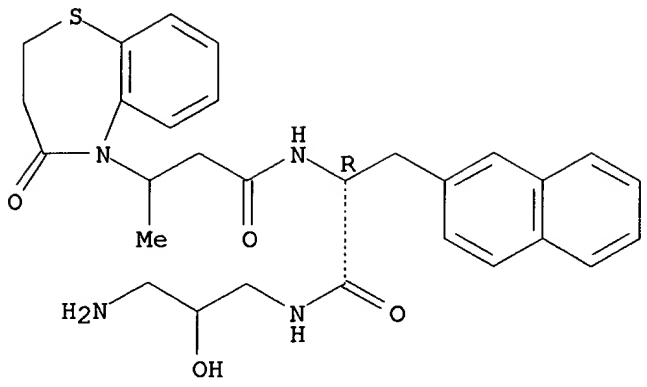
Absolute stereochemistry.



● HCl

RN 220980-02-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-.beta.-methyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

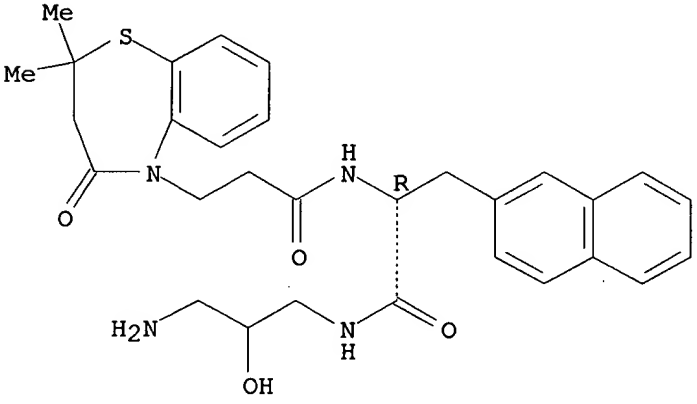
Absolute stereochemistry.



● HCl

RN 220980-03-0 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2,2-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

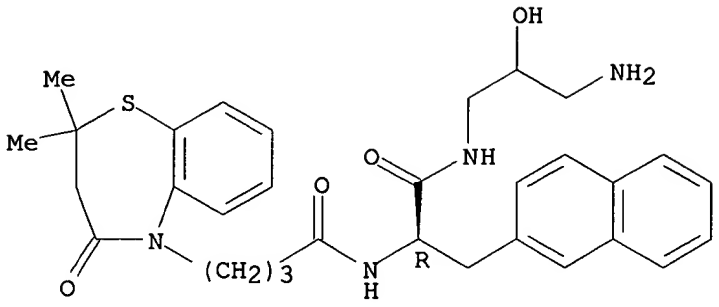
Absolute stereochemistry.



● HCl

RN 220980-04-1 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-butanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-2,2-dimethyl-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

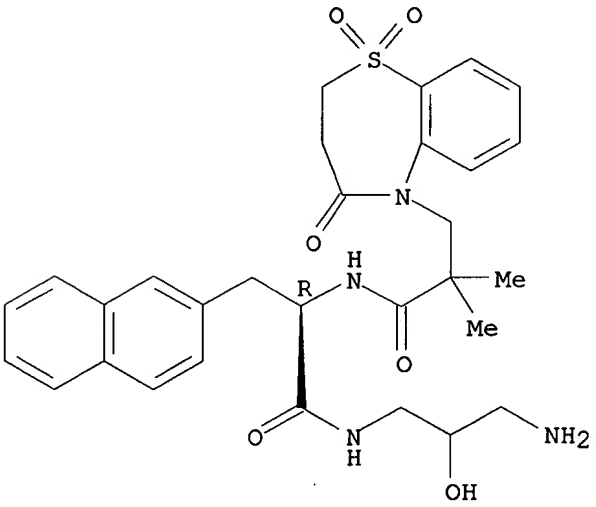
Absolute stereochemistry.



● HCl

RN 220980-05-2 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-amino-2-hydroxypropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-.alpha.,.alpha.-dimethyl-4-oxo-, 1,1-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

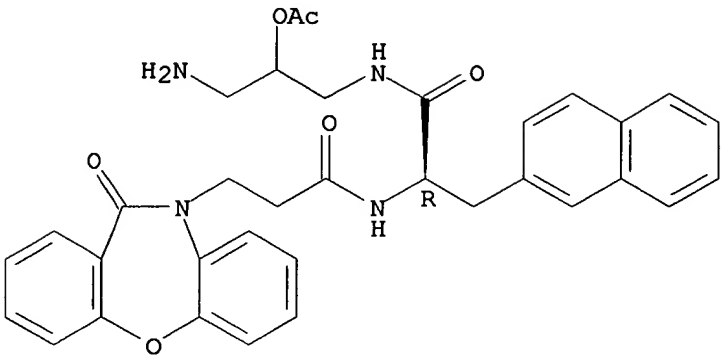
Absolute stereochemistry.



● HCl

RN 220980-19-8 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-propanamide, N-[(1R)-2-[[2-(acetyloxy)-3-aminopropyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

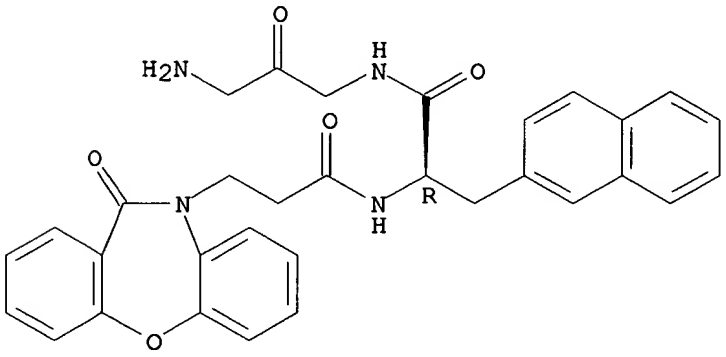
Absolute stereochemistry.



● HCl

RN 220980-20-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-propanamide, N-[(1R)-2-[(3-amino-2-oxopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-11-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

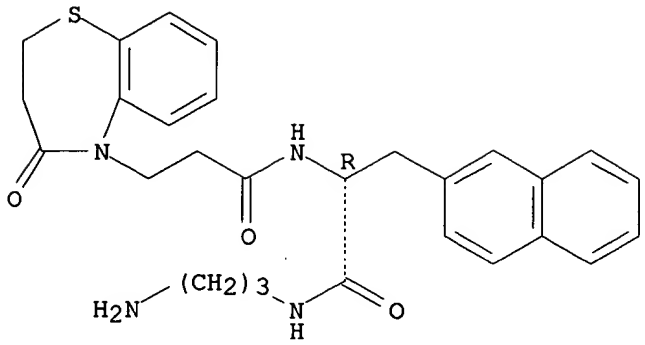
Absolute stereochemistry.



● HCl

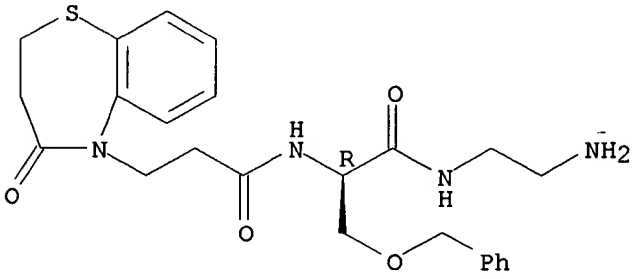
RN 220980-24-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(3-aminopropyl)amino]-1-(2-naphthalenylmethyl)-2-oxoethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



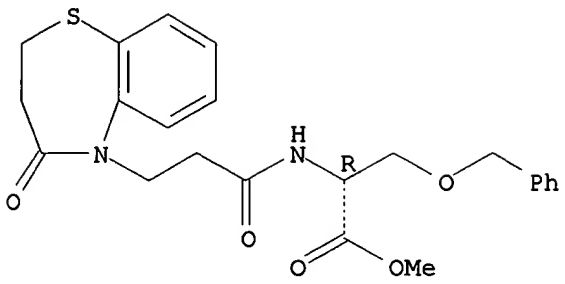
RN 220980-26-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamide, N-[(1R)-2-[(2-aminoethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



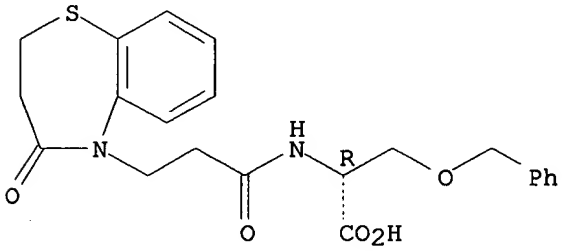
IT **220980-28-9P 220980-29-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of novel amide derivs. having growth hormone releasing activity)
RN 220980-28-9 CAPLUS
CN D-Serine, N-[3-(3,4-dihydro-4-oxo-1,5-benzothiazepin-5(2H)-yl)-1-oxopropyl]-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220980-29-0 CAPLUS
CN D-Serine, N-[3-(3,4-dihydro-4-oxo-1,5-benzothiazepin-5(2H)-yl)-1-oxopropyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3
RE
(1) Beecham Group P L C; EP 0411751 A1 1991 CAPLUS
(2) Chandrakumar; US 5449675 A 1995 CAPLUS
(3) Collins; US 5441950 A 1995 CAPLUS

L5 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1998:491027 CAPLUS
DN 129:95716
TI Preparation of peptides agonist of bradykinin B2 receptors
PA Fournier Industrie et Sante S. A., Fr.
SO Fr. Demande, 26 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2756566	A1	19980605	FR 1996-14890	19961204
	FR 2756566	B1	19990108		
	WO 9824809	A1	19980611	WO 1997-FR2193	19971203
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 948524	A1	19991013	EP 1997-948993	19971203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
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OS MARPAT 129:95716
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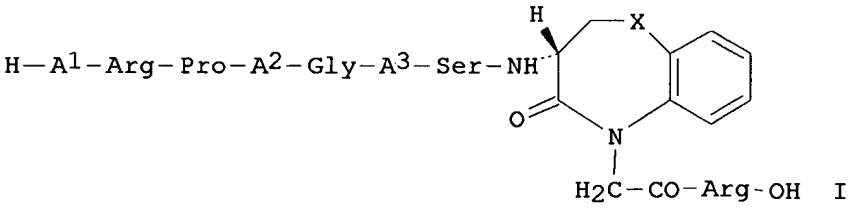

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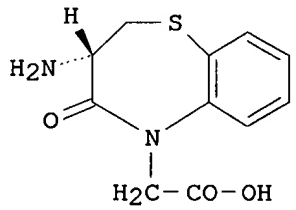


AB Peptides I (A1 = single bond, D-Arg, L-Lys; A2 = L-Pro, trans-4-hydroxy-L-Pro; A3 = L-Phe, L-thienylalanine; X = S, O) were prepd. as agonist of bradykinin B2 receptors. Thus, H-D-Arg-Arg-Pro-4Hyp-Gly-Thi-

Ser-DBT-Arg-OH was prepd. and tested as bradykinin B2 receptor (Ki = 0.07-12.9 .mu.M).

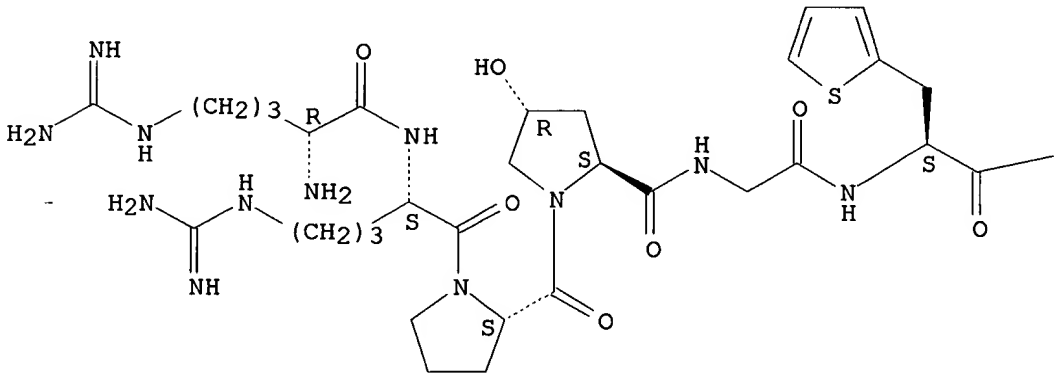
IT **209683-24-9P 209683-25-0P 209683-26-1P 209683-30-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides agonist of bradykinin B2 receptors)

RN 209683-24-9 CAPLUS

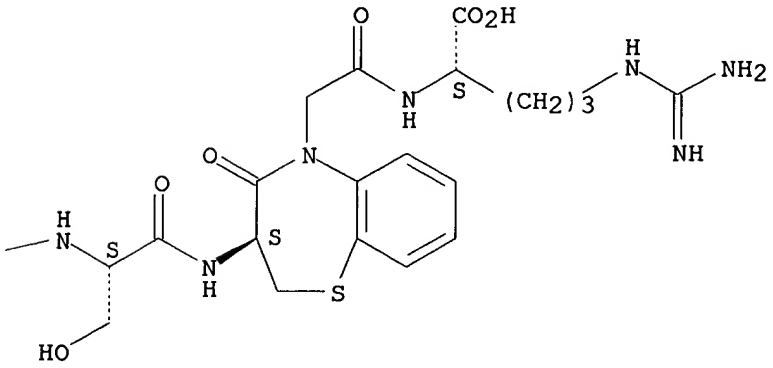
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



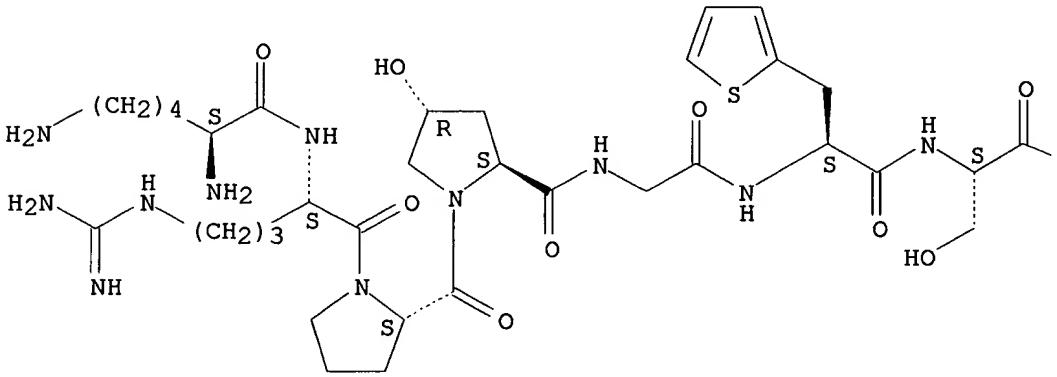
PAGE 1-B



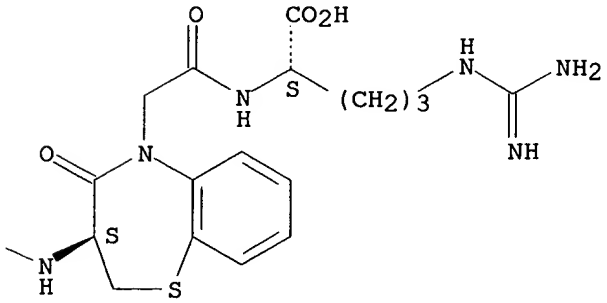
RN 209683-25-0 CAPLUS
CN L-Arginine,
L-lysyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



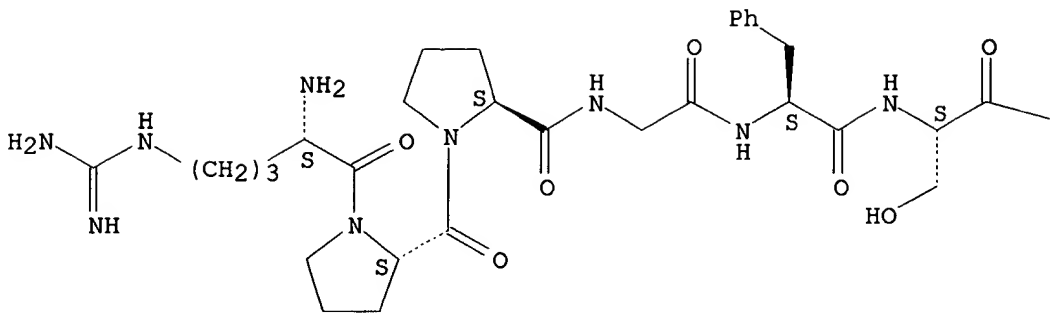
PAGE 1-B



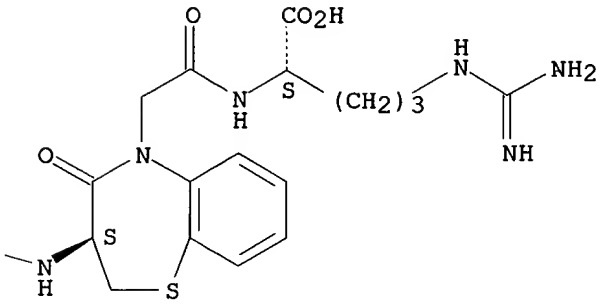
RN 209683-26-1 CAPLUS
CN L-Arginine,
L-arginyl-L-prolyl-L-prolylglycyl-L-phenylalanyl-L-seryl-(3S)-3-amino-3,4-dihydro-4-oxo-1,5-benzothiazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



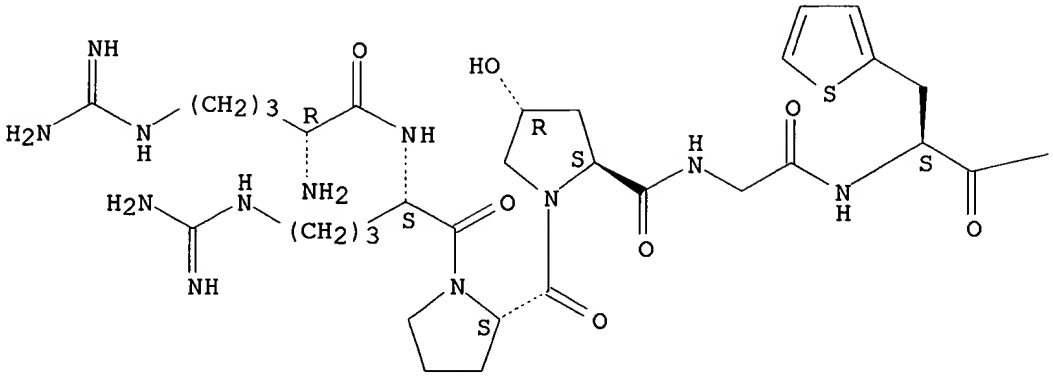
PAGE 1-B



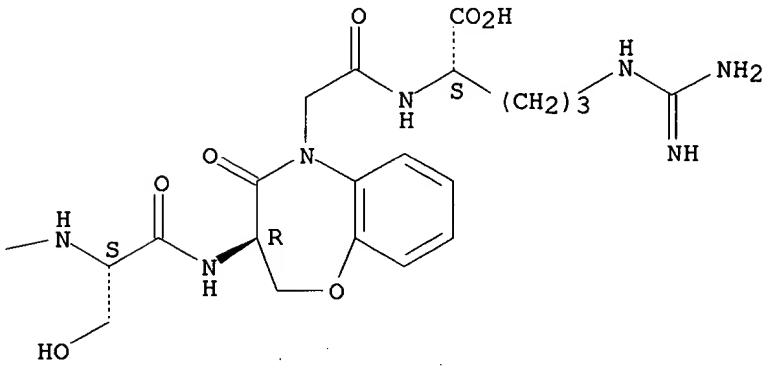
RN 209683-30-7 CAPLUS
CN L-Arginine, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-3-amino-3,4-dihydro-4-oxo-1,5-benzoxazepine-5(2H)-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



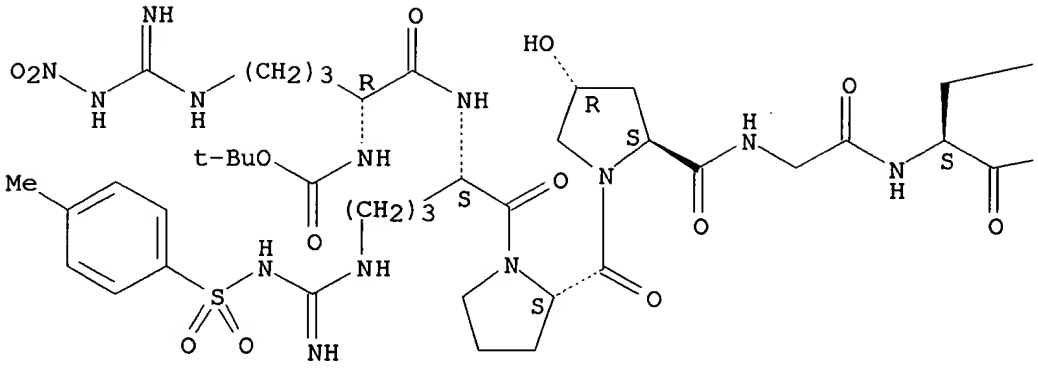
PAGE 1-B



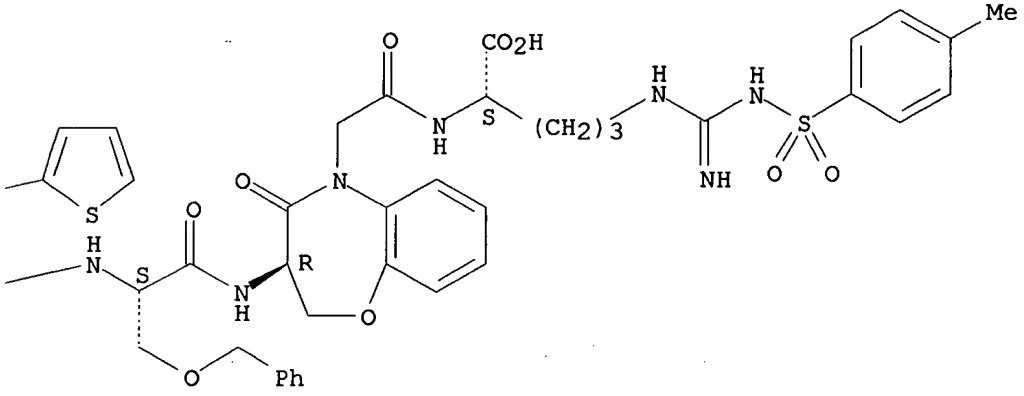
IT **209683-29-4DP**, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides agonist of bradykinin B2 receptors)
RN 209683-29-4 CAPLUS
CN L-Ornithine, N2-[(1,1-dimethylethoxy)carbonyl]-N5-[imino(nitroamino)methyl]-L-ornithyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-prolyl-(4R)-4-hydroxy-L-prolylglycyl-3-(2-thienyl)-L-alanyl-O-(phenylmethyl)-L-seryl-(3R)-3-amino-3,4-dihydro-4-oxo-1,5-benzoxazepine-5(2H)-acetyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



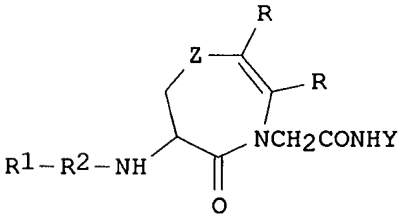
PAGE 1-B



L8 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1998:394348 CAPLUS
DN 129:54607
TI Inhibitors of interleukin-1.beta. converting enzyme
IN Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Mullican, Michael D.; Nyce, Philip L.; Robidoux, Andrea L. C.; Wannamaker, Marion W.
PA Vertex Pharmaceuticals Incorporated, USA; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Mullican, Michael D.; Nyce, Philip L.; Robidoux, Andrea L. C.; Wannamaker, Marion W.
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824804	A2	19980611	WO 1997-US22355	19971205
	WO 9824804	A3	19980903		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9876247	A1	19980629	AU 1998-76247	19971205
	EP 942925	A2	19990922	EP 1997-949771	19971205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2001506986	T2	20010529	JP 1998-525838	19971205
PRAI	US 1996-32129	P	19961206		
	US 1997-41938	P	19970404		
	US 1997-50796	P	19970626		
	WO 1997-US22355	W	19971205		

OS MARPAT 129:54607
GI



I

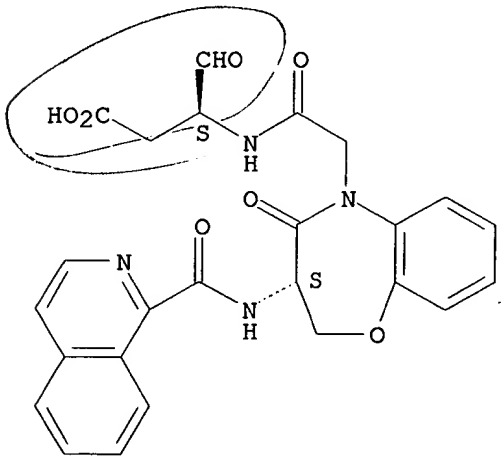
AB The present invention relates to novel classes of compds. I [RC:CR is an

optionally substituted aryl or heteroaryl ring; R1 = aryl, heteroaryl, alkylaryl, alkylheteroaryl; R2 = bond, CO, COCO, SO2, OCO, NHCO, NHSO2, NHCOCO, CH:CHCO, OCH2CO, NHCH2CO, etc.; Y = R5CO(CH2)mCH2CH(COR6) or related lactones, where R5 = OH, alkoxy, NHOH, etc.; R6 = H, HOCH2, aroyloxymethyl, etc.; m = 0 or 1; Z = CH2, O, S, SO2, CO, C:NOH or O-derivs.] which were prepd. as inhibitors of interleukin-1.beta. converting enzyme. (ICE). Thus, (3S)-3-[(3S)3-(isoquinolin-1-oyl)amino-4-oxo-2,3,4,5-tetrahydro-5H-1,5-benzoxazepine-5-acetylamino]-4-oxobutyric acid, prepd. from benzyl 2-[(3S)-3-amino-4-oxo-2,3,4,5-tetrahydro-5H-1,5-benzoxazepin-5-yl]ethanoate hydrochloride, isoquinoline-1-carboxylic acid, and N-allyloxycarbonyl-4-amino-5-benzyloxy-2-oxotetrahydrofuran, showed ICE inhibition const. Ki = 22 nM and IC50 = >20,000 nM.

IT **208717-71-9P 208717-75-3P 208717-79-7P 208717-90-2P 208717-92-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of interleukin-1.beta. converting enzyme)

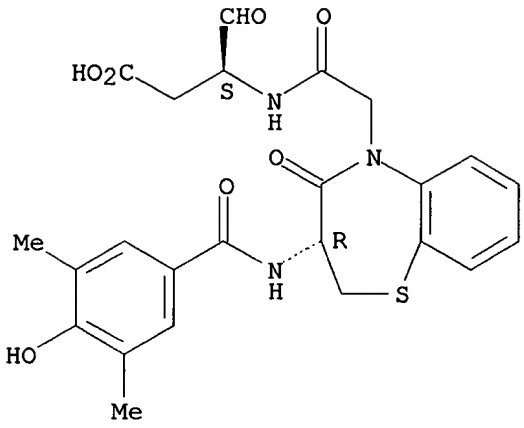
RN 208717-71-9 CAPLUS
CN Butanoic acid, 3-[[[(3S)-3,4-dihydro-3-[(1-isoquinolinylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]acetyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



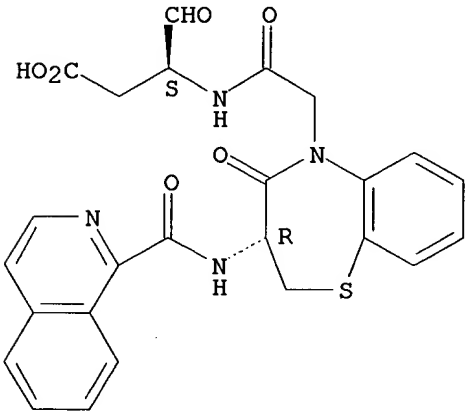
RN 208717-75-3 CAPLUS
CN Butanoic acid, 3-[[[(3R)-3,4-dihydro-3-[(4-hydroxy-3,5-dimethylbenzoyl)amino]-4-oxo-1,5-benzothiazepin-5(2H)-yl]acetyl]amino]-4-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



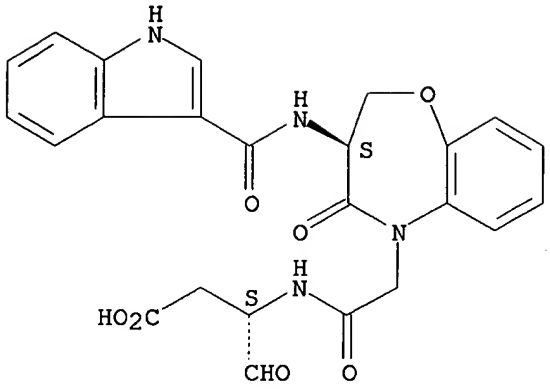
RN 208717-79-7 CAPLUS
CN Butanoic acid,
3-[[[(3R)-3,4-dihydro-3-[(1-isoquinolinylcarbonyl)amino]-4-
oxo-1,5-benzothiazepin-5(2H)-yl]acetyl]amino]-4-oxo-, (3S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



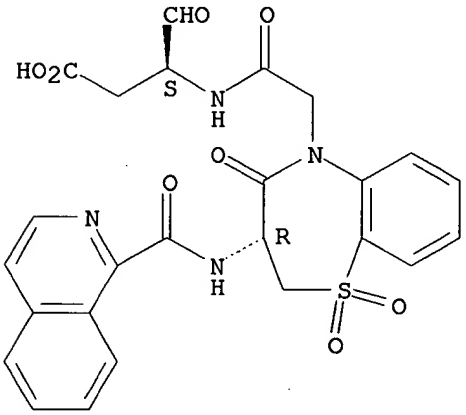
RN 208717-90-2 CAPLUS
CN Butanoic acid, 3-[[[(3S)-3,4-dihydro-3-[(1H-indol-3-ylcarbonyl)amino]-4-
oxo-1,5-benzoxazepin-5(2H)-yl]acetyl]amino]-4-oxo-, (3S)- (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.



RN 208717-92-4 CAPLUS
CN Butanoic acid, 3-[[[(3R)-3,4-dihydro-3-[(1-isoquinolinylcarbonyl)amino]-1,1-dioxido-4-oxo-1,5-benzothiazepin-5(2H)-yl]acetyl]amino]-4-oxo-, (3S)-(9CI) (CA INDEX NAME)

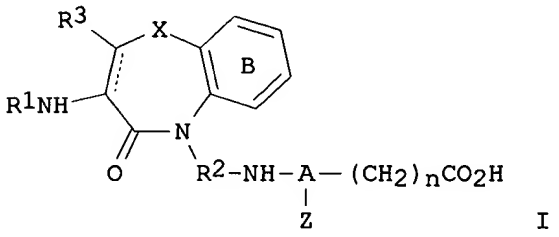
Absolute stereochemistry.



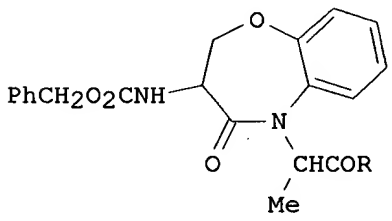
L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1997:749890 CAPLUS
DN 128:35022
TI Preparation of tripeptide analogs containing benzoxazepine derivatives as cysteine protease inhibitors
IN Watanabe, Hiroyuki; Kamata, Shin; Fukuda, Tsunehiko
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09295996	A2	19971118	JP 1997-50119	19970305
PRAI JP 1996-49177		19960306		

OS MARPAT 128:35022
GI



I



II

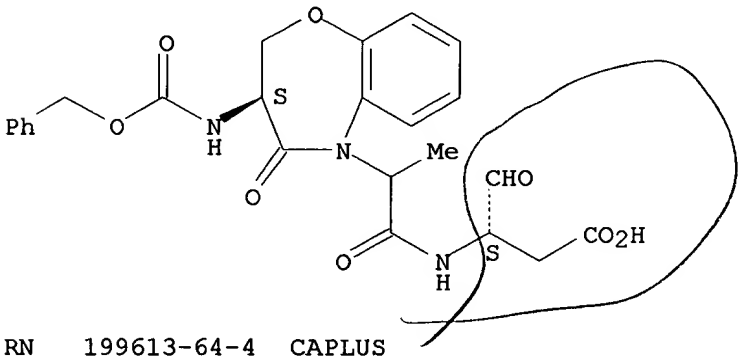
AB The title peptide compds. [I; R1 = H, acyl; R2 = group derived by removing imino group from amino acid; R3 = H, lower alkyl; the ring B is optionally substituted; X = O, S; the dotted line together with the single line represents a single or double bond; A = CH, N; n = 1,2; Z = H, acyl, (un)substituted hydrocarbyl] or esters or salts thereof are prepd. Pharmaceutical compns. such as a cysteine protease inhibitor, an interleukin-1.beta. converting enzyme inhibitor, a preventive or remedy for bone diseases and septicemia shock contg. above compd. I are claimed.

These compds. are also useful for the prevention or treatment of immune diseases, nerve diseases, tumors, and inflammatory diseases. Thus, 2-(2,3,4,5-tetrahydro-1,5-benzoxazepin-5-yl)propionic acid deriv. (II; R = OH) was condensed with (S)-H2NCH[CH(OMe)2]CH2CO2CMe3 (prepn. given) using HOBt and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in DMF at 0.degree. for 1 h and at 25.degree. for 16 h to give II [R = (S)-NHCH[CH(OMe)2]CH2CO2CMe3], which was treated with a mixt. of CF3CO2H and H2O for 3 h to give I [R = (S)-NHCH(CHO)CH2CO2H]. The latter compd. showed IC50 of 1.times.10-8 M against interleukin-1.beta. converting enzyme.

IT **199613-63-3P 199613-64-4P 199613-65-5P**
199613-66-6P 199613-67-7P 199613-68-8P
199613-69-9P 199613-70-2P 199613-71-3P
199613-72-4P 199613-79-1P 199613-80-4P
199613-81-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tripeptide analogs contg. benzoxazepine derivs. as cysteine protease and interleukin-1.beta. converting enzyme inhibitors for disease treatment)

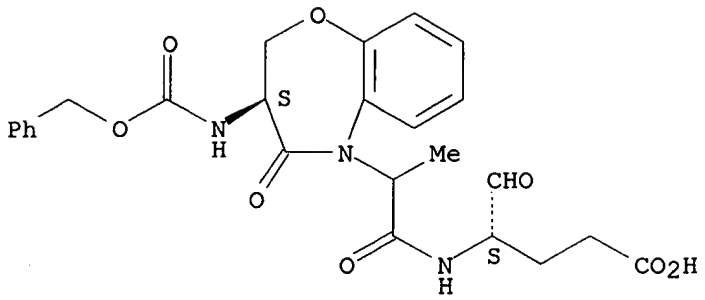
RN 199613-63-3 CAPLUS
CN Butanoic acid,
3-[[2-[3,4-dihydro-4-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



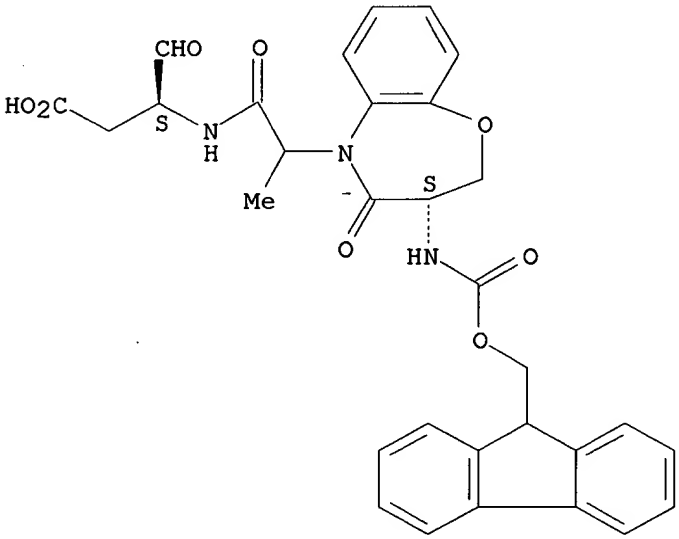
RN 199613-64-4 CAPLUS
CN Pentanoic acid,
4-[[2-[3,4-dihydro-4-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-5-oxo-, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



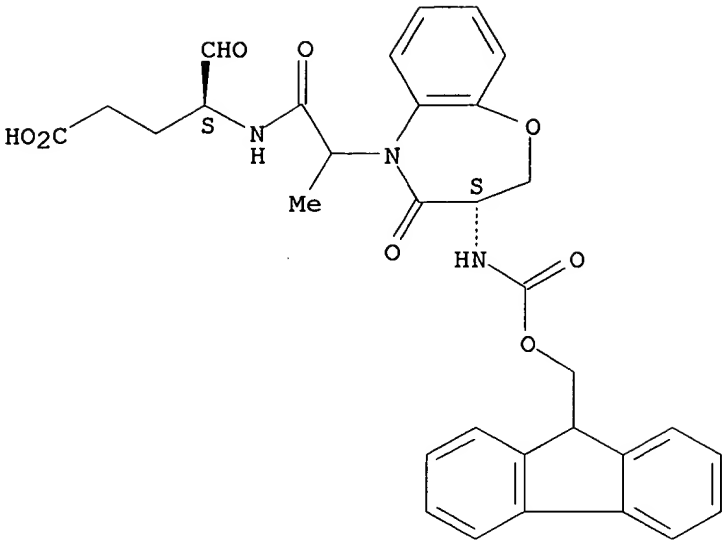
RN 199613-65-5 CAPLUS
CN Butanoic acid, 3-[[2-[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3,4-dihydro-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



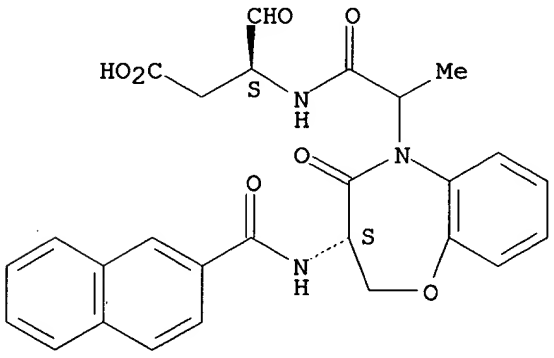
RN 199613-66-6 CAPLUS
CN Pentanoic acid, 4-[[2-[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3,4-dihydro-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-5-oxo-, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



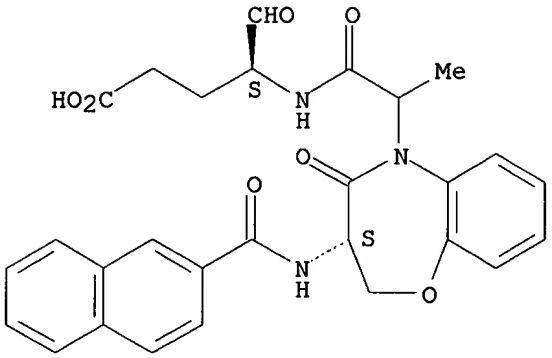
RN 199613-67-7 CAPLUS
CN Butanoic acid,
3-[[2-[3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-
1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, [3S-[3R*,5(R*)]]-
[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



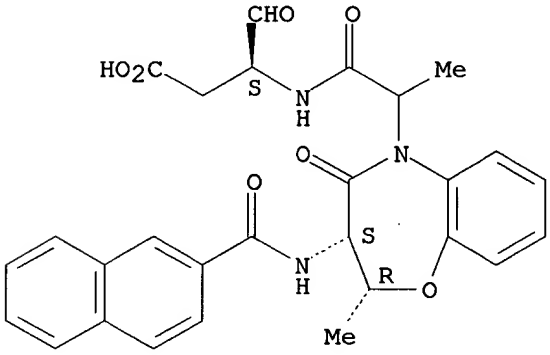
RN 199613-68-8 CAPLUS
CN Pentanoic acid,
4-[[2-[3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-
1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-5-oxo-, [3S-[3R*,5(R*)]]-
[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



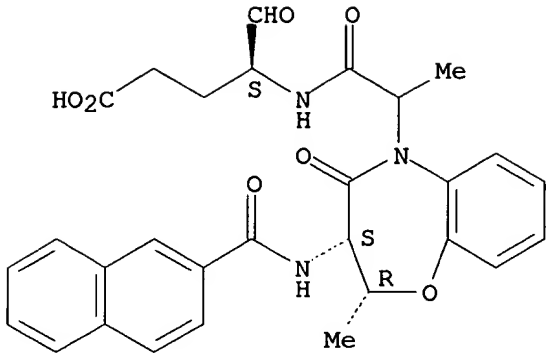
RN 199613-69-9 CAPLUS
CN Butanoic acid, 3-[[2-[3,4-dihydro-2-methyl-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, [2R-[2.alpha.,3.alpha.,5(S*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



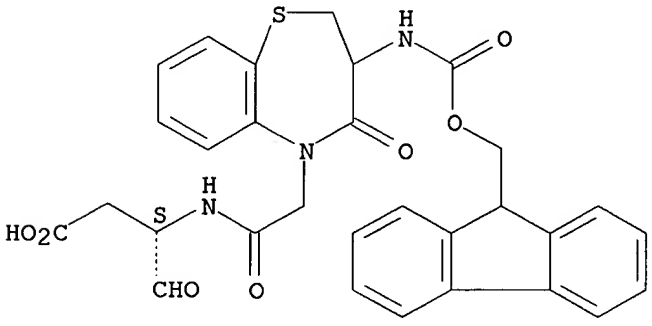
RN 199613-70-2 CAPLUS
CN Pentanoic acid, 4-[[2-[3,4-dihydro-2-methyl-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-5-oxo-, [2R-[2.alpha.,3.alpha.,5(S*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



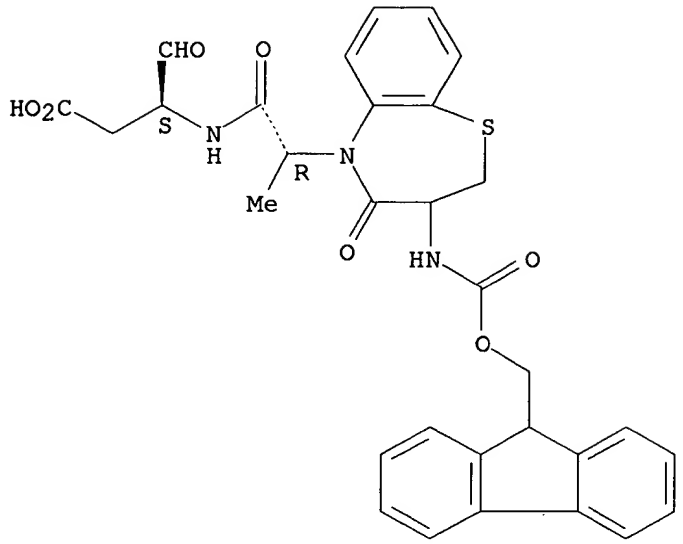
RN 199613-71-3 CAPLUS
CN Butanoic acid, 3-[[[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3,4-dihydro-4-oxo-1,5-benzothiazepin-5(2H)-yl]acetyl]amino]-4-oxo-, [5(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



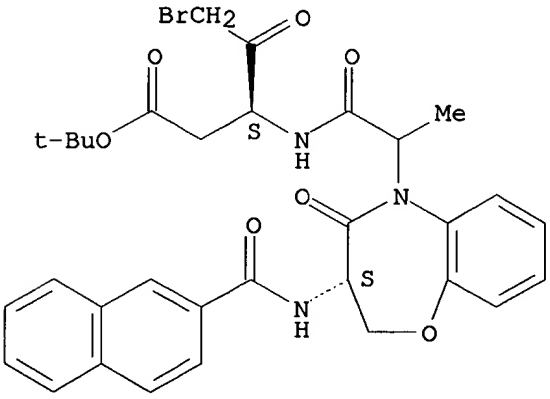
RN 199613-72-4 CAPLUS
CN Butanoic acid, 3-[[2-[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3,4-dihydro-4-oxo-1,5-benzothiazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, [5[R(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



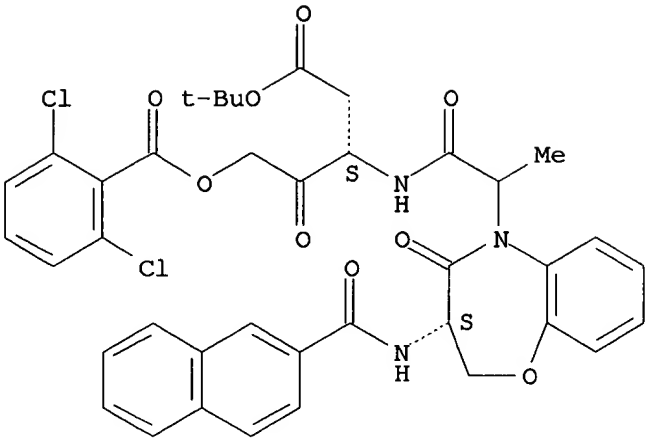
RN 199613-79-1 CAPLUS
CN Pentanoic acid, 5-bromo-3-[[2-[3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-, 1,1-dimethylethyl ester, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



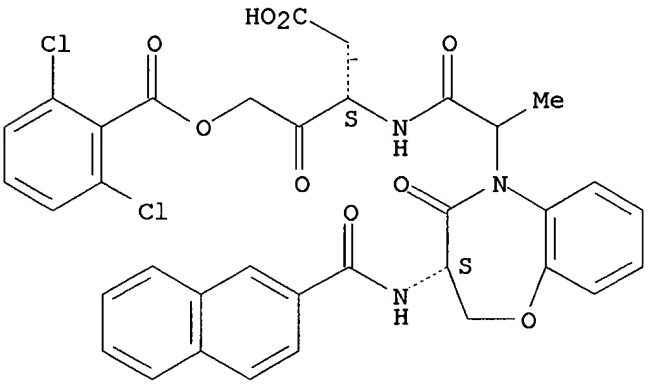
RN 199613-80-4 CAPLUS
CN Benzoic acid, 2,6-dichloro-, 3-[[2-[3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-5-(1,1-dimethylethoxy)-2,5-dioxopentyl ester, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



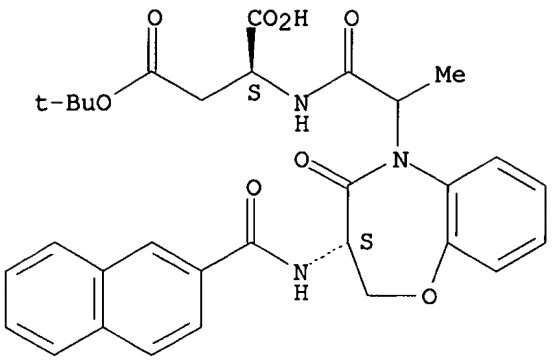
RN 199613-81-5 CAPLUS
CN Benzoic acid, 2,6-dichloro-, 4-carboxy-3-[[2-[3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]amino]-2-oxobutyl ester, [3S-[3R*,5(R*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



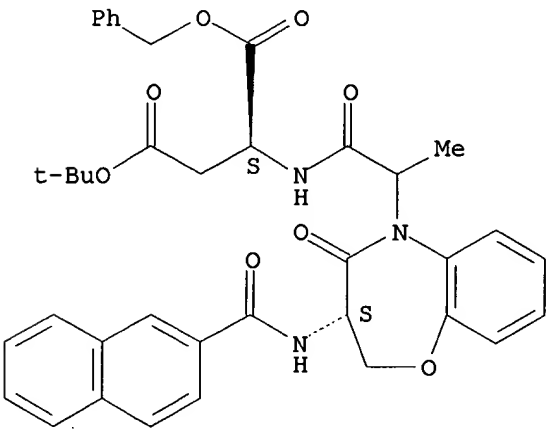
IT **199613-96-2P 199613-97-3P 199614-05-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of tripeptide analogs contg. benzoxazepine derivs. as cysteine protease and interleukin-1.beta. converting enzyme inhibitors for disease treatment)
RN 199613-96-2 CAPLUS
CN L-Aspartic acid, N-[2-[(3S)-3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



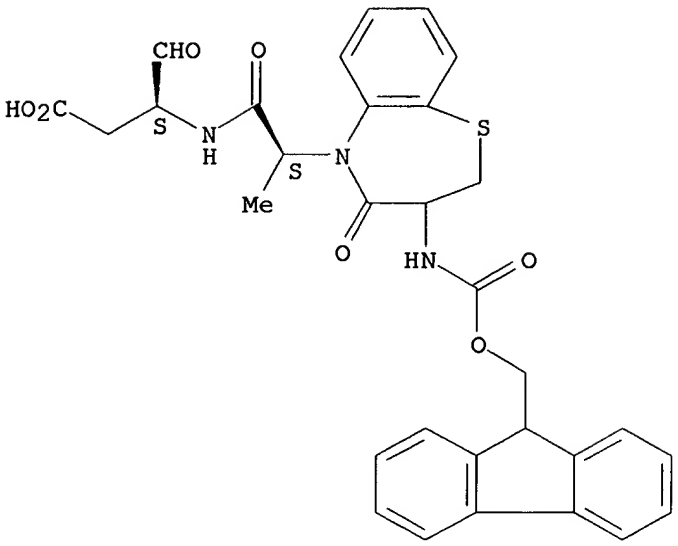
RN 199613-97-3 CAPLUS
CN L-Aspartic acid,
N-[2-[(3S)-3,4-dihydro-3-[(2-naphthalenylcarbonyl)amino]-
4-oxo-1,5-benzoxazepin-5(2H)-yl]-1-oxopropyl]-, 4-(1,1-dimethylethyl)
1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

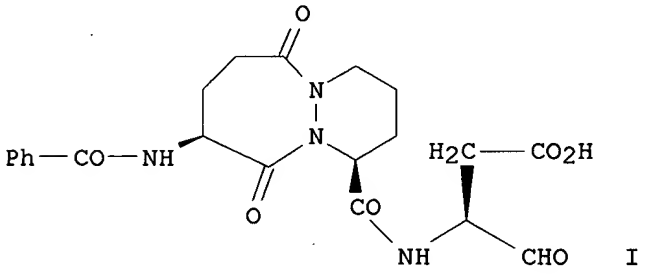


RN 199614-05-6 CAPLUS
CN Butanoic acid, 3-[[2-[3-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3,4-
dihydro-4-oxo-1,5-benzothiazepin-5(2H)-yl]-1-oxopropyl]amino]-4-oxo-,
[5[S(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CHO
O
HO2C
S
N
H
S
N
S
Me
O
HN
O
O

L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1997:397284 CAPLUS
DN 127:44456
TI Pyridazinodiazepines as a High-Affinity, P2-P3 Peptidomimetic Class of Interleukin-1.beta.-Converting Enzyme Inhibitor
AU Dolle, Roland E.; Prasad, C. V. C.; Prouty, Catherine P.; Salvino, Joseph M.; Awad, Mohamed M. A.; Schmidt, Stanley J.; Hoyer, Denton; Ross, Tina Morgan; Graybill, Todd L.; Speier, Gary J.; Uhl, Joanne; Miller, Robert; Helaszek, Carla T.; Ator, Mark A.
CS Sanofi Winthrop Inc., Collegeville, PA, 19426, USA
SO J. Med. Chem. (1997), 40(13), 1941-1946
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



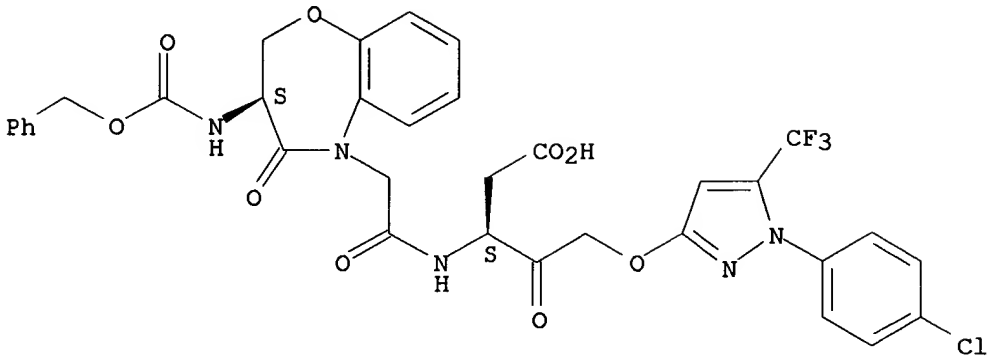
I

AB The pyridazinodiazepine-based peptidomimetics are potent time-dependent inactivators of interleukin-1.beta. converting enzyme (kobs/[I]) = 162,000 to 1,220,000 M-1 s-1. The corresponding aspartic acid aldehyde analogs are potent reversible inhibitors of the enzyme with inhibition consts. ranging from 1-50 nM. All of these inhibitors retain the P1 aspartic acid residue and crit. hydrogen-bonding functionality, P1 and P3 NH, which are structural elements previously shown to be required for potent enzyme inhibition by peptide-based inhibitors. In addn., inhibitor I exhibits 10-15% oral bioavailability in the dog.

IT **191212-32-5P 191212-33-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyridazinodiazepines as a high-affinity, P2-P3 peptidomimetic class of interleukin-1.beta.-converting enzyme inhibitor)

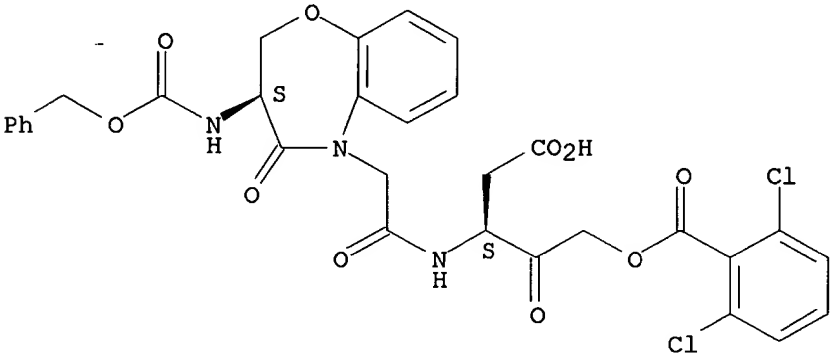
RN 191212-32-5 CAPLUS
CN Pentanoic acid, 5-[[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-3-yl]oxy]-3-[[[3,4-dihydro-4-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1,5-benzoxazepin-5(2H)-yl]acetyl]amino]-4-oxo-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

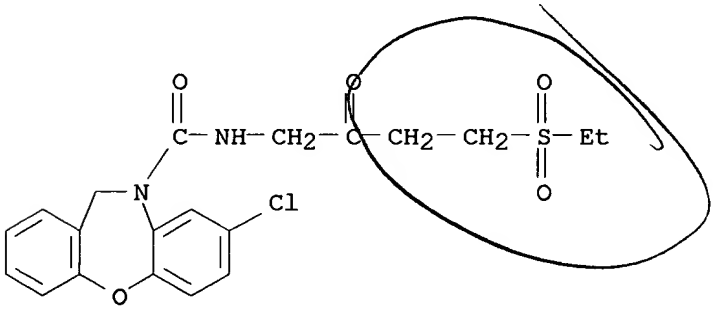


RN 191212-33-6 CAPLUS
CN Benzoic acid, 2,6-dichloro-, 4-carboxy-3-[[[3,4-dihydro-4-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1,5-benzoxazepin-5(2H)-yl]acetyl]amino]-2-oxobutyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

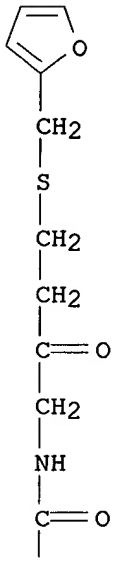


L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1996:6872 CAPLUS
DN 124:105588
TI Aminoacetyl Moiety as a Potential Surrogate for Diacylhydrazine Group of SC-51089, a Potent PGE2 Antagonist, and Its Analogs
AU Hallinan, E. Ann; Hagen, Timothy J.; Tsymbalov, Sofya; Husa, Robert K.; Lee, Albert C.; Stapelfeld, Awilda; Savage, Michael A.
CS Department of Chemistry, Skokie, IL, 60077, USA
SO J. Med. Chem. (1996), 39(2), 609-13
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB 8-Chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylic acid, 2-[1-oxo-3-(4-pyridinyl)propyl]hydrazide, monohydrochloride (1, SC-51089) is a functional PGE2 antagonist selective for the EP1 receptor subtype with antinociceptive activity. During metab. in cultured rat hepatocytes, SC-51089, which contains a diacylhydrazine moiety, has been shown to release hydrazine. Analogs of SC-51089, in which the diacylhydrazine functionality has been replaced by isosteric and isoelectronic groups, have been synthesized and have been shown to be analgesics and PGE2 antagonists of the EP1 subtype. This report discusses the structure-activity relationships within these series.
IT **149454-33-1P 149454-34-2P**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic and PGE2 antagonistic activity of SC-51089 antagonists)
RN 149454-33-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-(ethylsulfonyl)-2-oxobutyl]- (9CI) (CA INDEX NAME)

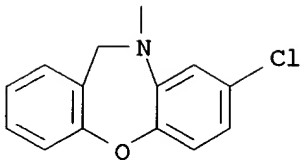


RN 149454-34-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-[(2-furanylmethyl)thio]-2-oxobutyl]- (9CI) (CA INDEX NAME)

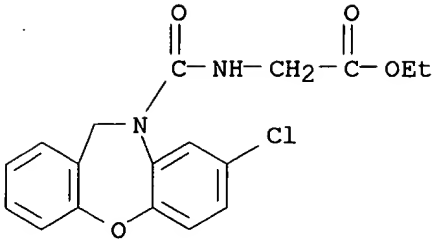
PAGE 1-A



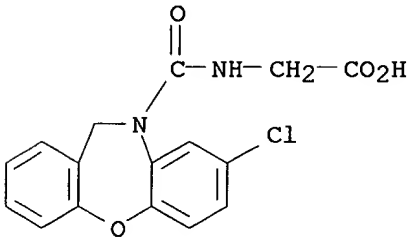
PAGE 2-A



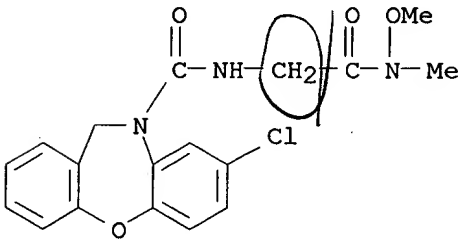
IT **149454-40-0P 149454-41-1P 149454-42-2P**
149454-43-3P 149454-44-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and analgesic and PGE2 antagonistic activity of SC-51089 antagonists)
RN 149454-40-0 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, ethyl
ester (9CI) (CA INDEX NAME)



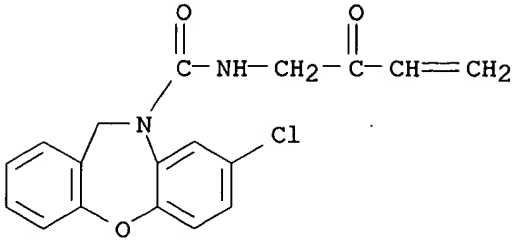
RN 149454-41-1 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]- (9CI) (CA INDEX NAME)



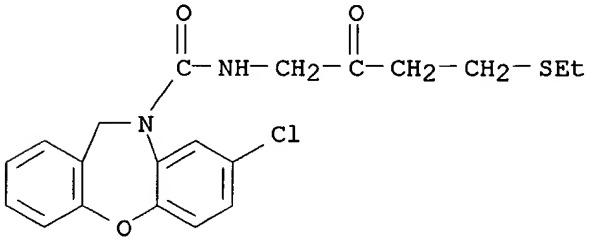
RN 149454-42-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 149454-43-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-(2-oxo-3-butenyl)- (9CI) (CA INDEX NAME)



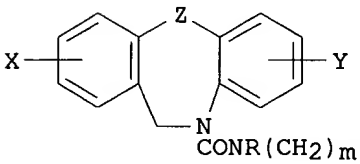
RN 149454-44-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-(ethylthio)-2-oxobutyl]- (9CI) (CA INDEX NAME)



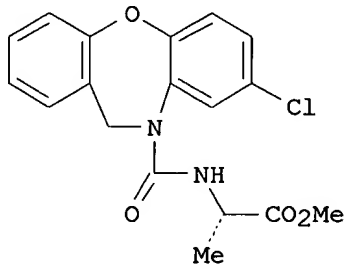
L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1995:854327 CAPLUS
DN 124:87048
TI Substituted dibenzoxazepine and dibenzothiazepine urea compounds as analgesics and prostaglandin E2 antagonists, pharmaceutical compositions and methods of use
IN Chandrakumar, Nizal S.; Hansen, Donald W. Jr.; Peterson, Karen B.; Pitzele, Barnett S.
PA G. D. Searle and Co., USA
SO U.S., 25 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5449675	A	19950912	US 1994-257841	19940609
	US 5661146	A	19970826	US 1995-443506	19950518
	WO 9533733	A1	19951214	WO 1995-US6887	19950608
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9527638	A1	19960104	AU 1995-27638	19950608
PRAI	US 1994-257841		19940609		
	WO 1995-US6887		19950608		

OS MARPAT 124:87048
GI



I



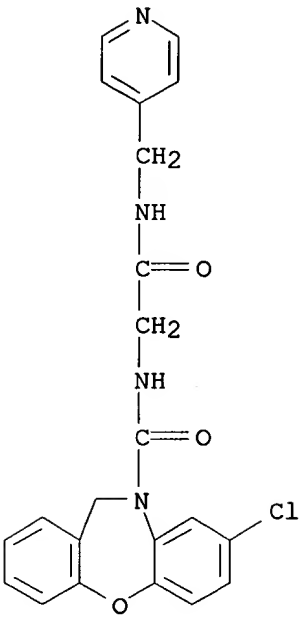
II

AB The present invention provides substituted dibenzoxazepine and dibenzthiazepine compds. of formula I or a pharmaceutically-acceptable salt thereof, wherein: X is hydrogen, halogen or alkyl; Y is hydrogen, halogen or alkyl; Z is oxygen, sulfur, SO or SO2; R is hydrogen or alkyl; W is hydrogen or alkyl; D is aryl, NR1CO, NR1CO2, CO, CO2, CONR1; R1 is hydrogen, alkyl, hydroxy or alkoxy; E is hydrogen, alkyl, aryl, alkylaryl, NRR or alkylene-NRR; m is an integer of from 0 to 8; p is 0 or 1; q is an integer of from 0 to 8; and n is 0 or 1 (with provisos); which are useful as analgesic agents for the treatment of pain, pharmaceutical compns. comprising a therapeutically-effective amt. of a compd. I in combination with a pharmaceutically-acceptable carrier, and a method for eliminating or ameliorating pain in an animal comprising administering a therapeutically-effective amt. of a compd. I to the animal. Thus, e.g., amidation of 8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-carbonyl chloride (prepn. given) with L-alanine Me ester hydrochloride afforded Me L-2S-[[(8-chlorodibenz[b,f][1,4]oxazepine-10-(11H)-yl)carbonyl]amino]propanoate (II) which exhibited analgesic activity in the writhing assay with ED50 = 7.2 mpk (i.g.) and prostaglandin E2 antagonism at dose ratio = 3.5.

IT **171604-14-1P 171604-16-3P 171604-24-3P**
171604-36-7P 171604-37-8P 171604-38-9P
171604-39-0P 171604-40-3P 171604-42-5P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dibenzoxazepine and dibenzothiazepine urea compds. as analgesics and prostaglandin E2 antagonists)

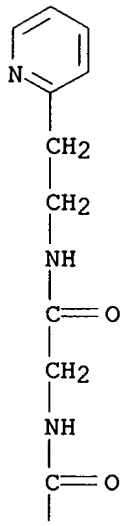
RN 171604-14-1 CAPLUS

CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

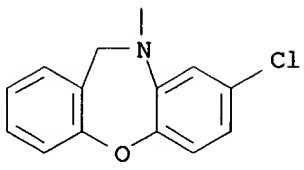


RN 171604-16-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

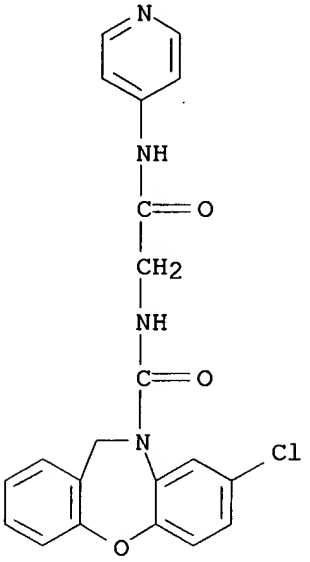
PAGE 1-A



PAGE 2-A

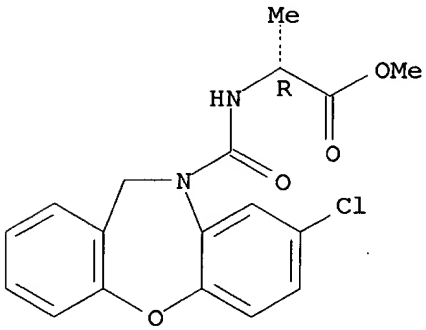


RN 171604-24-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-(4-pyridinylamino)ethyl]- (9CI) (CA INDEX NAME)



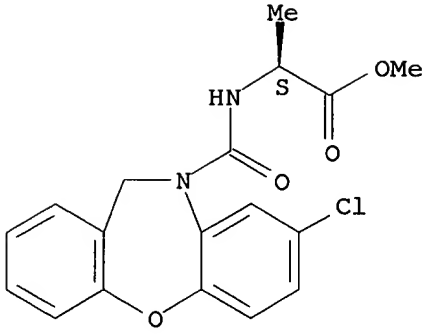
RN 171604-36-7 CAPLUS
CN D-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



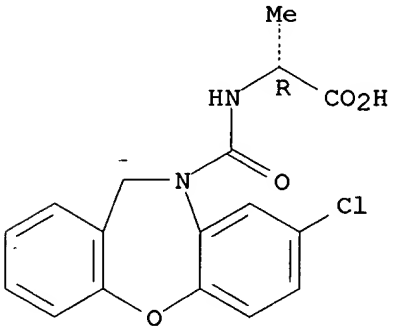
RN 171604-37-8 CAPLUS
CN L-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



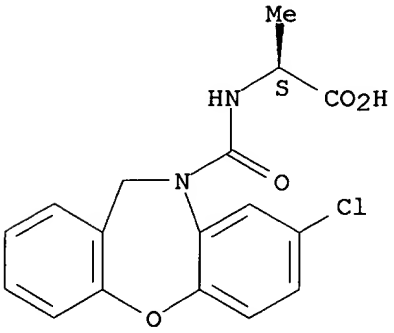
RN 171604-38-9 CAPLUS
CN D-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



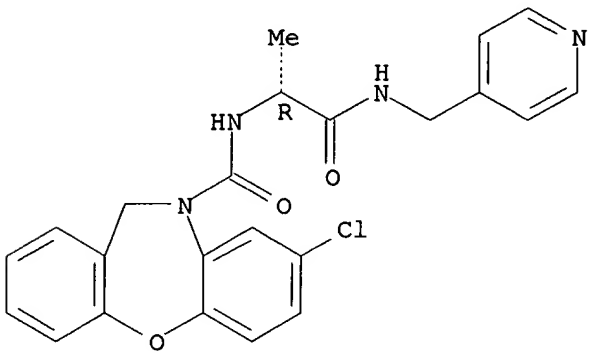
RN 171604-39-0 CAPLUS
CN L-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



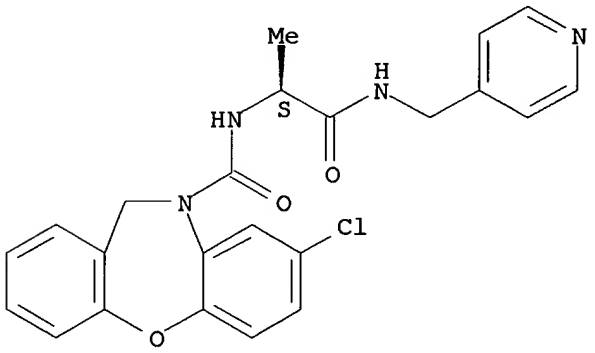
RN 171604-40-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[1-methyl-2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



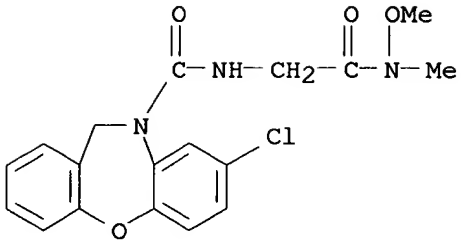
RN 171604-42-5 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[1-methyl-2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

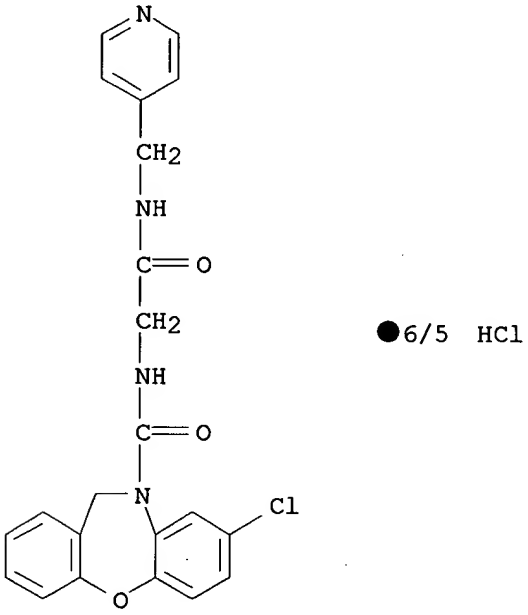


IT **149454-42-2P 171604-15-2P 171604-17-4P 171604-25-4P 171604-41-4P 171604-43-6P 171604-46-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dibenzoxazepine and dibenzothiazepine urea compds. as analgesics and prostaglandin E2 antagonists)

RN 149454-42-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



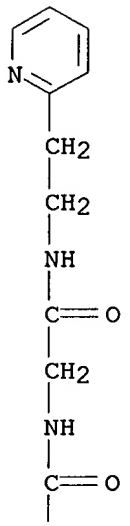
RN 171604-15-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)



●6/5 HCl

RN 171604-17-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

PAGE 1-A



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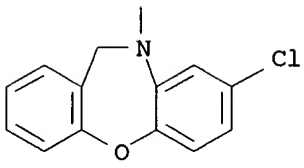
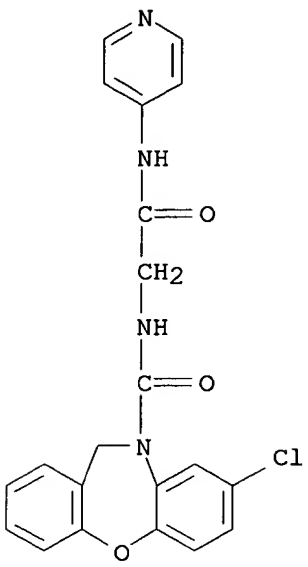


●6/5 HCl

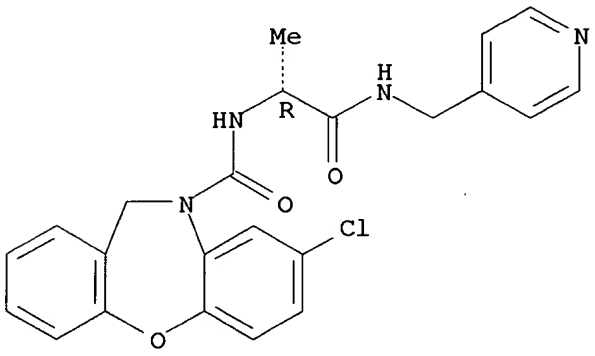
RN 171604-25-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-(4-pyridinylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171604-41-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, hydrochloride (10:11), (R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

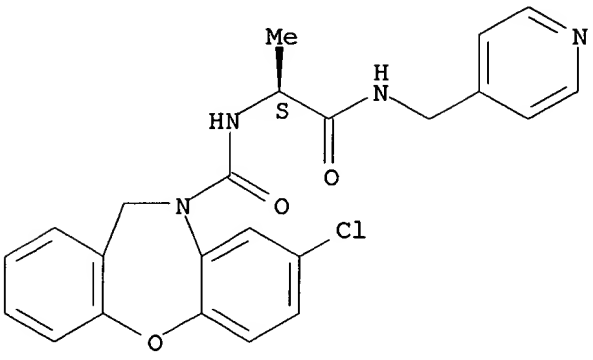


●11/10 HCl

RN 171604-43-6 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, monohydrochloride, (S)- (9CI) (CA

INDEX NAME)

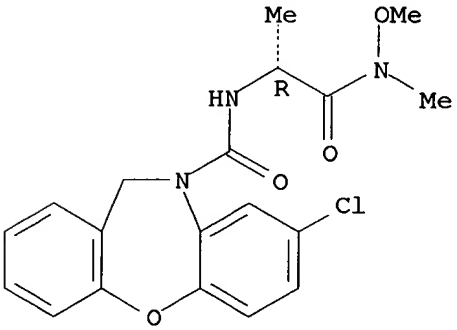
Absolute stereochemistry. Rotation (+).



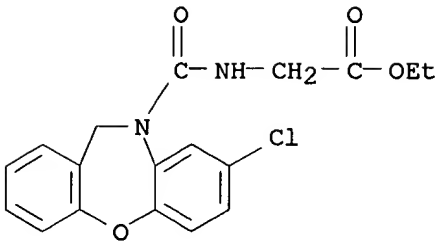
● HCl

RN 171604-46-9 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-(methoxymethylamino)-1-methyl-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

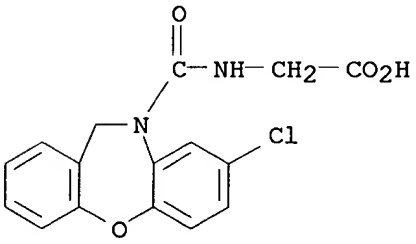
Absolute stereochemistry.



IT **149454-40-0P 149454-41-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(dibenzoxazepine and dibenzothiazepine urea compds. as analgesics and prostaglandin E2 antagonists)
RN 149454-40-0 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, ethyl
ester (9CI) (CA INDEX NAME)



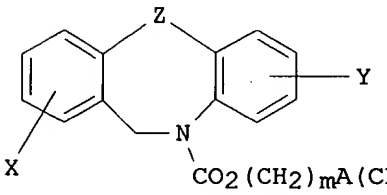
RN 149454-41-1 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1995:795407 CAPLUS
DN 124:29789
TI Substituted dibenzoxazepine and dibenzothiazepine carbamate compounds as analgesics and prostaglandin E2 antagonists, pharmaceutical compositions and methods of use
IN Collins, Joe T.; Hansen, Jr Donald W.; Peterson, Karen B.; Pitzele, Barnett S.; Reitz, David B.
PA G. D. Searle and Co., USA
SO U.S., 12 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5441950	A	19950815	US 1994-255634	19940609
	US 5504077	A	19960402	US 1995-393106	19950222
	WO 9533734	A1	19951214	WO 1995-US6888	19950608
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9526941	A1	19960104	AU 1995-26941	19950608
PRAI	US 1994-255634		19940609		
	WO 1995-US6888		19950608		

OS MARPAT 124:29789
GI



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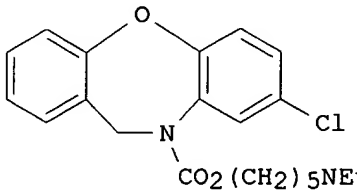


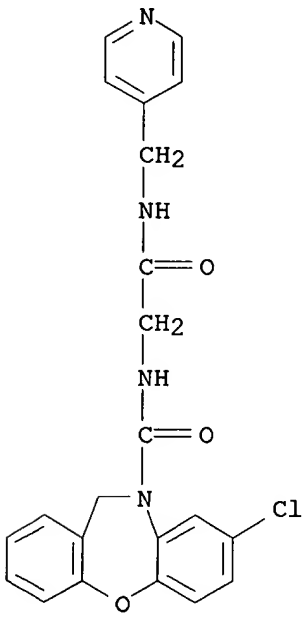
II

AB The present invention provides substituted dibenzoxazepine and

dibenzothiazepine compds. of formula I or a pharmaceutically-acceptable salt thereof, wherein: X is hydrogen, halogen or alkyl; Y is hydrogen, halogen or alkyl; Z is oxygen, sulfur, SO or SO2; m is an integer of from 0 to 4; A is CW2, aryl or NB; W is hydrogen or alkyl; B is hydrogen or alkyl; p is an integer of from 0 to 4; D is aryl, NR, NRCO, NRCO2, CO, CO2, or CONR; E is hydrogen, alkyl, aryl, alkylaryl, NRR or alkylene-NRR; R is hydrogen, alkyl, hydroxy or alkoxy; and n is 0 or 1, as analgesics and prostaglandin E2 antagonists. Thus, e.g., 5-(diethylamino)pentyl 8-chlorodibenz[b,f][1,4]oxazepine-10(11H)-carboxylate (II, prepn. given from 5-diethylamino-1-pentanol and 8-chlorodibenz[b,f][1,4]oxazepin-10(11H)carbonyl chloride) produced analgesia in 7/10 mice in the writhing assay, and was active as a prostaglandin E2 antagonist.

IT **171604-14-1P 171604-16-3P 171604-24-3P**
171604-36-7P 171604-37-8P 171604-38-9P
171604-39-0P 171604-40-3P 171604-42-5P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted dibenzoxazepine and dibenzothiazepine carbamate compds. as
analgesics and prostaglandin E2 antagonists)

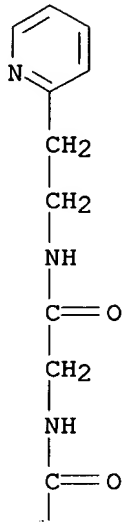
RN 171604-14-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



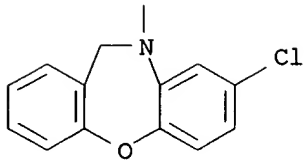
RN 171604-16-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[[2-(2-

pyridinyl)ethyl]amino]ethyl]- (9CI) (CA INDEX NAME)

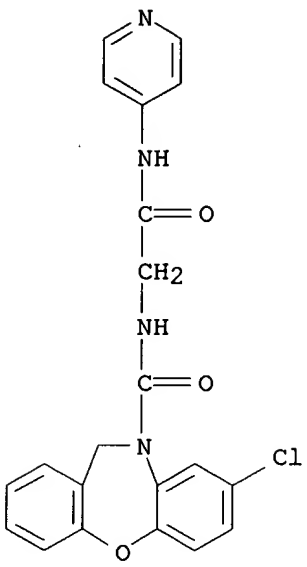
PAGE 1-A



PAGE 2-A

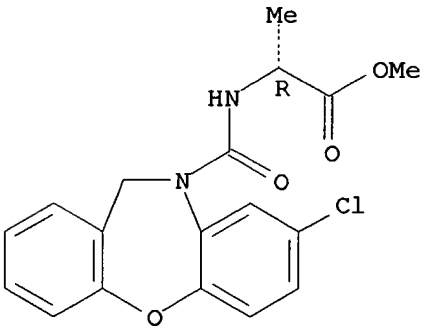


RN 171604-24-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-(4-pyridinylamino)ethyl]- (9CI) (CA INDEX NAME)



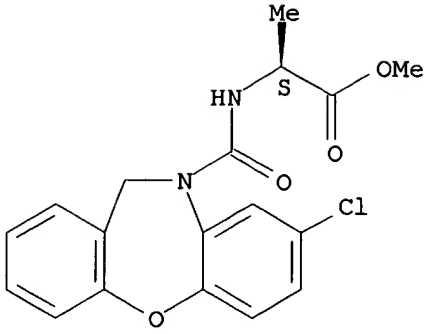
RN 171604-36-7 CAPLUS
CN D-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



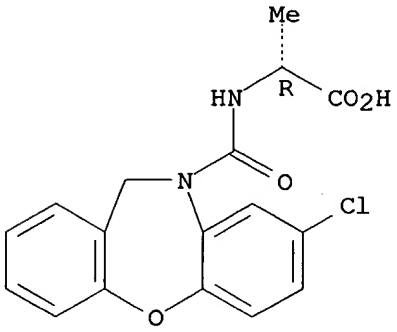
RN 171604-37-8 CAPLUS
CN L-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



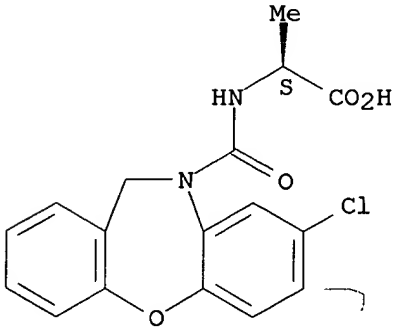
RN 171604-38-9 CAPLUS
CN D-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



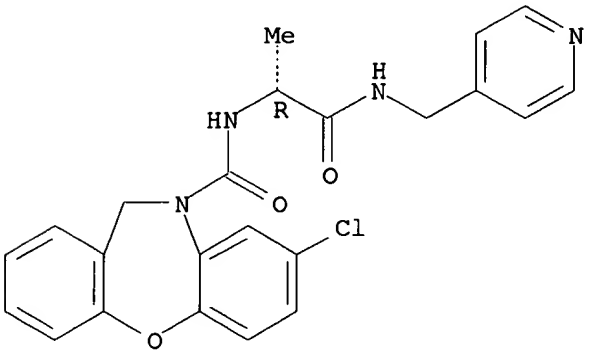
RN 171604-39-0 CAPLUS
CN L-Alanine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



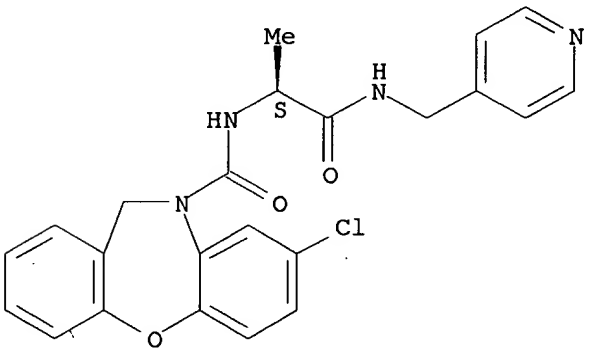
RN 171604-40-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



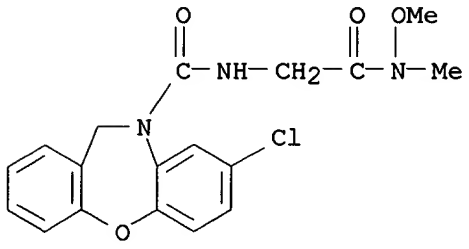
RN 171604-42-5 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

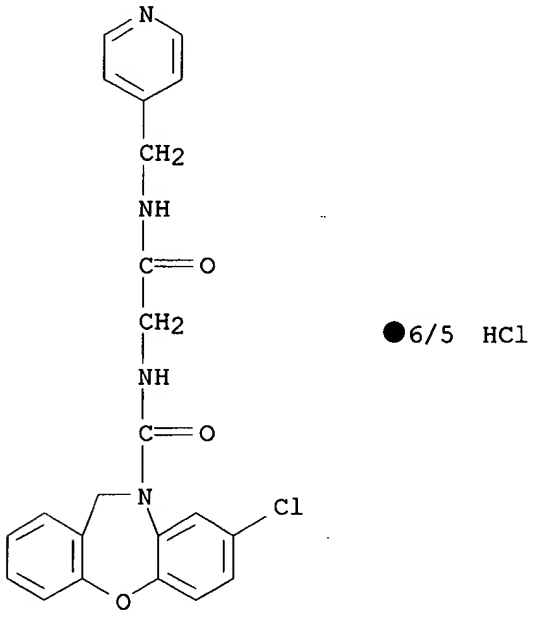


IT **149454-42-2P 171604-15-2P 171604-17-4P**
171604-25-4P 171604-41-4P 171604-43-6P
171604-46-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted dibenzoxazepine and dibenzothiazepine carbamate compds. as
analgesics and prostaglandin E2 antagonists)
RN 149454-42-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-

(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



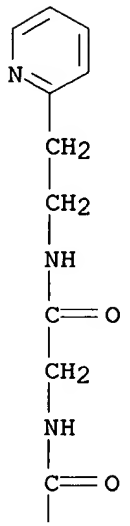
RN 171604-15-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-[(4-pyridinylmethyl)amino]ethyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)



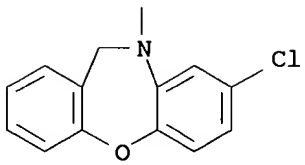
●6/5 HCl

RN 171604-17-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[2-oxo-2-[[2-(2-pyridinyl)ethyl]amino]ethyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

PAGE 1-A

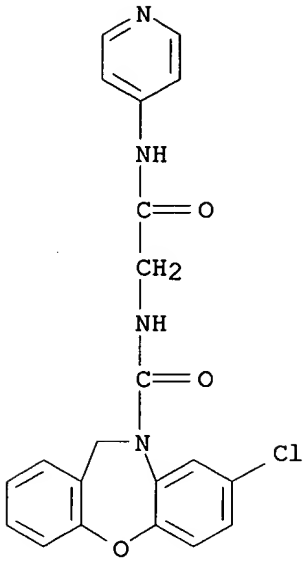


PAGE 2-A



●6/5 HCl

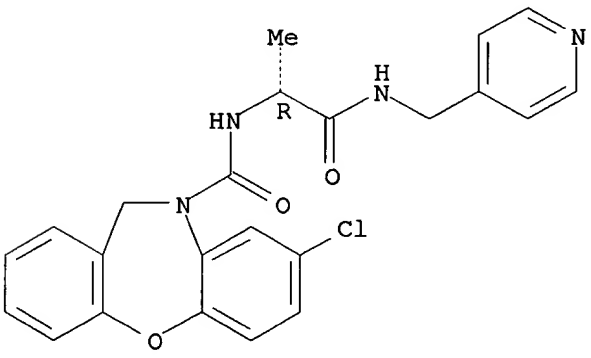
RN 171604-25-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-oxo-2-(4-pyridinylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 171604-41-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, hydrochloride (10:11), (R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

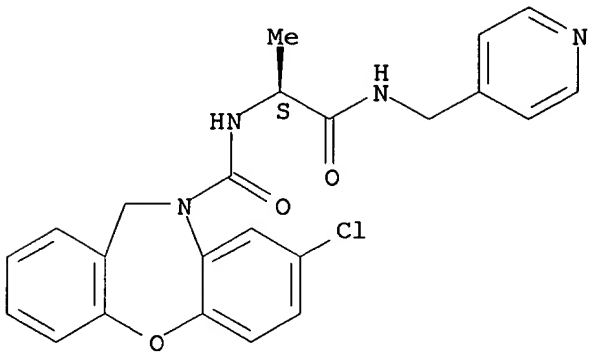


●11/10 HCl

RN 171604-43-6 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide,
8-chloro-N-[1-methyl-2-oxo-
2-[(4-pyridinylmethyl)amino]ethyl]-, monohydrochloride, (S)- (9CI) (CA

INDEX NAME)

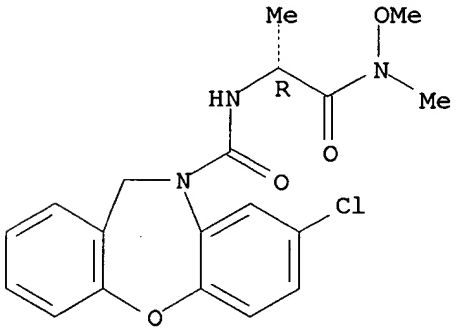
Absolute stereochemistry. Rotation (+).



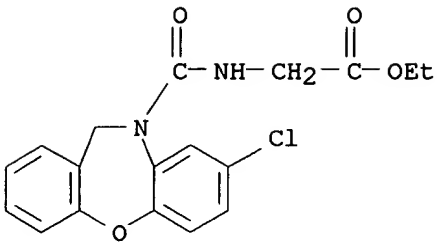
● HCl

RN 171604-46-9 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-(methoxymethylamino)-1-methyl-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

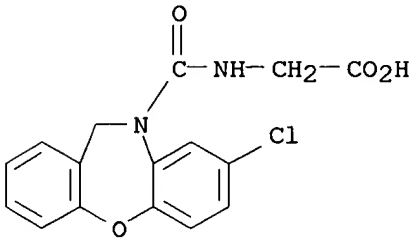
Absolute stereochemistry.



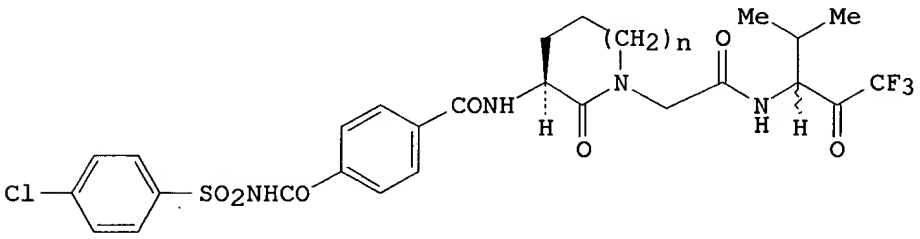
IT **149454-40-0P 149454-41-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(substituted dibenzoxazepine and dibenzothiazepine carbamate compds. as
analgesics and prostaglandin E2 antagonists)
RN 149454-40-0 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, ethyl
ester (9CI) (CA INDEX NAME)



RN 149454-41-1 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1994:135101 CAPLUS
DN 120:135101
TI Elastase inhibitors containing conformationally restricted lactams as P3-P2 dipeptide replacements
AU Skiles, Jerry W.; Sorcek, Ronald; Jacober, Stephen; Miao, Clara; Mui, Philip W.; McNeil, Daniel; Rosenthal, Alan S.
CS Dep. Med. Chem., Boehringer Ingelheim Pharm., Inc., Ridgefield, CT, 06877, USA
SO Bioorg. Med. Chem. Lett. (1993), 3(4), 773-8
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
OS CASREACT 120:135101
GI



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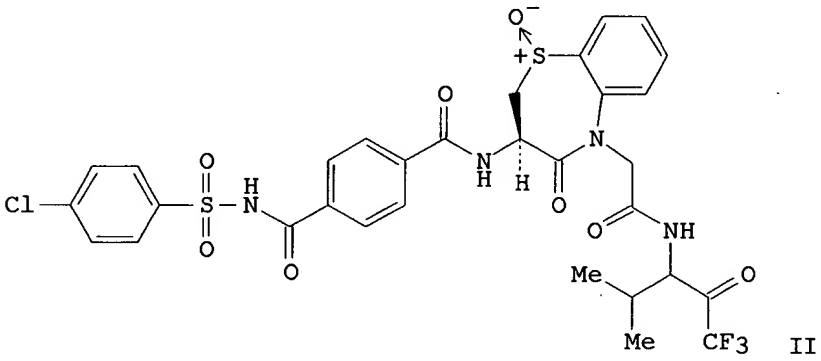
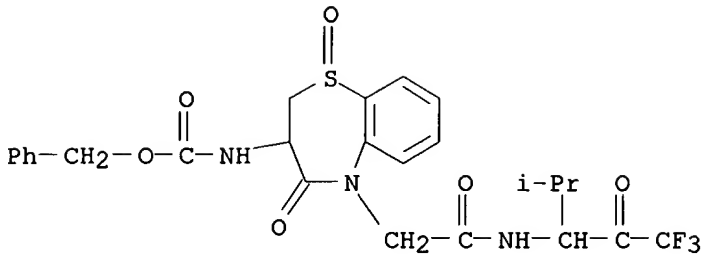


II

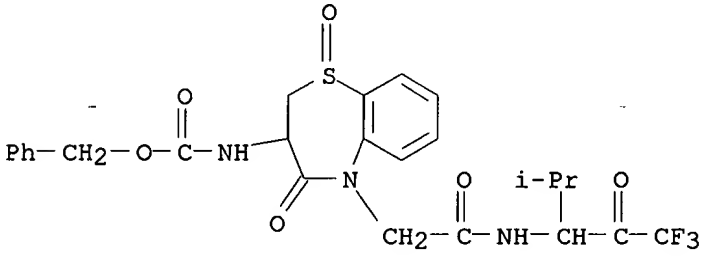
AB Title conformationally restricted lactams I (n = 0, 1, 2) and II were prepd. as potential human leukocyte elastase (HLE) inhibitors.
IT **152868-59-2P 152982-92-8P 152982-93-9P 152982-94-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of)
RN 152868-59-2 CAPLUS
CN Carbamic acid, [2,3,4,5-tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [1R-[1.alpha.,3.alpha.,5(R*)]]- (9CI) (CA INDEX

NAME)



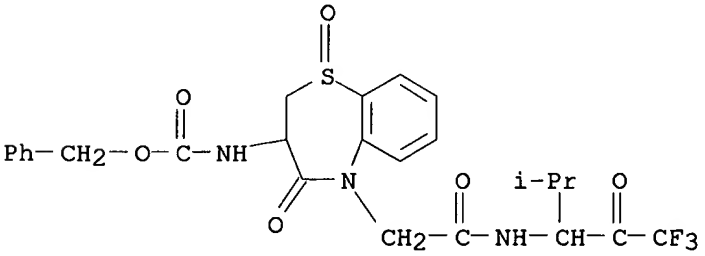
RN 152982-92-8 CAPLUS
CN Carbamic acid, [2,3,4,5-tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-

trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [1R-[1.alpha.,3.alpha.,5(S*)]]- (9CI) (CA INDEX NAME)



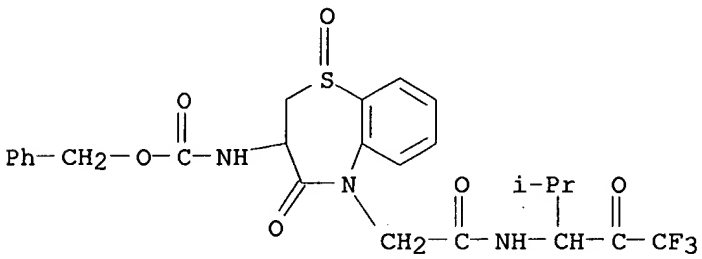
RN 152982-93-9 CAPLUS
CN Carbamic acid, [2,3,4,5-tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-

trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [1S-[1.alpha.,3.beta.,5(S*)]]- (9CI) (CA INDEX NAME)



RN 152982-94-0 CAPLUS

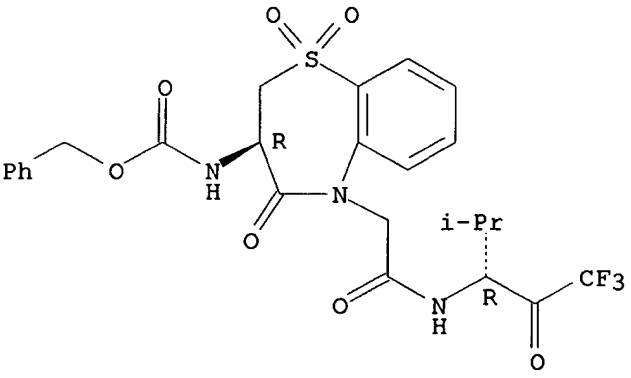
CN Carbamic acid, [2,3,4,5-tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [1S-[1.alpha.,3.beta.,5(R*)]]- (9CI) (CA INDEX NAME)



IT **152868-61-6P 152868-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

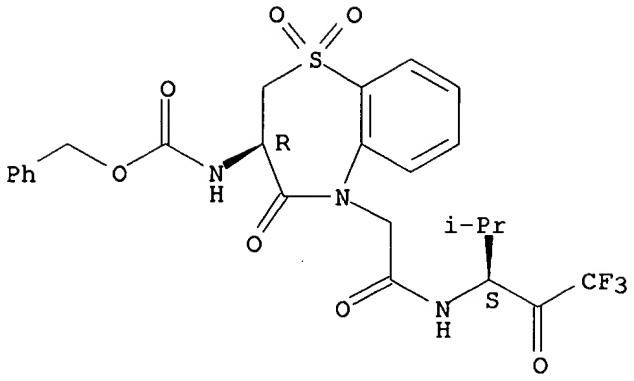
RN 152868-61-6 CAPLUS
CN Carbamic acid, [2,3,4,5-tetrahydro-1,1-dioxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 152868-62-7 CAPLUS
CN Carbamic acid, [2,3,4,5-tetrahydro-1,1-dioxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, phenylmethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

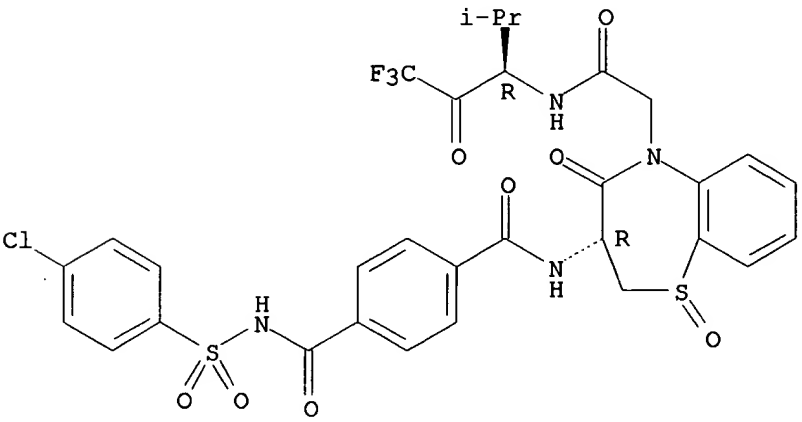
Absolute stereochemistry.



IT **152839-27-5P 152886-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as human leukocyte elastase inhibitor)
RN 152839-27-5 CAPLUS
CN 1,4-Benzenedicarboxamide, N-[(4-chlorophenyl)sulfonyl]-N'-[2,3,4,5-

tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, [3R-[3R*,5(R*)]]- (9CI) (CA INDEX NAME)

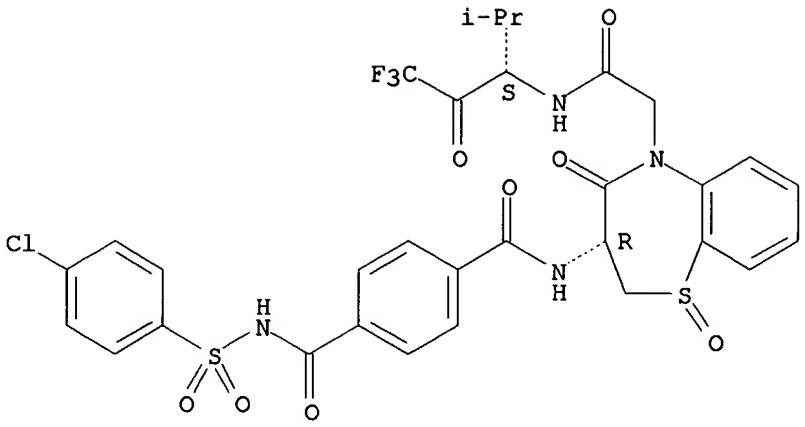
Absolute stereochemistry.



RN 152886-64-1 CAPLUS
CN 1,4-Benzenedicarboxamide, N-[(4-chlorophenyl)sulfonyl]-N'-[2,3,4,5-

tetrahydro-1-oxido-4-oxo-5-[2-oxo-2-[[3,3,3-trifluoro-1-(1-methylethyl)-2-oxopropyl]amino]ethyl]-1,5-benzothiazepin-3-yl]-, [3R-[3R*,5(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


i-Pr
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R
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Cl
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O

L8 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1993:539280 CAPLUS
DN 119:139280
TI Preparation of substituted dibenzoxazepine compounds and their use as analgenic agents and prostaglandin antagonists
IN Husa, Robert Knol; Hagen, Timothy Joseph; Hallinan, E. Ann
PA Searle, G. D., and Co., USA
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 539977	A1	19930505	EP 1992-118501	19921029
	R: PT				
	US 5212169	A	19930518	US 1991-786161	19911031
	WO 9309105	A1	19930513	WO 1992-US8217	19921002
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	CA 2114211	AA	19930513	CA 1992-2114211	19921002
	AU 9228796	A1	19930607	AU 1992-28796	19921002
	EP 610303	A1	19940817	EP 1992-922137	19921002
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	JP 07500602	T2	19950119	JP 1992-508401	19921002
	US 5288719	A	19940222	US 1993-6858	19930121
	US 5382578	A	19950117	US 1993-155613	19931119
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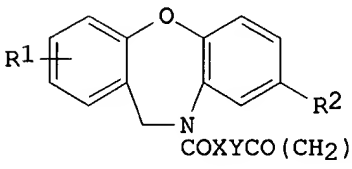
	WO 1992-US8217		19921002		
	US 1993-6858		19930121		

OS MARPAT 119:139280
GI



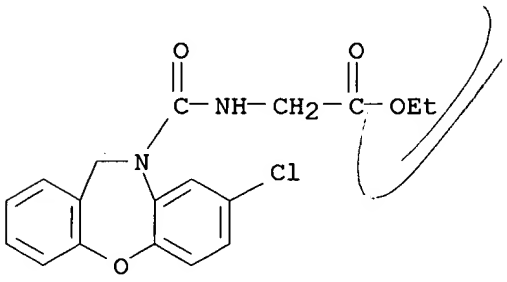
I

AB Title compds. I (X = NH, CH2; Y = CH2 when X = NH, NH when X = CH2; R1 = H, halo, R4O wherein R4 = H, alkyl, alkyl-, arylcarbonyl, aminobenzyl; R2 = H, halo, F3C; R3 = H, aryl, halo, heteroaryl, (alkyl)amino; Z = O, S, SO, SO2, Me3CCON, NH; m, n 0-3; p = 0, 1) or a salt thereof, are prepd. 1,3-Dihydro-1,3-dioxo-2H-isoindole-2-acetic acid was converted to the acid chloride, the product refluxed with 8-chloro-10,11-

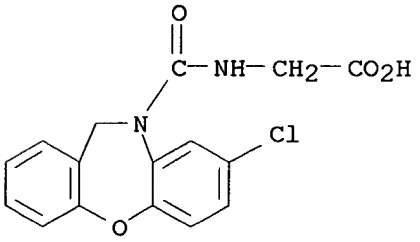
dihydrodibenz[b,f][1,4]oxazepine and Et3N to give the isoindolyldibenzoxazepine deriv. which in 2 steps was converted to I (X = CH2, Y = NH, R1 = R3 = H, R2 = Cl, Z = SO2, m = n = 2, p = 1) (II). II was the most potent analgesic among the I tested.

IT **149454-40-0P 149454-41-1P 149454-42-2P 149454-43-3P 149454-44-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of analgesics and prostaglandin antagonists)

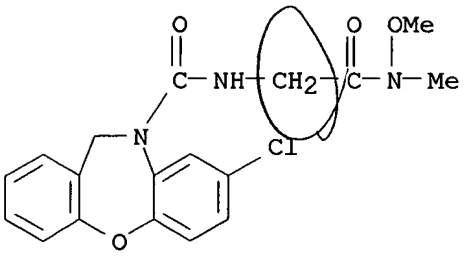
RN 149454-40-0 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



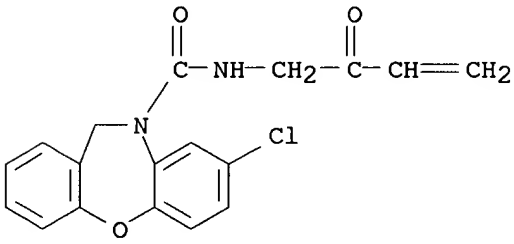
RN 149454-41-1 CAPLUS
CN Glycine, N-[(8-chlorodibenz[b,f][1,4]oxazepin-10(11H)-yl)carbonyl]- (9CI) (CA INDEX NAME)



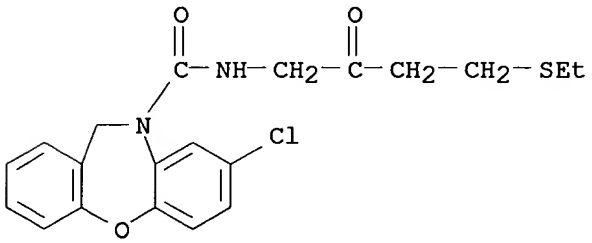
RN 149454-42-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



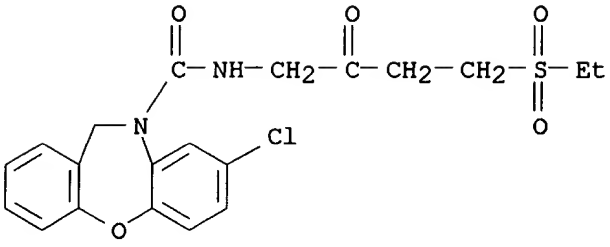
RN 149454-43-3 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-(2-oxo-3-butenyl)- (9CI) (CA INDEX NAME)



RN 149454-44-4 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-(ethylthio)-2-oxobutyl]- (9CI) (CA INDEX NAME)

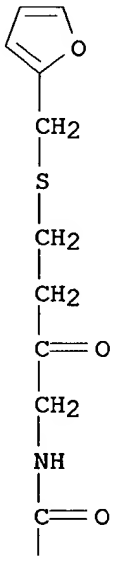


IT **149454-33-1P 149454-34-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as analgesic and prostaglandin antagonist)
RN 149454-33-1 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-(ethylsulfonyl)-2-oxobutyl]- (9CI) (CA INDEX NAME)

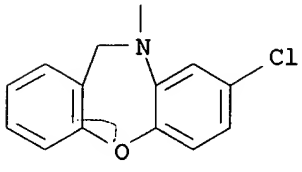


RN 149454-34-2 CAPLUS
CN Dibenz[b,f][1,4]oxazepine-10(11H)-carboxamide, 8-chloro-N-[4-[(2-furanylmethyl)thio]-2-oxobutyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L5 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1991:492955 CAPLUS
DN 115:92955
TI Preparation of renin-inhibiting heterocyclyl-containing peptides
IN Smith, Stephen Allan; Ham, Peter
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 411751	A1	19910206	EP 1990-305978	19900531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2018112	AA	19901206	CA 1990-2018112	19900604
	AU 9056256	A1	19901213	AU 1990-56256	19900604
	ZA 9004258	A	19910626	ZA 1990-4258	19900604
	JP 03041090	A2	19910221	JP 1990-146405	19900606
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OS MARPAT 115:92955
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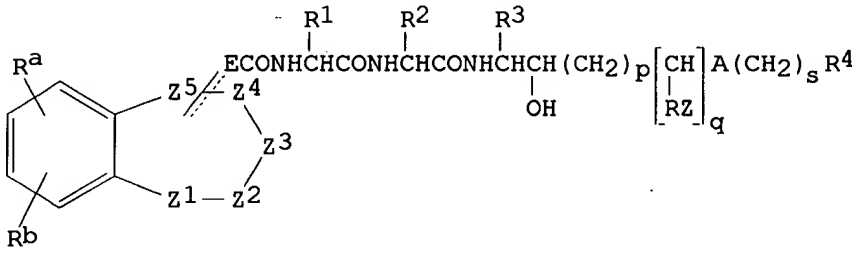

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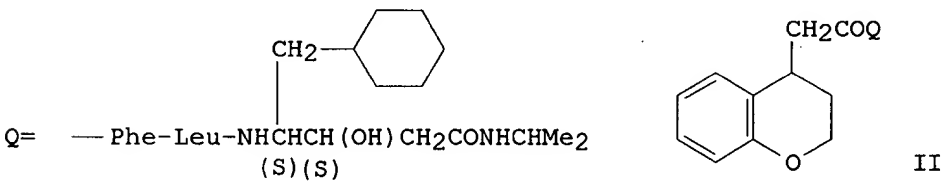


II

AB Peptides I [Z1 = bond and Z2-Z5 are part of a 6-membered heterocyclic ring
or Z1-Z5 are part of a (substituted) 7-membered heterocyclyl; E = bond or (CH2)n, CH(CH2)n-1 where n = 1-4; A = CONH, CO2, S(O)r, CH2, r = 0-2; p = 0-2; s = 0-4; q = 0,1; Rz = H, C1-6 alkyl or Rz = OH when A = CH2; R9, Rb = H, substituent; R1 = CH2(substituted) aryl or heteroaryl; R2 = CHR5R6; R3 = CH2R7; R4 = C1-6 alkyl; C3-8 cycloalkyl (un)satd. heterocyclyl bonding by C atom, OH, C1-6 alkoxy, etc., or R4 = (un)satd. heterocyclyl

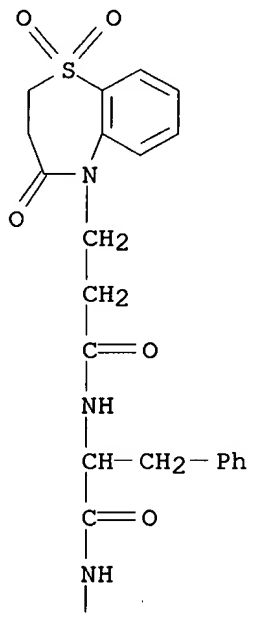
bonded by N atom when s = 2-4; R5 = H, Me; R6 = C1-6 alkyl, C3-8 cycloalkyl, etc.; R7 = C1-6 alkyl, C3-8 cycloalkyl, Ph; dashed line = bond when E is present] were prepd. For example, chromanyl-4-acetic acid (prepn. given) and HOBT were stirred in a cold soln. of dry DMF. Me2N(CH2)3N:C:NEt.cntdot.HCl was added and the mixt. stirred 0.25 h, followed by addn. of (Me2CH)2NEt and phenylalanylleucinamide HQ.cntdot.HOAc (prepn. given). Stirring for 16 h afforded title compd. II. The IC50 of II for inhibition of renin in human plasma was 47 nM.

IT **134997-61-8P 135034-68-3P 135095-05-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antihypertensive)

RN 134997-61-8 CAPLUS

CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[3-(3,4-dihydro-1,1-dioxido-4-oxo-1,5-benzothiazepin-5(2H)-yl)-1-oxopropyl]-L-phenylalanyl]-L-leucyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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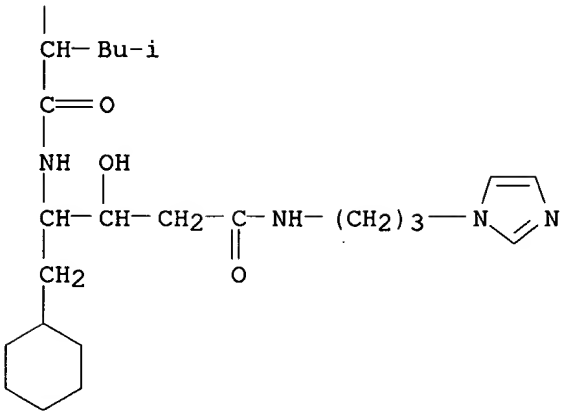
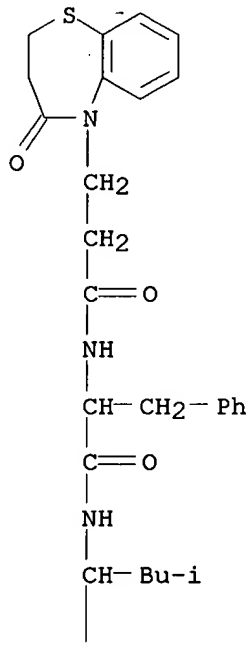


RN 135034-68-3 CAPLUS
CN L-threo-Pentonamide,
5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[3-(3,4-dihydro-
4-oxo-1,5-benzothiazepin-5(2H)-yl)-1-oxopropyl]-L-phenylalanyl]-L-
leucyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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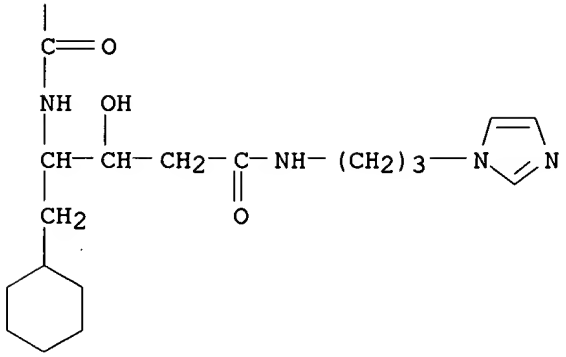
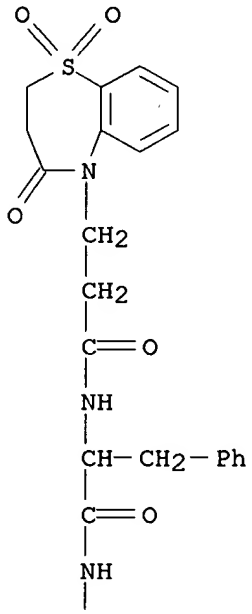
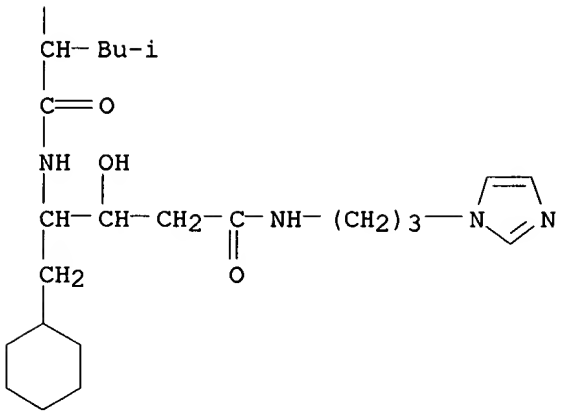


RN 135095-05-5 CAPLUS
CN L-threo-Pentonamide,
5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[3-(3,4-dihydro-
1,1-dioxido-4-oxo-1,5-benzothiazepin-5(2H)-yl)-1-oxopropyl]-L-
phenylalanyl]-L-leucyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





● HCl

L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1986:50900 CAPLUS
DN 104:50900
TI Antihypertensive benzoxazepinones
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 53 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 60069073	A2	19850419	JP 1984-168690	19840810
	JP 05068468	B4	19930929		
	WO 8500810	A1	19850228	WO 1983-JP264	19830812
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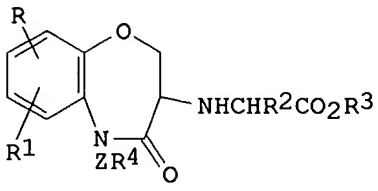


I

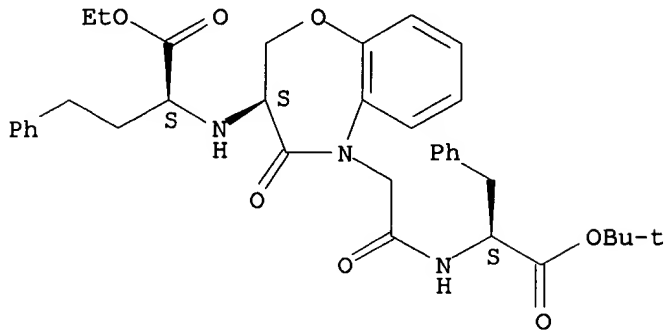
AB Benzoxazepinones I (R, R1 = H, halo, CF3, alkyl, alkoxy; RR1 = tri- or tetramethylene, R2 = H, OH, alkoxy, SH, alkylthio, amino, alkyl, aralkyl, etc.; R3 = H, alkyl, aralkyl; R4 = carboxy, alkoxycarbonyl, aralkoxycarbonyl etc., Z = CH2, CH2CH2) and their salts were prepd. Thus, condensation of benzyl 3-amino-4-oxo-2,3,4,5-tetrahydro-1,3-benzoxazepine-5-acetate hydrochloride with Et 2-oxo-4-phenylbutyrate followed by redn. gave I (R = R1 = H, R2 = PhCH2CH2, R3 = Et, R4 = CH2CO2CH2Ph, Z = CH2). (S)-I.HCl [R = R1 = H, R2 = (S)-PhCH2CH2, R3 = Et, ZR4 = CH2CO2H] showed antihypertensive activity at 10 mg/kg orally in rats.

IT **97871-15-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 97871-15-3 CAPLUS
CN Benzenebutanoic acid, .alpha.-[[5-[2-[[2-(1,1-dimethylethoxy)-2-oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-4-oxo-1,5-

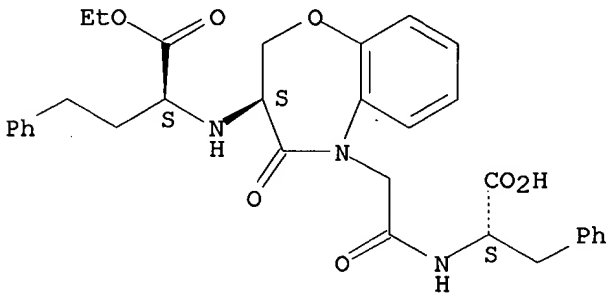
benzoxazepin-3-yl]amino]-, ethyl ester, [3S-[3R*(R*),5(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **97871-16-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 97871-16-4 CAPLUS
CN Benzenebutanoic acid, .alpha.-[[5-[2-[(1-carboxy-2-phenylethyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-4-oxo-1,5-benzoxazepin-3-yl]amino]-, .alpha.-ethyl ester, monohydrochloride, [3S-[3R*(R*),5(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2001 ACS
AN 1985:505013 CAPLUS
DN 103:105013
TI Fused 7-membered ring compounds
IN Sugihara, Hirosada; Nishikawa, Kohei; Ito, Katsumi
PA Takeda Chemical Industries, Ltd. , Japan
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8500810	A1	19850228	WO 1983-JP264	19830812
	W: MC				
	IL 72523	A1	19880630	IL 1984-72523	19840727
	DK 8403765	A	19850213	DK 1984-3765	19840803
	DK 166881	B1	19930726		
	US 4548932	A	19851022	US 1984-637620	19840803
	ZA 8406075	A	19860326	ZA 1984-6075	19840806
	NO 8403196	A	19850213	NO 1984-3196	19840809
	NO 163487	B	19900226		
	NO 163487	C	19900613		
	AU 8431761	A1	19850214	AU 1984-31761	19840809
	AU 570710	B2	19880324		
	EP 135349	A1	19850327	EP 1984-305428	19840809
	EP 135349	B1	19881102		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 38383	E	19881115	AT 1984-305428	19840809
	FI 8403177	A	19850213	FI 1984-3177	19840810
	FI 82464	B	19901130		
	FI 82464	C	19910311		
	JP 60069073	A2	19850419	JP 1984-168690	19840810
	JP 05068468	B4	19930929		
	HU 37768	A2	19860228	HU 1984-3058	19840810
	HU 195650	B	19880628		
	ES 535078	A1	19861016	ES 1984-535078	19840810
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	SU 1563593	A3	19900507	SU 1985-3940048	19850819
	ES 554956	A1	19871101	ES 1986-554956	19860514
	SU 1459613	A3	19890215	SU 1986-4027932	19860812
	ES 557709	A1	19880301	ES 1987-557709	19870901
PRAI	WO 1983-JP264		19830812		
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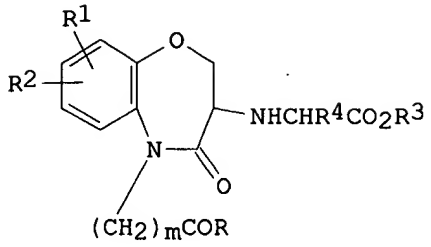
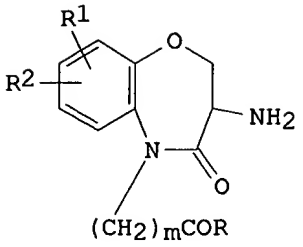
GI



I



II

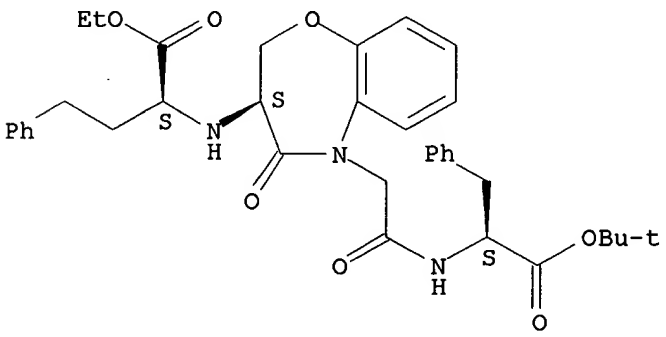
AB Benzoxazepinone derivs. (I; R = esterified or amidated carboxy; R1, R2 = H, halo, CF3, alkyl, alkoxy; R1R2 = alkylene; R3 = H, alkyl, aralkyl; R4 = H, alkyl, aralkyl, cycloalkyl; m = 1,2) and their salts were prepd. by e.g., reductive condensation of II with R4COCO2R3. I were effective antihypertensives at 0.2-2 mg/kg oral. Thus, a mixt. of NaOAc 0.45, HOAc 0.25, PhCH2CH2COCO2Et 4.5, and Mol. Sieve 4A 10 g was added to a soln. of 2 g (3S)-II HCl (R = PhCH2O, R1 = R2 = H, m = 1) in EtOH at room temp., followed by NaB(CN)H3 in EtOH to give 0.9 g I HCl (R = PhCH2O, R1 = R2 = H, R3 = Et, R4 = PhCH2CH2, m = 1). A tablet formulation consisted of I 10, lactose 90, corn starch 29, and Mg stearate 1 g per 1000 tablets.

IT **97871-15-3P 97871-16-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 97871-15-3 CAPLUS

CN Benzenebutanoic acid, .alpha.-[[5-[2-[[2-(1,1-dimethylethoxy)-2-oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-4-oxo-1,5-benzoxazepin-3-yl]amino]-, ethyl ester, [3S-[3R*(R*),5(R*)]]- (9CI) (CA INDEX NAME)

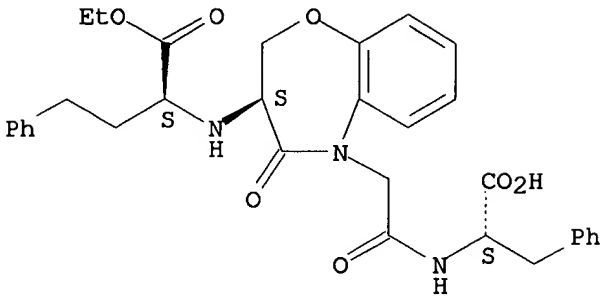
Absolute stereochemistry.



RN 97871-16-4 CAPLUS

CN Benzenebutanoic acid, .alpha.-[[5-[2-[(1-carboxy-2-phenylethyl)amino]-2-oxoethyl]-2,3,4,5-tetrahydro-4-oxo-1,5-benzoxazepin-3-yl]amino]-, .alpha.-ethyl ester, monohydrochloride, [3S-[3R*(R*),5(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl